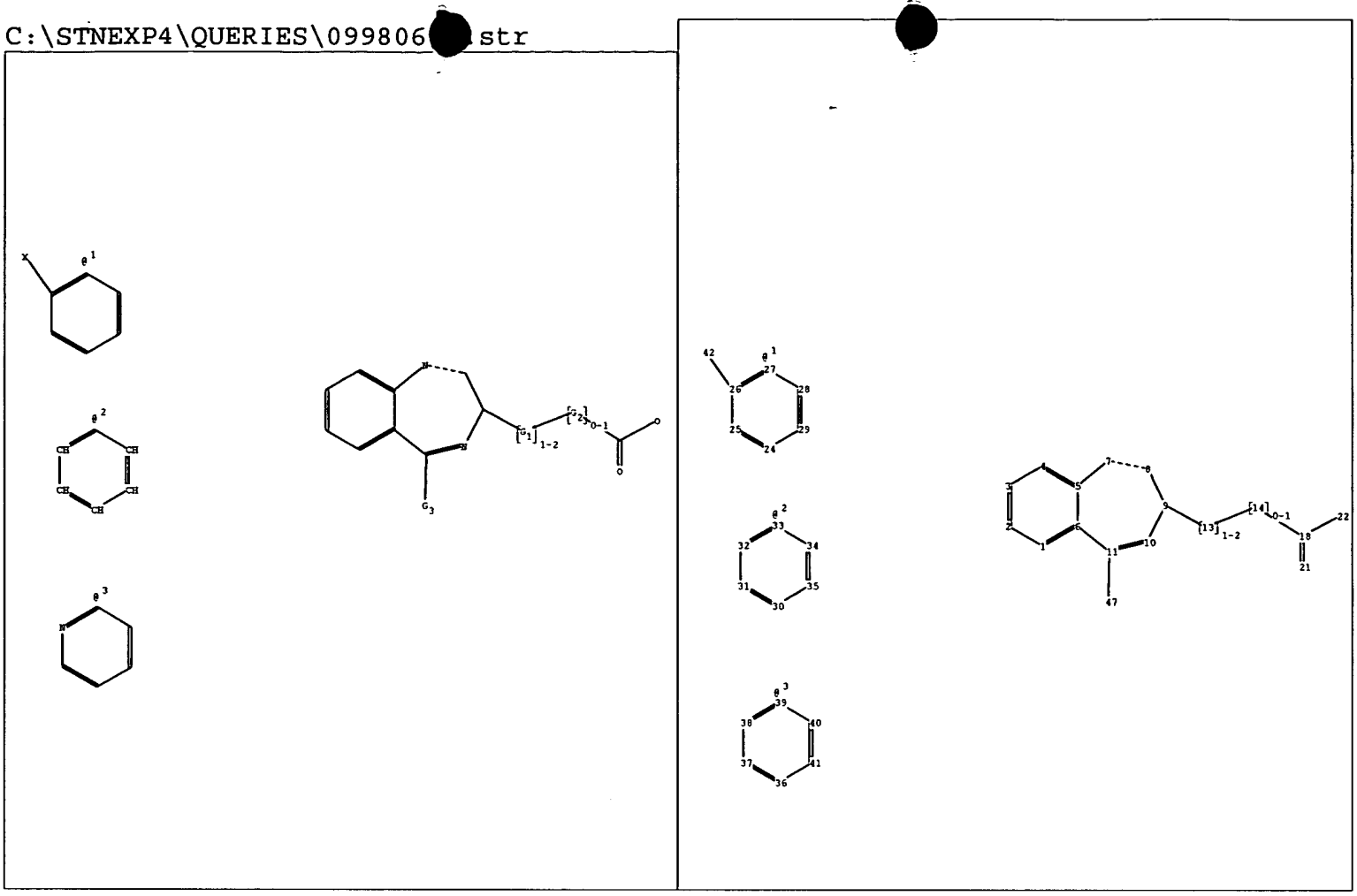
C:\STNEXP4\QUERIES\099806[redacted].str



chain nodes :
13 14 18 21 22 42 47
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 24 25 26 27 28 29 30 31 32 33
34 35 36 37 38 39 40 41
chain bonds :
9-13 11-47 13-14 14-18 18-21 18-22 26-42
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-11 7-8 8-9 9-10 10-11 24-25
24-29 25-26 26-27 27-28 28-29 30-31 30-35 31-32 32-33 33-34
34-35 36-37 36-41 37-38 38-39 39-40 40-41
exact/norm bonds :
5-7 7-8 9-10 9-13 10-11 11-47 13-14 14-18 18-21 18-22
exact bonds :
6-11 8-9 26-42
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 24-25 24-29 25-26 26-27 27-28 28-29
30-31 30-35 31-32 32-33 33-34 34-35 36-37 36-41 37-38 38-39
39-40 40-41
isolated ring systems :
containing 1 :

G1:C,N

G2:C,O

G3:[*1],[*2],[*3]

```
Match level :
    1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom
    10:Atom  11:Atom  13:CLASS  14:CLASS  18:CLASS  21:CLASS  22:CLASS
    24:Atom  25:Atom  26:Atom  27:Atom  28:Atom  29:Atom  30:CLASS  31:Atom
    32:Atom  33:Atom  34:Atom  35:Atom  36:Atom  37:Atom  38:Atom  39:Atom
    40:Atom  41:Atom  42:CLASS  47:CLASS
```

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=> d his

     (FILE 'HOME' ENTERED AT 20:54:45 ON 13 AUG 2003)

     FILE 'REGISTRY' ENTERED AT 20:54:50 ON 13 AUG 2003
L1                STRUCTURE UPLOADED
L2                QUE L1
L3             29 S L2
L4            703 S L2 SSS FUL

     FILE 'CAPLUS' ENTERED AT 20:55:28 ON 13 AUG 2003
L5            162 S L4

     FILE 'REGISTRY' ENTERED AT 20:55:58 ON 13 AUG 2003
L6                STRUCTURE UPLOADED
L7                QUE L6
L8             21 S L7
L9            572 S L7 SSS FUL

     FILE 'CAPLUS' ENTERED AT 20:59:17 ON 13 AUG 2003
L10           146 S L9

     FILE 'REGISTRY' ENTERED AT 20:59:37 ON 13 AUG 2003

     FILE 'CAPLUS' ENTERED AT 21:00:09 ON 13 AUG 2003
L11           ANALYZE L10 1- RN HIT :     571 TERMS

     FILE 'REGISTRY' ENTERED AT 21:00:55 ON 13 AUG 2003
L12             1 S 108895-98-3/RN
L13           100 S 146373?/RN
L14           100 S 204322?/RN
L15           100 S 146135?/RN
L16           100 S 103373?/RN
L17           100 S 155452?/RN
L18           100 S 208847?/RN
L19           100 S 136234?/RN
L20             3 S L9 AND L13
L21             1 S L9 AND L14
L22             2 S L9 AND L15
L23             2 S L9 AND L16
L24             2 S L9 AND L17
L25             2 S L9 AND L18
L26             1 S L9 AND L19
L27           566 S L9 NOT (L20 OR L21 OR L25)

     FILE 'CAPLUS' ENTERED AT 21:09:06 ON 13 AUG 2003
L28           135 S L27
L29            92 S L28 AND PATENT/DT
L30            43 S L28 NOT L29
L31             2 S L30 AND 2003/SO
L32             4 S L30 AND 2002/SO
L33           131 S L28 NOT L32
L34           ANALYZE L33 1- RN HIT :     548 TERMS

     FILE 'REGISTRY' ENTERED AT 21:11:11 ON 13 AUG 2003
L35           100 S 209985?/RN
L36            11 S L27 AND L35
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     FILE 'CAPLUS' ENTERED AT 21:12:15 ON 13 AUG 2003

     FILE 'REGISTRY' ENTERED AT 21:14:16 ON 13 AUG 2003
L37              STRUCTURE UPLOADED
L38              QUE L37
L39           15 S L38  SUB=L9 SAM
L40              STRUCTURE UPLOADED
L41              QUE L40
L42           12 S L41
L43          400 S L41  SUB=L9 FUL

     FILE 'CAPLUS' ENTERED AT 21:17:39 ON 13 AUG 2003
L44          121 S L43
L45           24 S L33 NOT L44

     FILE 'REGISTRY' ENTERED AT 21:19:25 ON 13 AUG 2003
L46          397 S L43 NOT (L20 OR L21 OR L25)

     FILE 'CAPLUS' ENTERED AT 21:19:52 ON 13 AUG 2003
L47          111 S L46
L48          433 S FELDMAN P?/AU
L49            3 S L47 AND L48
L50            1 S L49 AND PATENT/DT
                 SELECT RN L50 1-

     FILE 'REGISTRY' ENTERED AT 21:21:12 ON 13 AUG 2003
L51          185 S E1-185
L52          108 S L46 AND L51
L53           77 S L51 NOT L52

     FILE 'CAPLUS' ENTERED AT 21:22:57 ON 13 AUG 2003
L54            3 S L52
L55          108 S L47 NOT L54
L56           75 S L55 AND PATENT/DT
L57           33 S L55 NOT L56
L58            0 S L57 AND 2003/SO
L59            2 S L57 AND 2002/SO
L60            4 S L57 AND 2001/SO
L61            1 S L57 AND 2000/SO
L62          106 S L47 NOT (L59 OR L60 OR L61)

=> d 17
L7 HAS NO ANSWERS
L6                STR
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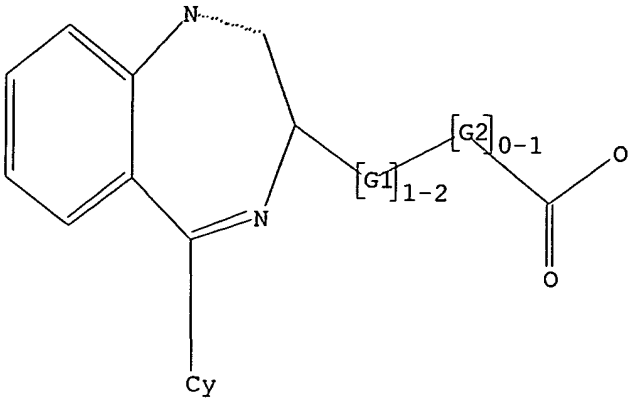


G1 C,N

G2 C,O

Structure attributes must be viewed using STN Express query preparation.
L7 QUE ABB=ON PLU=ON L6

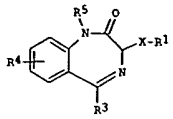
=> d ibib abs hitstr l62 1-106

L62 ANSWER 1 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:490975 CAPLUS
DOCUMENT NUMBER: 139:69297
TITLE: Benzodiazepinone derivatives as bradykinin B2 receptor antagonists, preparation thereof, and use for treating pain
INVENTOR(S): Leung, Carmen; Santhakumar, Vijayaratnam; Tomaszewski, Miroslaw; Woo, Simon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051275	A2	20030626	WO 2002-SE2309	20021211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2001-4248 A 20011214
OTHER SOURCE(S): MARPAT 139:69297
GI

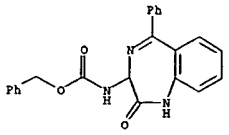


AB A method is claimed of treating pain in a warm-blooded animal, comprising the step of administering a therapeutically effective amt. of benzodiazepinones (shown as I; variables defined below; e.g. N-(7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-N'-(5-isoquinolinyl)thiourea), pharmaceutically acceptable salts thereof, diastereomers thereof, enantiomers thereof, or mixts. thereof. For I: R1 = (un)substituted acyl, alkyloxycarbonyl, alkyl, heteroalkyl, cycloalkyl, aryl, heterocyclyl; aryl-C1-6-alkyl, and heterocyclyl-C1-6-alkyl, or a

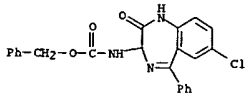
L62 ANSWER 1 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
divalent C1-12 group that together with a 2nd N of X form a ring; X is a divalent group including a 1st N atom and the 2nd N atom, wherein a 1st group is linked to the 1st N atom and R1 is linked to the 2nd N atom, and wherein the 1st and 2nd N atoms are sepd. by either one C atom, or two C atoms wherein said two C atoms have a double bond there between. R3 is (un)substituted aryl, C1-12alkyl, C3-12cycloalkyl, or heterocyclyl; R4 = H, halogen, (un)substituted alkyl, (un)substituted heteroalkyl, nitro, cyano, hydroxy, OR6, SR6, S(O)R6, S(O)2R6, C(O)R6, C(S)R6, NR7R6, C(O)NR6, NR7C(O)R6, SO2NR7R6, NR7SO2R6, or C(O)OR6; and R5, R6 and R7 = H, (un)substituted C1-6alkyl. Thirty-three examples of I were tested for binding to B2 bradykinin and ranged from 43-3110 nM (dissocn. const.); no individual values are reported. Although the methods of prepn. are not claimed, 26 example prepns. of I and 31 of intermediates are included. More than 1100 examples of I prepd. combinatorially are tabulated with LCMS anal. results.

IT **108895-98-3**, (2,3-Dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)carbamic acid phenylmethyl ester **155452-87-2**, (7-Chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)carbamic acid phenylmethyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of benzodiazepinone derivs. as bradykinin B2 receptor antagonists and use for treating pain)

RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 155452-87-2 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

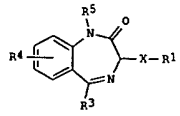


L62 ANSWER 2 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:490974 CAPLUS
DOCUMENT NUMBER: 139:69296
TITLE: Preparation of benzodiazepinones and a benzodiazepinone combinatorial library as potential bradykinin receptor antagonists
INVENTOR(S): Leung, Carmen; Santhakumar, Vijayaratnam; Tomaszewski, Miroslaw; Woo, Simon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

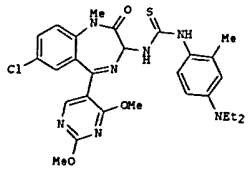
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051274	A2	20030626	WO 2002-SE2306	20021211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2001-4250 A 20011214
OTHER SOURCE(S): MARPAT 139:69296
GI



I



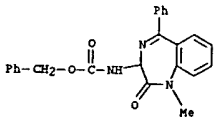
II

L62 ANSWER 2 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB Benzodiazepines I [R1 = alkyl, cycloalkyl, heteroalkyl, aryl, heterocyclyl, aralkyl, heteroarylalkyl, acyl, alkoxycarbonyl; R3 = alkyl, cycloalkyl, aryl, heteroaryl; R4 = H, halogen, alkyl, heteroalkyl, OZN, cyano, HO, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, acyl, alkylthiocarbonyl, amino, aminocarbonyl, aminosulfonyl, alkylsulfonylamino, alkoxycarbonyl; R5 = h, (un)substituted C1-6 alkyl; X = (un)substituted aminomethylamino or aminoethenylamino; R1 and X may form a ring; R1, R3, R4, X may all be substituted with alkyl groups] are prepd. both by classic synthetic techniques and as members of a combinatorial library; I are human B2 bradykinin receptor antagonists with Ki values between 43 and 3110 nM. Thus, treatment of 6-chloro-1-methyl-2H-3,1-benzoxazinone with glycine, chlorination with POCl3, Pd-catalyzed coupling of the resultant chloroimine with 2,4-dimethoxy-5-pyrimidineboronic acid, azidation with trisyl azide, Staudinger reaction of the azide with resin-bound triphenylphosphine, acylation of the free amine with thiophosgene, and addn. of 4-(diethylamino)-2-methylaniline to the isothiocyanate yields the benzodiazepine II. Methods for the synthesis of combinatorial libraries of I by alkylation of the N1 site of benzodiazepin-2-ones followed by deprotection, acylation of the free amine with either phosgene or thiophosgene, and addn. of amines to the isocyanates or isothiocyanates formed in the previous step are claimed. Methods for the synthesis of I by palladium-mediated coupling of boronic acids with 5-halobenzo-1,4-diazepin-2-ones followed by regioselective azidation at the 3-position of the benzodiazepinone and Staudinger reaction of the azide with triphenylphosphine are also claimed. I may be useful as potential analgesics (no data).

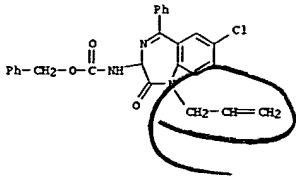
IT **106849-47-2** **551937-67-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of benzodiazepinones as human B2 bradykinin receptor antagonists for the potential treatment of pain)

RN 106849-47-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 551937-67-8 CAPLUS
CN Carbamic acid, [7-chloro-2,3-dihydro-2-oxo-5-phenyl-1-(2-propenyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 2 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L62 ANSWER 3 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:168838 CAPLUS
DOCUMENT NUMBER: 138:205345
TITLE: Preparation of cyclic amino acid compounds for inhibiting .beta.-amyloid peptide release and/or its synthesis
INVENTOR(S): Audia, James E.; Dressman, Bruce A.; Shi, Qing
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company
SOURCE: U.S., 70 pp., which
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6528505	B1	20030304	US 1999-338180	19990622
US 6552013	B1	20030422	US 1999-338121	19990622
US 6569851	B1	20030527	US 1999-338191	19990622
US 2003149022	A1	20030807	US 2002-326081	20021223

PRIORITY APPLN. INFO.: US 1998-160067P P 19980622
US 1998-155238P P 19980930
US 1998-150704P P 19980930
US 1998-162757 A 19980930
US 1999-338121 A3 19990622

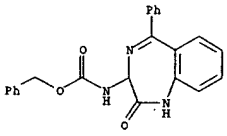
OTHER SOURCE(S): MARPAT 138:205345

AB Fused azepinone amino acid derivs. R'R''NCHR1CONHCHR2CONH-W and R':NC(:R1)CONHCHR2CONH-W [R1 and R' combine to form a nitrogen-contg. optionally-substituted (un)satd. heterocyclyl or heteroaryl group; R'' is H, (un)substituted alkyl or aryl; R2 is (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, or heterocyclyl; W is (un)substituted mono- or dibenzo- or dicyclohexano(hydro)azepin-2-on-3-yl] were prepd. for inhibition .beta.-amyloid peptide release and/or its synthesis, and accordingly have utility in treating Alzheimer's disease. Thus, 5(S)-[(N-L-prolyl-L-alanyl)amino]-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one was prepd. by acylation of 5(S)-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one hydrochloride with Boc-Pro-Ala-OH (Boc = tert-butoxycarbonyl), followed by deprotection. Compds. of the invention inhibit .beta.-amyloid peptide prodn. by at least 30% as compared to the control when employed at 10 .mu.g/mL.

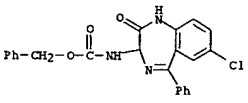
IT **108895-98-3 155452-87-2 168162-29-6**
209985-28-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid peptide release and/or its synthesis)

RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

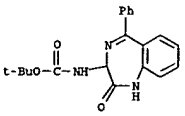
L62 ANSWER 3 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



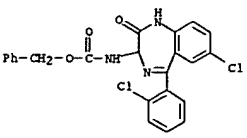
RN 155452-87-2 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 168162-29-6 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 209985-28-4 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

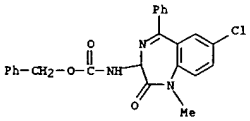


IT **209985-17-1P 209985-20-6P 209985-25-1P**
209985-32-0P 209985-33-1P 209986-63-0P

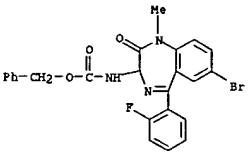
L62 ANSWER 3 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

209986-66-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid peptide release and/or its synthesis)

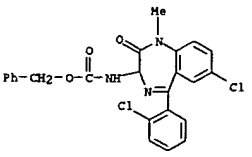
RN 209985-17-1 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 209985-20-6 CAPLUS
CN Carbamic acid, [7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

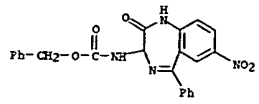


RN 209985-25-1 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

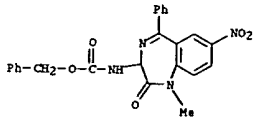


RN 209985-32-0 CAPLUS
CN Carbamic acid, (2,3-dihydro-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

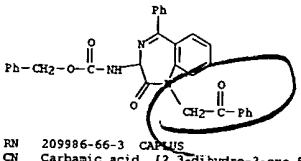
L62 ANSWER 3 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



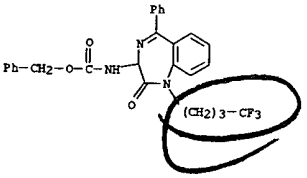
RN 209985-33-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 209986-63-0 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-1-(2-oxo-2-phenylethyl)-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 209986-66-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

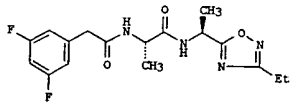


L62 ANSWER 4 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:35360 CAPLUS
DOCUMENT NUMBER: 138:90080
TITLE: Preparation of heterocyclic compounds and their use for inhibiting .beta.-amyloid peptide release
INVENTOR(S): Thorsett, Eugene D.; Porter, Warren J.; Nissen, Jeffrey S.; Latimer, Lee H.; Audia, James E.; Droste, James
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; Eli Lilly Company
SOURCE: U.S., 99 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6506782	B1	20030114	US 1998-32019	19980227
US 2003130188	A1	20030710	US 2002-246558	20020919

PRIORITY APPLN. INFO.: US 1998-32019 A3 19980227
OTHER SOURCE(S): MARPAT 138:90080
GI



I

AB Disclosed are modified heterocyclic di- and tripeptide analogs which inhibit .beta.-amyloid peptide release and/or its synthesis and, accordingly, have utility in treating Alzheimer's disease. Compds. of formula R1NHCHR2(CONHCHR6)pCONHCHR5C(:NR4)R4 [R1 = H or acyl; R2, R5, R6 = (un)substituted alk(en)(yn)yl, cycloalkyl, (hetero)aryl, heterocyclyl; p = 0 or 1; R3and R4 combine to form a heterocyclic ring, which may be substituted] are claimed. Also disclosed are pharmaceutical compns. comprising a compd. which inhibits .beta.-amyloid peptide release and/or its synthesis as well as methods for treating Alzheimer's disease both prophylactically and therapeutically with such pharmaceutical compns. Title compds., e.g. I, were prepd. in a multistep synthesis and inhibited .beta.-amyloid peptide prodn. by at least 30% as compared to control.

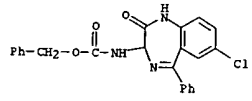
IT 155452-87-2 209985-22-8 209985-28-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heterocyclic compds. and their use for inhibiting .beta.-amyloid peptide release)

RN 155452-87-2 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

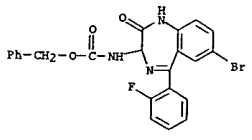
L62 ANSWER 3 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

REFERENCE COUNT: 121 THERE ARE 121 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

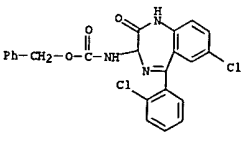
L62 ANSWER 4 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 209985-22-8 CAPLUS
CN Carbamic acid, (7-bromo-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



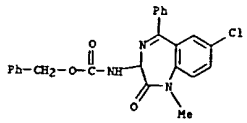
RN 209985-28-4 CAPLUS
CN Carbamic acid, (7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



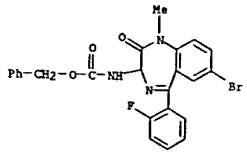
IT 209985-17-1P 209985-20-6P 209985-25-1P
209985-32-0P 209985-33-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic compds. and their use for inhibiting .beta.-amyloid peptide release)

RN 209985-17-1 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

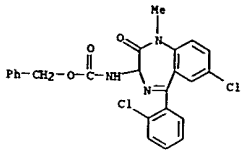
L62 ANSWER 4 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 209985-20-6 CAPLUS
CN Carbamic acid, [7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

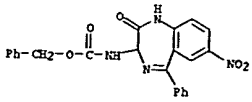


RN 209985-25-1 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

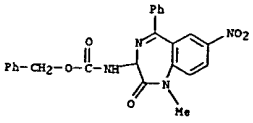


RN 209985-32-0 CAPLUS
CN Carbamic acid, (2,3-dihydro-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 4 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 209985-33-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

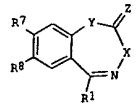
L62 ANSWER 5 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:946275 CAPLUS
DOCUMENT NUMBER: 138:14079
TITLE: Preparation of benzodiazepinones as cyclic nucleotide phosphodiesterase (particularly PDE4) inhibitors useful as antiinflammatories
INVENTOR(S): Bourguignon, Jean-Jacques; Lagouge, Yan; Lugnier, Claire; Klotz, Eveline; Macher, Jean-Paul; Raboisson, Pierre; Schultz, Dominique
PATENT ASSIGNEE(S): Neuro3d, Fr.
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098865	A2	20021212	WO 2002-FR1952	20020607
WO 2002098865	A3	20030227		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 2001-7458 A 20010607
OTHER SOURCE(S): MARPAT 138:14079
GI



I

AB The invention concerns novel benzodiazepinone derivs. (shown as I; variables defined below; e.g. 7,8-dimethoxy-1-(2-naphthyl)-3-methyl-3,5-dihydro-4H-2,3-benzodiazepin-4-one) and their uses in therapy, particularly for treating pathologies involving the activity of a phosphodiesterase of cyclic nucleotides, particularly PDE4 (data included). The invention also concerns methods for prepg. I and intermediates and many example prepns. are included. For example, 7,8-dimethoxy-3-methyl-1-(1-naphthyl)-3,5-dihydro-4H-2,3-benzodiazepin-4-one was prepd. in 31% yield by heating Me [4,5-dimethoxy-2-(1-naphthoyl)phenyl]acetate, methylhydrazine and EtOH in a sealed tube at 150.degree. for 3 h, cooling to room temp., adding acetic acid, heating to reflux for 25 min, evapg. to dryness, and adding ice water. In I: either X = NR4 and Y = CR5R6' or X = CR4R4' and Y = NR6; Z = O, S. R1 = (C1-C12) alkyl, (C3-C6) cycloalkyl, (C6-C18) aryl, (C6-C18)aryl(C1-C4)alkyl,

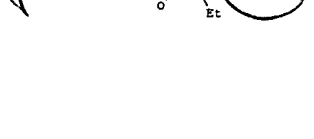
L62 ANSWER 5 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

(C1-C12)alkyl(C6-C18)aryl, (C5-C18) heterocycle including 1-3 heteroatoms, or OR2, SR2 or NR2R3 in which (i) R2 and R3 = H, (C1-C6) alkyl, (C3-C6) cycloalkyl, (C6-C12) aryl, or (C5-C12) heterocycle including 1-3 heteroatoms or, (ii) R2 and R3 together form a linear or branched hydrocarbon chain having 2-6 atoms of C, optionally including .gtoreq.1 double bonds and/or optionally interrupted by O, S or N. R4 and R4' = (C3-C6) cycloalkyl, (C6-C18) unsubstituted aryl, (C6-C18)aryl(C1-C4)alkyl, (C1-C12)alkyl(C6-C18)aryl or (C5-C18) heterocycle including 1-3 heteroatoms, with provisos. R6 and R6' = H, (C1-C6) alkyl, (C6-C18) aryl, (C6-C18)aryl(C1-C4)alkyl, (C1-C12)alkyl(C6-C18)aryl, preferentially Ph, benzyl and (C1-C6)alkylphenyl;. R7 and R8 = H, (C1-C12) alkyl and a group OR2, with the condition that R7 and R8 are not both H, or R7 and R8 together form a linear or branched hydrocarbon chain having 2-6 C atoms, including optionally .gtoreq.1 double bonds and/or optionally interrupted by O, S or N. Addnl. definitions of the variables in I are given in the claims.

IT 477743-07-0P, Ethyl (1-ethyl-7,8-dimethoxy-2-oxo-5-phenyl-2,3-dihydro-1H-1,4-benzodiazepin-3-yl]acetate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of benzodiazepinones as cyclic nucleotide phosphodiesterase (particularly PDE4) inhibitors useful as antiinflammatories)

RN 477743-07-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 1-ethyl-2,3-dihydro-7,8-dimethoxy-2-oxo-5-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

Provisó



L62 ANSWER 6 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

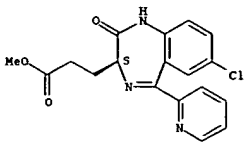
ACCESSION NUMBER: 2002:767289 CAPLUS
DOCUMENT NUMBER: 138:378527
TITLE: Relating the Structure, Activity, and Physical Properties of Ultrashort-Acting Benzodiazepine Receptor Agonists
AUTHOR(S): Pacofsky, Gregory J.; Stafford, Jeffrey A.; Cox, Richard F.; Cowan, Jill R.; Dorsey, George F.; Gonzales, Stephen S.; Kaldor, Istvan; Koszalka, George W.; Lovell, George G.; McIntyre, Maggie S.; Tidwell, Jeffrey H.; Todd, Dan; Whitesell, Graham; Wiard, Robert P.; Feldman, Paul L.
CORPORATE SOURCE: GlaxoSmithKline, Research Triangle Park, NC, 27709, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(21), 3219-3222
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The ultrashort-acting benzodiazepine (USA BZD) agonists reported previously have been structurally modified to improve aq. soly. Lactam-to-amidine modifications, replacement of the C5-haloaryl ring, and annulation of heterocycles are presented. These analogs retain BZD receptor potency and full agonism profiles.

IT **308242-24-2P**
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(structure-activity relationship and phys. properties of ultrashort-acting benzodiazepine receptor agonists)

RN 308242-24-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

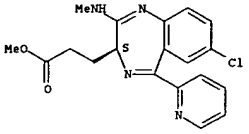
Absolute stereochemistry.



IT **308242-14-0**
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(structure-activity relationship and phys. properties of ultrashort-acting benzodiazepine receptor agonists)

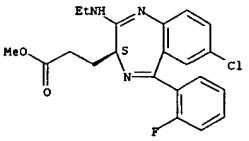
RN 308242-14-0 CAPLUS

L62 ANSWER 6 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



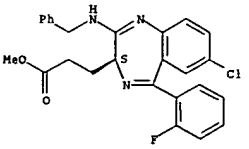
RN 308242-47-9 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-(ethylamino)-5-(2-fluorophenyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-48-0 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(phenylmethyl)amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

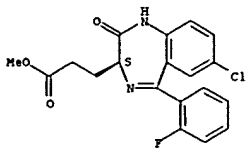


RN 308242-49-1 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(4-pyridinylmethyl)amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L62 ANSWER 6 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

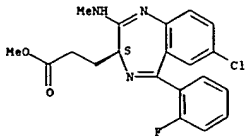
Absolute stereochemistry.



IT **308242-45-7P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relationship and phys. properties of ultrashort-acting benzodiazepine receptor agonists)

RN 308242-45-7 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-(methylamino)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

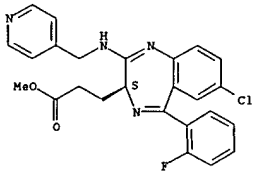


IT **308242-46-8P 308242-47-9P 308242-48-0P 308242-49-1P 308242-50-4P 308242-51-5P 308242-52-6P 308242-53-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relationship and phys. properties of ultrashort-acting benzodiazepine receptor agonists)

RN 308242-46-8 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-(methylamino)-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

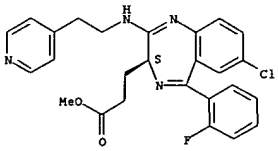
Absolute stereochemistry.

L62 ANSWER 6 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



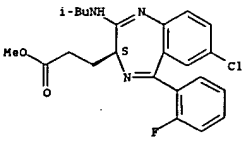
RN 308242-50-4 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[[2-(4-pyridinyl)ethyl]amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-51-5 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(2-methylpropyl)amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

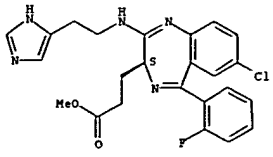
Absolute stereochemistry.



RN 308242-52-6 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[[2-(1H-imidazol-4-yl)ethyl]amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

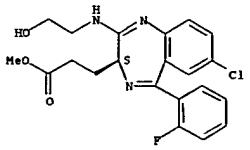
Absolute stereochemistry.

L62 ANSWER 6 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



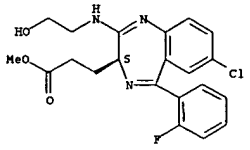
RN 308242-53-7 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(2-hydroxyethyl)amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



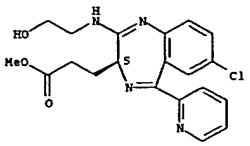
IT 308242-53-7DP, derivs. 308243-53-0DP, derivs.
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(structure-activity relationship and phys. properties of ultrashort-acting benzodiazepine receptor agonists)
RN 308242-53-7 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(2-hydroxyethyl)amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



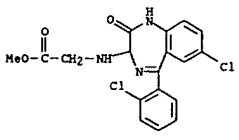
L62 ANSWER 6 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 308243-53-0 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-[(2-hydroxyethyl)amino]-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



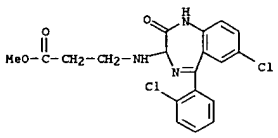
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:767288 CAPLUS
DOCUMENT NUMBER: 138:362129
TITLE: Identification and Structure-Activity Studies of Novel Ultrashort-Acting Benzodiazepine Receptor Agonists
AUTHOR(S): Stafford, Jeffrey A.; Pacofsky, Gregory J.; Cox, Richard F.; Cowan, Jill R.; Dorsey, George F.; Gonzales, Stephen S.; Jung, David K.; Koszalka, George W.; McIntyre, Maggie S.; Tidwell, Jeffrey H.; Wiard, Robert P.; Feldman, Paul L.
CORPORATE SOURCE: GlaxoSmithKline, Research Triangle Park, NC, 27709, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(21), 3215-3218
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis and evaluation of novel ultrashort-acting benzodiazepine (USA BZD) agonists is described. A BZD scaffold was modified by incorporation of amino acids and derivs. The propionate side chain of glutamic acid tethers an enzymically labile functionality where the metabolite carboxylic acid displays markedly reduced BZD receptor affinity. The USA BZDs were characterized by full agonism profiles.
IT 308242-39-9P 308242-40-2P 524735-20-4P 524735-21-5P 524735-22-6P 524735-23-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(identification and structure-activity studies of novel ultrashort-acting benzodiazepine receptor agonists)
RN 308242-39-9 CAPLUS
CN Glycine, N-[7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



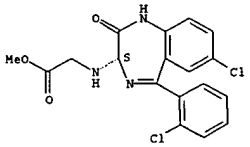
RN 308242-40-2 CAPLUS
CN .beta.-Alanine, N-[7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



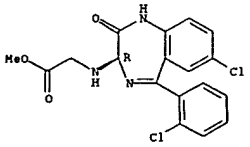
RN 524735-20-4 CAPLUS
CN Glycine, N-[(3S)-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 524735-21-5 CAPLUS
CN Glycine, N-[(3R)-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

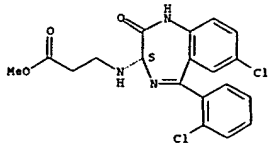
Absolute stereochemistry.



RN 524735-22-6 CAPLUS
CN .beta.-Alanine, N-[(3S)-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

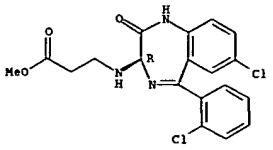
Absolute stereochemistry.

L62 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



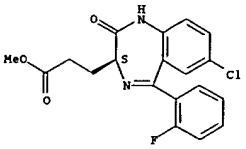
RN 524735-23-7 CAPLUS
CN .beta.-Alanine, N-[(3R)-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

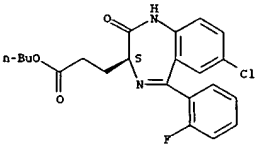


IT **308242-14-0P 308242-18-4P 308242-29-7P**
308242-30-0P 308242-34-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(identification and structure-activity studies of novel ultrashort-acting benzodiazepine receptor agonists)
RN 308242-14-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

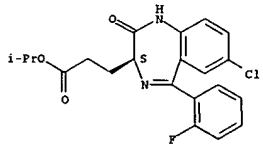


L62 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



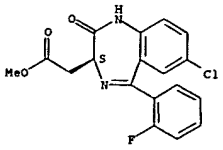
RN 308242-34-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, 1-methylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **308242-15-1 308242-16-2 308242-17-3**
308242-21-9 308242-26-4 308242-33-3
308243-62-1 524735-10-2 524735-11-3
524735-12-4 524735-15-7 524735-16-8
524735-17-9 524735-18-0 524735-19-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(identification and structure-activity studies of novel ultrashort-acting benzodiazepine receptor agonists)
RN 308242-15-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

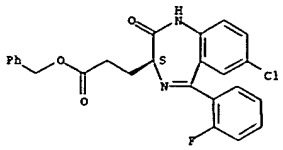


RN 308242-16-2 CAPLUS

L62 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

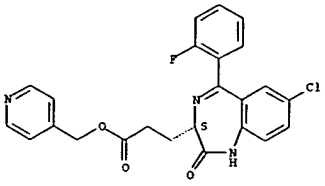
RN 308242-18-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-29-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, 4-pyridinylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

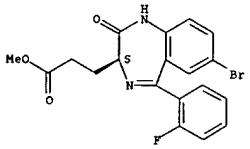


RN 308242-30-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, butyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

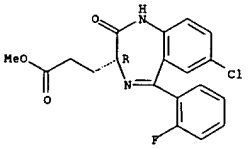
L62 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-bromo-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



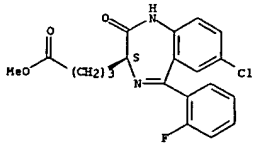
RN 308242-17-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-21-9 CAPLUS
CN 1H-1,4-Benzodiazepine-3-butanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

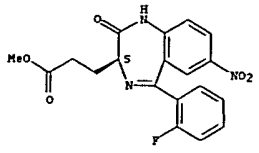
Absolute stereochemistry.



RN 308242-26-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-fluorophenyl)-2,3-dihydro-7-nitro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

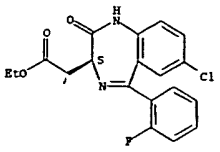
Absolute stereochemistry.

L62 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



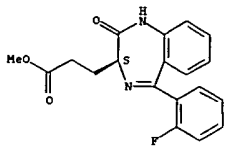
RN 308242-33-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, ethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308243-62-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

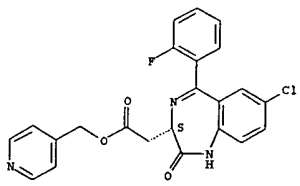
Absolute stereochemistry.



RN 524735-10-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

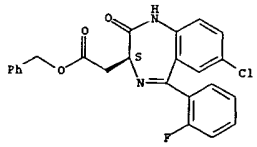
Absolute stereochemistry.

L62 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



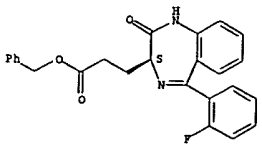
RN 524735-16-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 524735-17-9 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

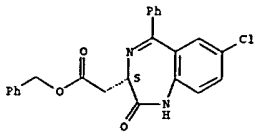
Absolute stereochemistry.



RN 524735-18-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-7-nitro-2-oxo-5-phenyl-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

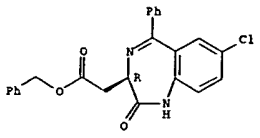
Absolute stereochemistry.

L62 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



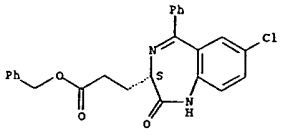
RN 524735-11-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, phenylmethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 524735-12-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

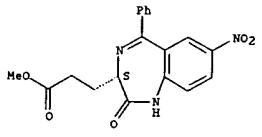
Absolute stereochemistry.



RN 524735-15-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, 4-pyridinylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

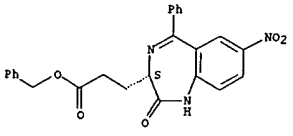
Absolute stereochemistry.

L62 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

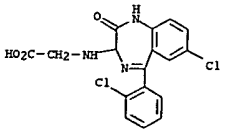


RN 524735-19-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-7-nitro-2-oxo-5-phenyl-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

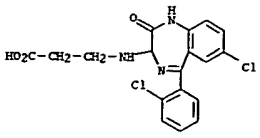


IT **524735-13-5P 524735-14-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(identification and structure-activity studies of novel ultrashort-acting benzodiazepine receptor agonists)
RN 524735-13-5 CAPLUS
CN Glycine, N-[7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



RN 524735-14-6 CAPLUS
CN .beta.-Alanine, N-[7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

L62 ANSWER 7 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

see 37 55

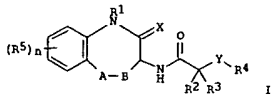
L62 ANSWER 8 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:868430 CAPLUS
DOCUMENT NUMBER: 136:6019
TITLE: Benzodiazepine derivatives as amyloid precursor protein modulators
INVENTOR(S): Castro Pineiro, Jose Luis; Churcher, Ian; Guiblin, Alexander Richard; Harrison, Timothy; Kerrad, Sonia; Madin, Andrew; Nadin, Alan John; Owens, Andrew Pate; Sparey, Timothy Jason; Teall, Martin Richard; Williams, Susannah
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090084	A1	20011129	WO 2001-GB2251	20010521
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1294702	A1	20030326	EP 2001-934131	20010521
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

PRIORITY APPLN. INFO.: GB 2000-12671 A 20000524
WO 2001-GB2251 W 20010521
OTHER SOURCE(S): MARPAT 136:6019
GI

L62 ANSWER 8 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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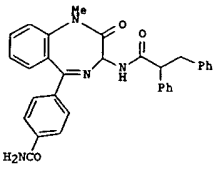


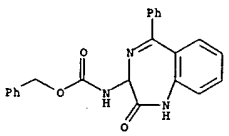
II

AB Benzodiazepines I [AB = (un)substituted C:N, 1,2,4-triazole-3,4-diyl, CONH, NHCO; X = O, S, NR; RR1 = CH:CH, CH2CH2; Y = (un)substituted alkylene; R1 = H, (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl; R2 = (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, OH, NH2; R3 = H, alkyl; R2R3 = alkylene; R4 = aryl, heteroaryl, alkyl, polyfluoroalkyl, cycloalkyl, cycloalkylalkyl; R5 = halogen, CN, NO2, alkyl, polyfluoroalkyl, OH, alkoxy; n = 0-3] were prepd. The compds. modulate the processing of amyloid precursor protein by .gamma.-secretase, and hence find use in the treatment or prevention of conditions assocd. with the deposition of .beta.-amyloid, such as Alzheimer's disease (no data). Thus, the amide II was prepd. from tert.-Bu 1-methyl-2,5-dioxo-1,2,3,5-tetrahydro-4H-1,4-benzodiazepine-4-carboxylate by grignard reaction with 2-(4-bromophenyl)-4,4-dimethyl-4,5-dihydrooxazole, dehydration, reaction with azide, redn. to the amine, hydrolysis to the acid, amidation, and acylation.

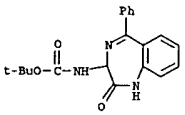
IT **108895-98-3 168162-29-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of acylaminobenzodiazepines as amyloid precursor protein modulators)

RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 8 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 168162-29-6 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



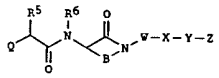
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

37

L62 ANSWER 9 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:762977 CAPLUS
DOCUMENT NUMBER: 135:303916
TITLE: Preparation of substituted lactams as inhibitors of a.beta. protein production
INVENTOR(S): Han, Wei; Liu, Hong; Olson, Richard E.; Yang, Michael G.
PATENT ASSIGNEE(S): DuPont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077086	A1	20011018	WO 2001-US11714	20010411
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002025955	A1	20020228	US 2001-832455	20010411
EP 1289966	A1	20030312	EP 2001-930471	20010411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-196549P P 20000411
WO 2001-US11714 W 20010411
OTHER SOURCE(S): MARPAT 135:303916
GI



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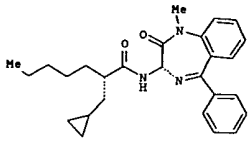
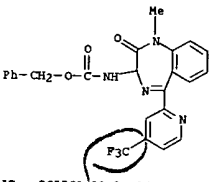
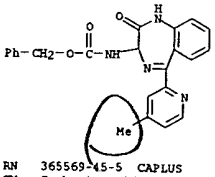


II

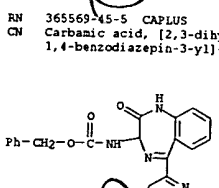
L62 ANSWER 9 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **365569-29-5P 365569-45-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of benzodiazepinones as inhibitors of A.beta. prodn. for treatment of Alzheimer's disease and Down's syndrome)
RN 365569-29-5 CAPLUS
CN Carbamic acid, [2,3-dihydro-5-(4-methyl-2-pyridinyl)-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



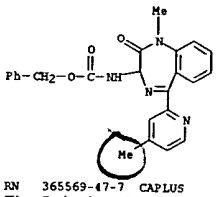
RN 365569-45-5 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-[4-(trifluoromethyl)-2-pyridinyl]-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 9 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB The title compds. I [wherein Q = (CR7R7a)nR4, (CR7R7a)nSR4, (CR7R7a)nOR4, (CR7R7a)nN(R7b)R4, (CR7R7a)nSOR4, (CR7R7a)nSO2R4, or (CR7R7a)nCOR4, provided when n = 0, then R4 .noteq. H; m = 1-3; n = 0-2; R4, R5, and Z = independently H or (un)substituted alkyl, alkenyl, alkynyl, carbocycle, aryl, or heterocycle; R6 = H or (un)substituted alkyl, carbocycle, or aryl; R7 and R7a = independently H or alkyl; R7b = H or alkyl; ring B = (un)substituted 7-membered lactam; W = a bond or (CR8R8a)p; p = 0-4; R8 and R8a = independently H, F, (cyclo)alkyl, alkenyl, or alkynyl; X = a bond or (un)substituted aryl, carbocycle, or heterocycle; Y = a bond or (CR9R9a)tV(CR9R9a)u; t and u = independently 0-2; R9 and R9a = independently H, F, or (cyclo)alkyl; V = a bond, CO, O, S, SO, SO2, or (un)substituted amino, carbamoyl, carbonylamino, sulfamoyl, aminosulfonyl, carboxy, etc.] were prepd. For example, coupling of (3S)-3-amino-1,3-dihydro-1-methyl-5-phenyl-2H-1,4-benzodiazepin-2-one with (.alpha.R)-.alpha.-[(1S)-1-hydroxypentyl]cyclopropanepropanoic acid (58%), followed by reaction with thiocarbonyldiimidazole (71%) and redn. with Bu3SnH (85%), gave II. I inhibit the processing of amyloid precursor protein and, more specifically, inhibit the prodn. of A.beta.-peptide, thereby acting to prevent the formation of neurol. deposits of amyloid protein (no data). Thus, I are useful for the treatment of neurol. disorders related to .beta.-amyloid prodn., such as Alzheimer's disease and Down's Syndrome (no data).
IT **365569-31-9P 365569-47-7P**
RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(intermediate; prepn. of benzodiazepinones as inhibitors of A.beta. prodn. for treatment of Alzheimer's disease and Down's syndrome)
RN 365569-31-9 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-methyl-5-(4-methyl-2-pyridinyl)-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 365569-47-7 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-methyl-2-oxo-5-[4-(trifluoromethyl)-2-pyridinyl]-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 10 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:565016 CAPLUS
DOCUMENT NUMBER: 135:137529
TITLE: Preparation of azepine derivatives as VLA-4 antagonists
INVENTOR(S): Ikegami, Satoru; Inoguchi, Kiyoshi; Fukui, Hideto; Sumita, Yuji; Maruyama, Tatsuya; Watanuki, Mitsuru
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055121	A1	20010802	WO 2001-JP521	20010126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

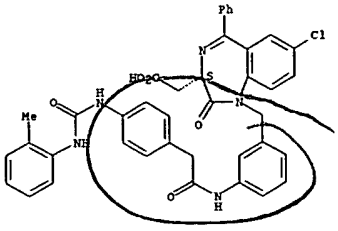
PRIORITY APPLN. INFO.: JP 2000-20358 A 20000128
OTHER SOURCE(S): MARPAT 135:137529
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R1 = H, alkyl, aryl; R2 = H, (CH3)3COCO; R3 = alkylene, divalent arom. hydrocarbon derivs.; R4 = H, alkyl; X = arom. hydrocarbon; heterocycle; m = 1, 2, 3; Y = N, O; Z = R8R7R6A1; A1 = CH2, SO2; R6 = alkylene, divalent arylalkane derivs.; R7 = CH2, CO; R8 = alkyl, arylalkyl] and salts are prepd. Title compds. or salts of title compds. are used as the active ingredient in remedies having peroral absorbability and exhibiting VLA-4 antagonism. Thus, the title compd. II was prepd. and biol. tested for VLA-4 antagonism.
IT **352234-59-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azepine derivs. as VLA-4 antagonists)
RN 352234-59-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-1-[[3-[[[4-[[[(2-methylphenyl]amino]carbonyl]amino]phenyl]acetyl]amino]phenyl]methyl]-2-oxo-5-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

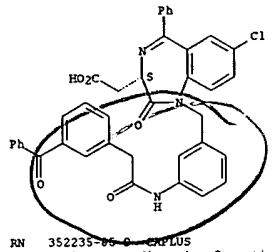
L62 ANSWER 10 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **352235-84-8P 352235-85-9P 352235-86-0P 352238-82-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azepine derivs. as VLA-4 antagonists)

RN 352235-84-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 1-[[3-[[[3-benzoylphenyl]acetyl]amino]phenyl]methyl]-7-chloro-2,3-dihydro-2-oxo-5-phenyl-, (3S)- (9CI) (CA INDEX NAME)

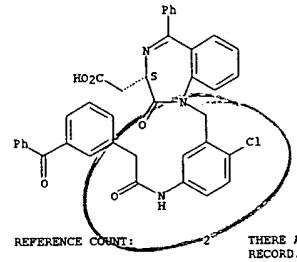
Absolute stereochemistry.



RN 352235-85-9 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 1-[[2-chloro-5-[[[4-[[[(2-methylphenyl]amino]carbonyl]amino]phenyl]acetyl]amino]phenyl]methyl]-2,3-dihydro-2-oxo-5-phenyl-, (3S)- (9CI) (CA INDEX NAME)

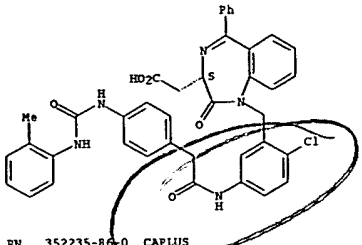
Absolute stereochemistry.

L62 ANSWER 10 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



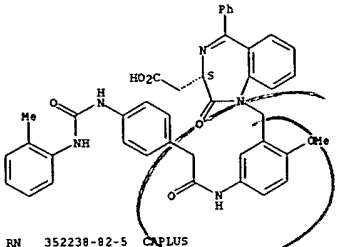
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 10 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 352235-86-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-1-[[2-methoxy-5-[[[4-[[[(2-methylphenyl]amino]carbonyl]amino]phenyl]acetyl]amino]phenyl]methyl]-2-oxo-5-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 352238-82-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 1-[[5-[[(3-benzoylphenyl)acetyl]amino]-2-chlorophenyl]methyl]-2,3-dihydro-2-oxo-5-phenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

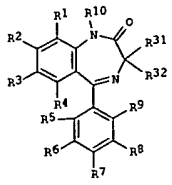
L62 ANSWER 11 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:115129 CAPLUS
DOCUMENT NUMBER: 134:163068
TITLE: Preparation of novel 1,4-benzodiazepines as modulators of metabotropic glutamate receptors
INVENTOR(S): Curry, Kenneth; Pajouhesh, Hossien
PATENT ASSIGNEE(S): IGT Pharma Inc., Can.
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010846	A2	20010215	WO 2000-CA897	20000804
WO 2001010846	A3	20011108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1210338	A2	20020605	EP 2000-951157	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506440	T2	20030218	JP 2001-515312	20000804

PRIORITY APPLN. INFO.: CA 1999-2279689 A 19990805
CA 2000-2299477 A 20000224
WO 2000-CA897 W 20000804

OTHER SOURCE(S): MARPAT 134:163068
GI



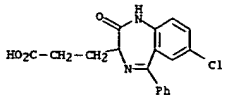
I

AB The title compds. [I; R1-R9 = H, NO2, NH2, etc.; R10 = alkyl, aryl, arylalkyl, etc.; R31 = H, or taken together with R32 to form carboxy-substituted spirocycle; R32 = carboxy-substituted Ph, carboxy-substituted cyclopropyl, CH2CO2H, etc.; R31 or/and R32 contain at least CO2H, or NH2 or both] which act as modulators of metabotropic glutamate receptors and, as such, are useful in treating diseases of the

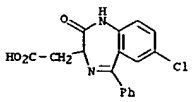
L62 ANSWER 11 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
central nervous system related to the metabotropic glutamate receptor system, were prepd. E.g., a multi-step synthesis of I [R1, R2, R4-R10 = H; R3 = Cl; R31 = H; R32 = CH2CO2H] was given. Biol. data for the exemplified compds. I was presented.

IT **29580-47-0P 325787-12-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel 1,4-benzodiazepines as modulators of metabotropic glutamate receptors)

RN 29580-47-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



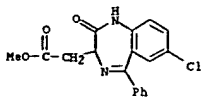
RN 325787-12-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



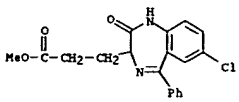
IT **325787-16-4P 325787-17-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of novel 1,4-benzodiazepines as modulators of metabotropic glutamate receptors)

RN 325787-16-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, methyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 11 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 325787-17-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, methyl ester (9CI) (CA INDEX NAME)

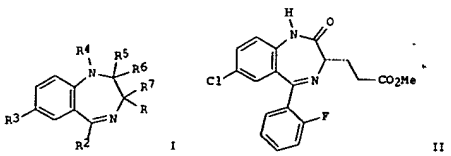


L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:824235 CAPLUS
DOCUMENT NUMBER: 134:4954
TITLE: Preparation of short-acting benzodiazepines
INVENTOR(S): Feldman, Paul L.; Jung, David Kendall; Kaldor, Istvan; Pacofsky, Gregory J.; Stafford, Jeffrey A.; Tidwell, Jeffrey H.
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069836	A1	20001123	WO 2000-US13134	20000512
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1183243	A1	20020306	EP 2000-930686	20000512
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002544266	T2	20021224	JP 2000-618253	20000512

PRIORITY APPLN. INFO.: GB 1999-11152 A2 19990514
WO 2000-US13134 W 20000512

OTHER SOURCE(S): MARPAT 134:4954
GI



AB Title compds. [I; R = XnYmCO2R1; R1 = H, alkyl, (hetero)aryl(alkyl), etc.; R2 = (2-halo)phenyl or 2-pyridyl; R3 = H, halo, CF3, NO2; R4 = H or (dialkylamino)alkyl and R5R6 = O or S; R4R5 = bond and R6 = (un)substituted amino; R5R6 = N or CH linked to R4 wherein R4 = CR8:U; U = N or CR9; R7 = H or alkyl; R8,R9 = H or (hydroxy)alkyl; X = CH2, NH, NMe; Y = O or CH2; n = 1 or 2; m = 0 or 1] and N-oxides thereof were prepd. Thus, 2-amino-5-chloro-2'-fluorobenzophenone was amidated by (S)-ClCOCH(NHFmoc)CH2CH2CO2Me (prepn. given) and the product cyclized to give title compd. II. Data for biol. activity of I were given.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
IT **308242-14-0P 308242-15-1P 308242-16-2P**
308242-17-3P 308242-18-4P 308242-19-5P
308242-20-8P 308242-21-9P 308242-22-0P
308242-23-1P 308242-24-2P 308242-25-3P
308242-26-4P 308242-27-5P 308242-28-6P
308242-29-7P 308242-30-0P 308242-31-1P
308242-32-2P 308242-33-3P 308242-34-4P
308242-35-5P 308242-36-6P 308242-37-7P
308242-38-8P 308242-39-9P 308242-40-2P
308242-41-3P 308242-42-4P 308242-43-5P
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308242-74-2P 308242-75-3P 308242-76-4P
308242-77-5P 308242-78-6P 308242-79-7P
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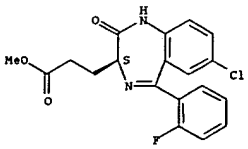
308242-92-4P 308242-93-5P 308242-94-6P
308242-95-7P 308242-96-8P 308242-97-9P
308242-98-0P 308242-99-1P 308243-00-7P
308243-01-8P 308243-02-9P 308243-03-0P
308243-04-1P 308243-05-2P 308243-06-3P
308243-07-4P 308243-08-5P 308243-09-6P
308243-10-9P 308243-11-0P 308243-12-1P
308243-13-2P 308243-14-3P 308243-15-4P
308243-16-5P 308243-17-6P 308243-18-7P
308243-19-8P 308243-20-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of short-acting benzodiazepines)

RN 308242-14-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

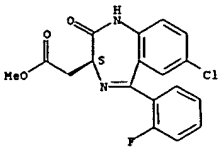
Absolute stereochemistry.



RN 308242-15-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

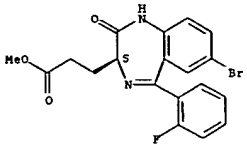
Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



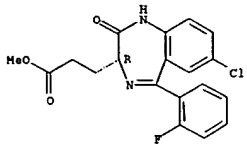
RN 308242-16-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-bromo-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-17-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3R)- (9CI) (CA INDEX NAME)

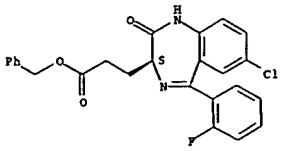
Absolute stereochemistry.



RN 308242-18-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

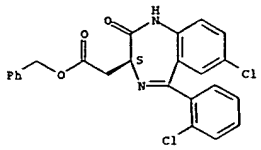
Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



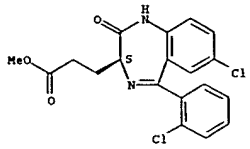
RN 308242-19-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-20-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

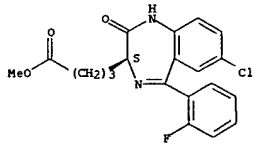
Absolute stereochemistry.



RN 308242-21-9 CAPLUS
CN 1H-1,4-Benzodiazepine-3-butanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

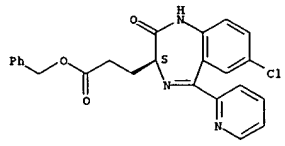
Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



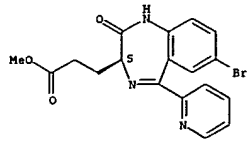
RN 308242-22-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-23-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-bromo-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

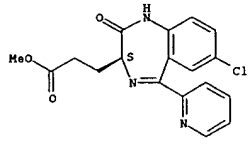
Absolute stereochemistry.



RN 308242-24-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

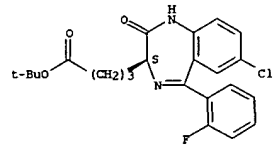
Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



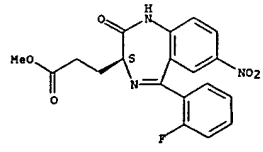
RN 308242-25-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-butanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-26-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-fluorophenyl)-2,3-dihydro-7-nitro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

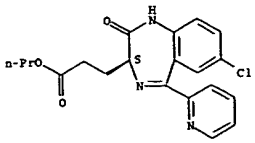
Absolute stereochemistry.



RN 308242-27-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, propyl ester, (3S)- (9CI) (CA INDEX NAME)

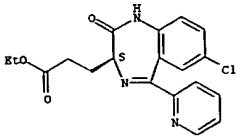
Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



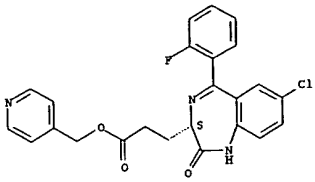
RN 308242-28-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, ethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-29-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, 4-pyridinylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

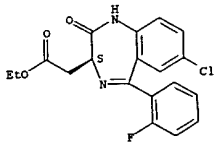
Absolute stereochemistry.



RN 308242-30-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, butyl ester, (3S)- (9CI) (CA INDEX NAME)

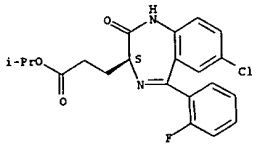
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



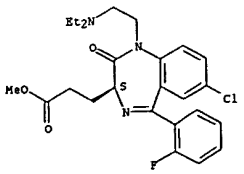
RN 308242-34-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, 1-methylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-35-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-1-[2-(diethylamino)ethyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

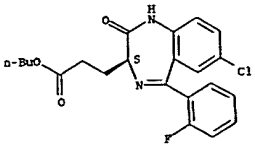


RN 308242-36-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

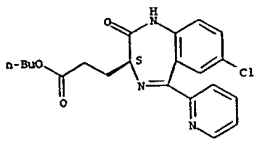
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



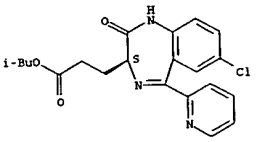
RN 308242-31-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, butyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



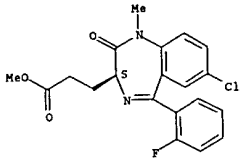
RN 308242-32-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, 2-methylpropyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



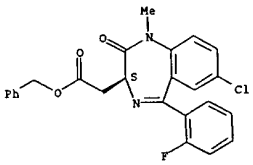
RN 308242-33-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, ethyl ester, (3S)- (9CI) (CA INDEX NAME)

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



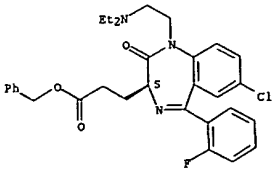
RN 308242-37-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



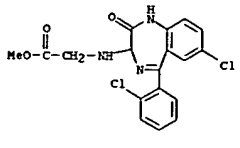
RN 308242-38-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-1-[2-(diethylamino)ethyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

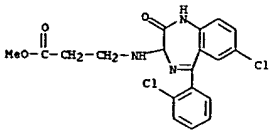


RN 308242-39-9 CAPLUS
CN Glycine, N-[7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

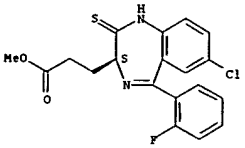


RN 308242-40-2 CAPLUS
CN .beta.-Alanine, N-[7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 308242-41-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-thioxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

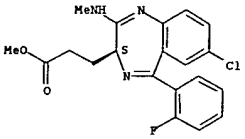
Absolute stereochemistry.



RN 308242-42-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-thioxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

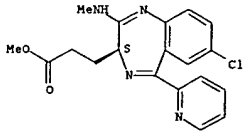
Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



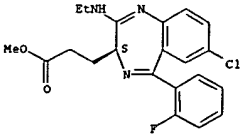
RN 308242-46-8 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-(methylamino)-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-47-9 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-(ethylamino)-5-(2-fluorophenyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

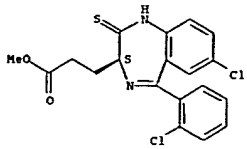
Absolute stereochemistry.



RN 308242-48-0 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(phenylmethyl)amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

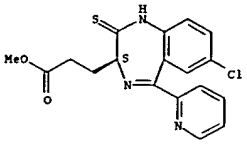
Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



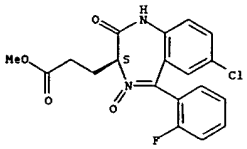
RN 308242-43-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-5-(2-pyridinyl)-2-thioxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-44-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, 4-oxide, (3S)- (9CI) (CA INDEX NAME)

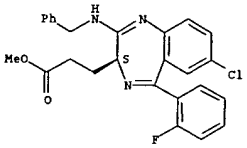
Absolute stereochemistry.



RN 308242-45-7 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-(methylamino)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

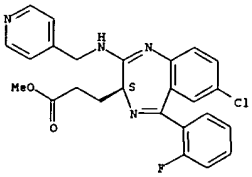
Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



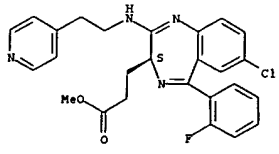
RN 308242-49-1 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(4-pyridinylmethyl)amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308242-50-4 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[[2-(4-pyridinyl)ethyl]amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

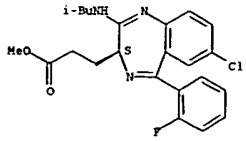
Absolute stereochemistry.



RN 308242-51-5 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(2-methylpropyl)amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

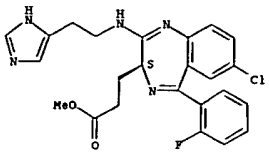
Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



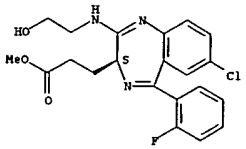
RN 308242-52-6 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[[2-(1H-imidazol-4-yl)ethyl]amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



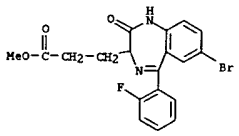
RN 308242-53-7 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(2-hydroxyethyl)amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

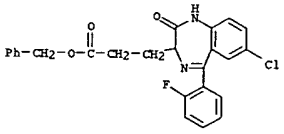


RN 308242-54-8 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-bromo-5-(2-fluorophenyl)-2-(methylamino)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

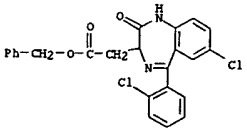
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



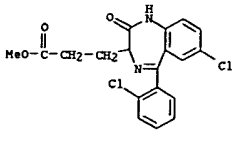
RN 308242-76-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



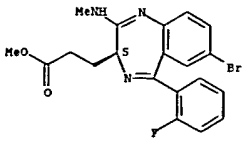
RN 308242-77-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



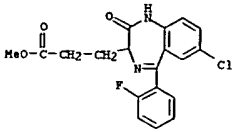
RN 308242-78-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



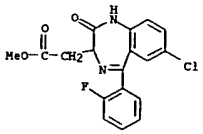
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Absolute stereochemistry.



RN 308242-73-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



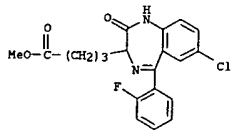
RN 308242-74-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



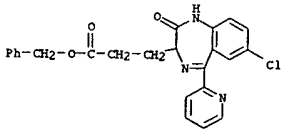
RN 308242-75-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-bromo-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

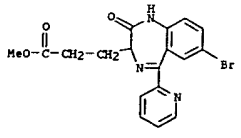
RN 308242-79-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-butanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 308242-80-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

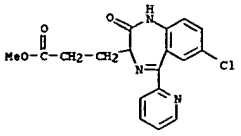


RN 308242-81-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-bromo-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

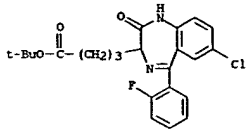


RN 308242-82-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

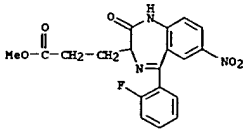
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308242-83-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-butanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

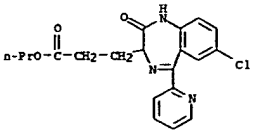


RN 308242-84-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-fluorophenyl)-2,3-dihydro-7-nitro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

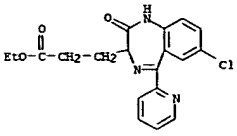


RN 308242-85-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, propyl ester (9CI) (CA INDEX NAME)

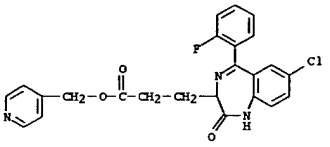
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308242-86-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

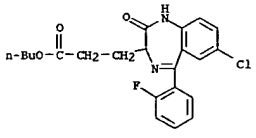


RN 308242-87-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, 4-pyridinylmethyl ester (9CI) (CA INDEX NAME)

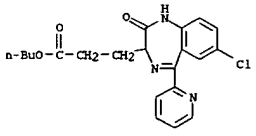


RN 308242-88-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, butyl ester (9CI) (CA INDEX NAME)

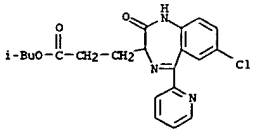
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



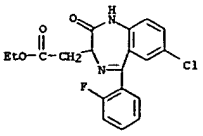
RN 308242-89-9 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, butyl ester (9CI) (CA INDEX NAME)



RN 308242-90-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-(2-pyridinyl)-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

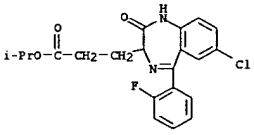


RN 308242-91-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

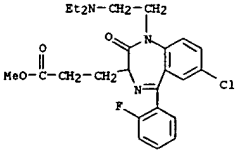


L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

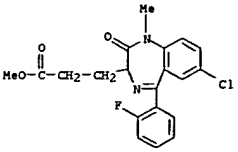
RN 308242-92-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 308242-93-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-1-[2-(diethylamino)ethyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

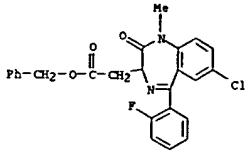


RN 308242-94-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

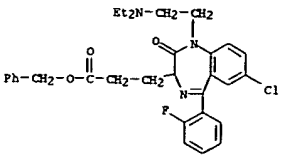


RN 308242-95-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)

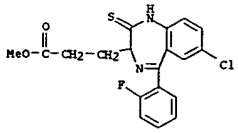
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308242-96-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-1-[2-(diethylamino)ethyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)

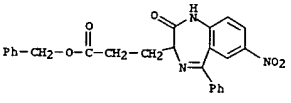


RN 308242-97-9 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)

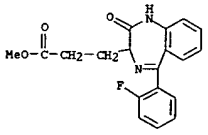


RN 308242-98-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)

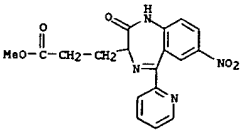
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



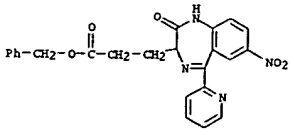
RN 308243-02-9 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 308243-03-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-7-nitro-2-oxo-5-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

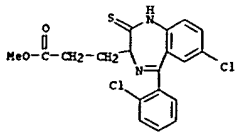


RN 308243-04-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-7-nitro-2-oxo-5-(2-pyridinyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

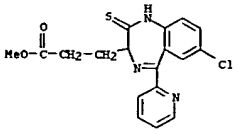


RN 308243-05-2 CAPLUS

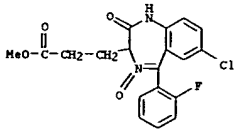
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308242-99-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-5-(2-pyridinyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)

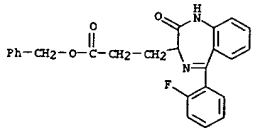


RN 308243-00-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, 4-oxide (9CI) (CA INDEX NAME)

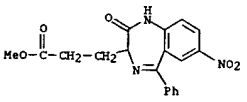


RN 308243-01-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-7-nitro-2-oxo-5-phenyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

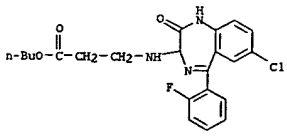
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 308243-06-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-7-nitro-2-oxo-5-phenyl-, methyl ester (9CI) (CA INDEX NAME)

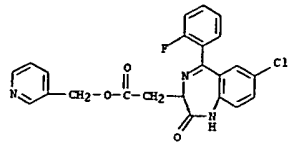


RN 308243-07-4 CAPLUS
CN .beta.-Alanine, N-[7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, butyl ester (9CI) (CA INDEX NAME)

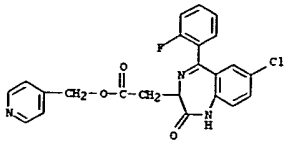


RN 308243-08-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)

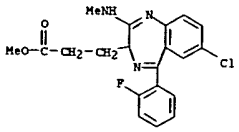
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308243-09-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, 4-pyridinylmethyl ester (9CI) (CA INDEX NAME)

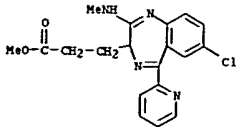


RN 308243-10-9 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-(methylamino)-, methyl ester (9CI) (CA INDEX NAME)

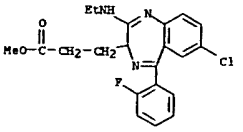


RN 308243-11-0 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-(methylamino)-5-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

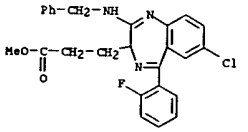
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308243-12-1 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-(ethylamino)-5-(2-fluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)

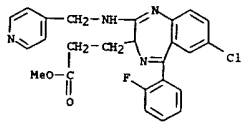


RN 308243-13-2 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(phenylmethyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

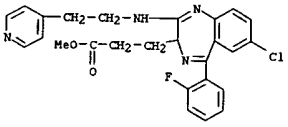


RN 308243-14-3 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(4-pyridinylmethyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

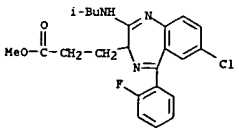
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308243-15-4 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[[2-(4-pyridinyl)ethyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

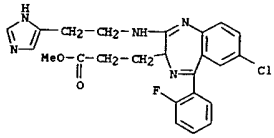


RN 308243-16-5 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(2-methylpropyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

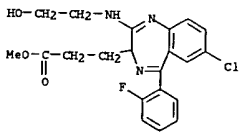


RN 308243-17-6 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[[2-(1H-imidazol-4-yl)ethyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

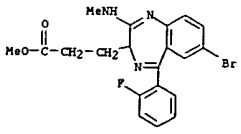
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 308243-18-7 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(2-hydroxyethyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

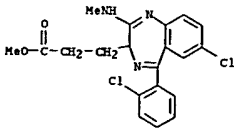


RN 308243-19-8 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-bromo-5-(2-fluorophenyl)-2-(methylamino)-, methyl ester (9CI) (CA INDEX NAME)



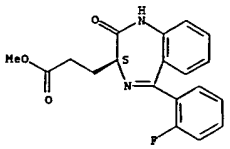
RN 308243-20-1 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-chlorophenyl)-2-(methylamino)-, methyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT 308243-62-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of short-acting benzodiazepines)
RN 308243-62-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

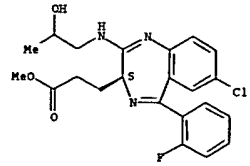
Absolute stereochemistry.



IT 308243-44-9P 308243-45-0P 308243-46-1P
308243-47-2P 308243-48-3P 308243-49-4P
308243-50-7P 308243-51-8P 308243-52-9P
308243-53-0P 308243-54-1P 308243-55-2P
308243-57-4P 308243-59-6P 308243-60-9P
308243-64-3P 308243-67-6P 308243-70-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of short-acting benzodiazepines)
RN 308243-44-9 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(2-hydroxypropyl)amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

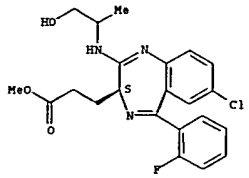
Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



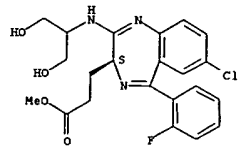
RN 308243-45-0 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(2-hydroxy-1-methylethyl)amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308243-46-1 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[(2-hydroxy-1-(hydroxymethyl)ethyl]amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

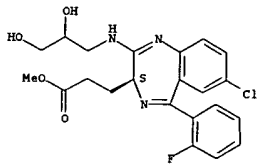
Absolute stereochemistry.



RN 308243-47-2 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-[(2,3-

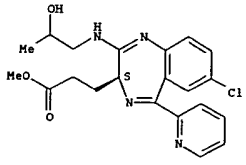
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
dihydroxypropyl)amino]-5-(2-fluorophenyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



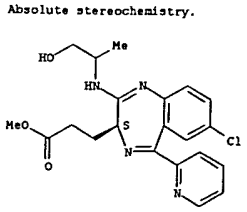
RN 308243-48-3 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-[(2-hydroxypropyl)amino]-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



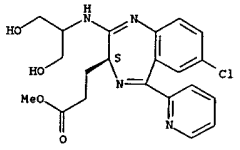
RN 308243-49-4 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-[(2-hydroxy-1-methylethyl)amino]-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



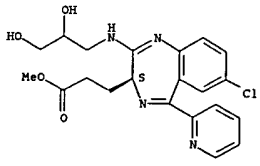
L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 308243-50-7 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



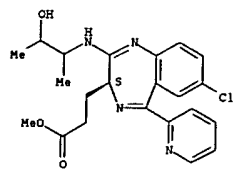
RN 308243-51-8 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-[(2,3-dihydroxypropyl)amino]-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308243-52-9 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-[(2-hydroxy-1-methylpropyl)amino]-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

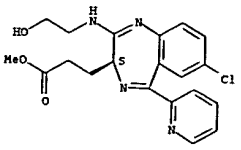
Absolute stereochemistry.



L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

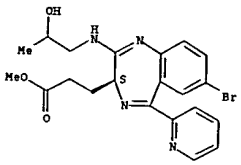
RN 308243-53-0 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-[(2-hydroxyethyl)amino]-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



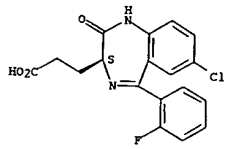
RN 308243-54-1 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-bromo-2-[(2-hydroxypropyl)amino]-5-(2-pyridinyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

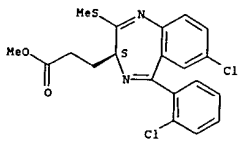


RN 308243-55-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

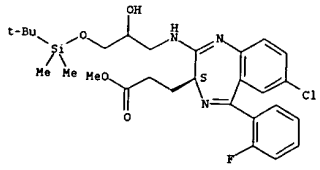


L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



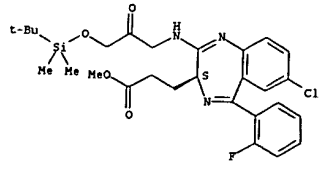
RN 308243-64-3 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-hydroxypropyl]amino]-5-(2-fluorophenyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308243-67-6 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-oxopropyl]amino]-5-(2-fluorophenyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



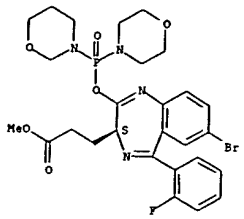
RN 308243-70-1 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2-[[2-(1,1-dimethylethoxy)-1-(hydroxymethyl)ethyl]amino]-5-(2-fluorophenyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

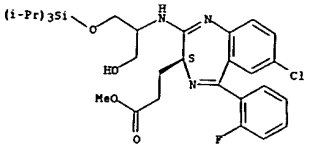
RN 308243-57-4 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-bromo-2-[[(dihydro-2H-1,3-oxazin-3(4H)-yl)-4-morpholinylphosphinyl]oxy]-5-(2-fluorophenyl)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308243-59-6 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-fluorophenyl)-2-[[1-(hydroxymethyl)-2-[[tris(1-methylethyl)silyl]oxy]ethyl]amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

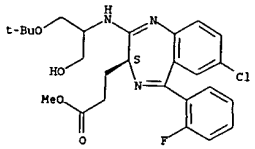
Absolute stereochemistry.



RN 308243-60-9 CAPLUS
CN 3H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-5-(2-chlorophenyl)-2-(methylthio)-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L62 ANSWER 12 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 13 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:819473 CAPLUS
DOCUMENT NUMBER: 134:5159
TITLE: Preparation of tripeptoid analogs as serine protease inhibitors
INVENTOR(S): Gyorkos, Albert C.; Spruce, Lyle W.
PATENT ASSIGNEE(S): Cortech, Inc., USA
SOURCE: U.S., 107 pp., Cont-in-part of U. S. Ser. No. 761,190.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 18
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6150334	A	20001121	US 1997-985201	19971206
US 5618792	A	19970408	US 1994-345820	19941121
US 5807829	A	19980915	US 1996-761190	19961206
WO 9824806	A2	19980611	WO 1997-US21636	19971205
WO 9824806	A3	19981015		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9855894	A1	19980629	AU 1998-55894	19971205
AU 734615	B2	20010621		
EP 954526	A2	19991110	EP 1997-952232	19971205

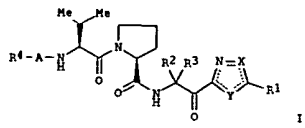
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

CN 1247542	A	20000315	CN 1997-180392	19971205
JP 2001507679	T2	20010612	JP 1998-525656	19971205
JP 3220169	B2	20011022		
JP 2001192398	A2	20010717	JP 2000-197432	19971205
US 6037325	A	20000314	US 1998-69823	19980430
US 6001813	A	19991214	US 1998-90046	19980603
NO 9902734	A	19990802	NO 1999-2734	19990604
MX 9905240	A	20000531	MX 1999-5240	19990604

PRIORITY APPLN. INFO.:

US 1994-345820	A2 19941121
US 1996-761190	A2 19961206
US 1996-698575	A1 19960815
US 1996-760916	A 19961206
US 1996-761313	A 19961206
US 1996-762381	A 19961206
US 1996-771317	A 19961206
US 1997-984881	A 19971204
US 1997-984884	A 19971204
US 1997-985056	A 19971204
US 1997-985201	A 19971204
US 1997-985298	A 19971204
JP 1998-525656	A3 19971205
WO 1997-US21636	W 19971205

L62 ANSWER 13 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 134:5159
GI



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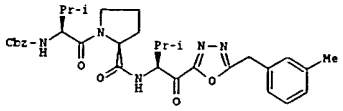


II

AB Tripeptides I [X, Y = O, N, or S, provided that at least one of X or Y = N; R1 = (un)substituted (C5-12)aryl, (C5-12)arylalkyl, (C5-12)arylalkenyl, fused (C5-12)aryl-cycloalkyl, alkyl- or alkenyl-fused (C5-12)aryl-cycloalkyl optionally comprising one or more heteroatoms selected from N, S, or non-peroxide O; R2, R3 = H or alkyl; A = CO, NHCO, SO2, OZC, or CH2; R4 = H, alkyl, alkenyl, cycloalkyl, aryl, or arylalkyl (with provisos)] were prepd. as serine protease inhibitors, including inhibitors of human neutrophil elastase. Thus, peptide I (Cbz = benzyloxycarbonyl) (CE-2072) was prepd. and showed Ki = 0.025 nM for inhibition of elastase.

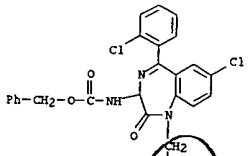
IT **208846-88-2P**, CE 2230
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tripeptoid analogs as serine protease inhibitors)

RN 208846-88-2 CAPLUS

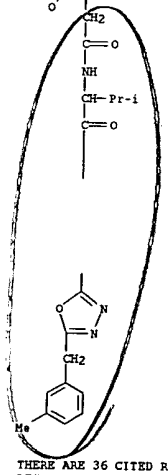
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-[2-[[2-methyl-1-[[5-[(3-methylphenyl)methyl]-1,3,4-oxadiazol-2-yl]carbonyl]propyl]amino]-2-oxoethyl]-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 13 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 14 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:772633 CAPLUS
DOCUMENT NUMBER: 133:335250
TITLE: Preparation of pyrazolobenzodiazepines as CDK2 inhibitors
INVENTOR(S): Ding, Qingjie; Liu, Jin-Jun; Madison, Vincent Stewart; Pizzolato, Giacomo; Wei, Chung-Chen; Wovkulich, Peter Michael
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064900	A1	20001102	WO 2000-EP3394	20000414

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6440959	B1	20020827	US 2000-548091	20000412
BR 2000009887	A	20020122	BR 2000-9887	20000414
EP 1185529	A1	20020313	EP 2000-929360	20000414

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

HR 2001000742	A1	20021231	HR 2001-742	20011012
NO 2001005065	A	20011018	NO 2001-5065	20011018
US 2002183514	A1	20021205	US 2002-122559	20020415

PRIORITY APPLN. INFO.:

US 1999-130370P	P 19990421
US 2000-548091	A3 20000412
WO 2000-EP3394	W 20000414

OTHER SOURCE(S): MARPAT 133:335250
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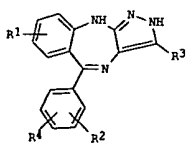


I

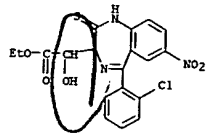
AB Pyrazolobenzodiazepines I [R1 = H, NO2, CN, halo, etc.; R2, R4 = H, halo, NO2, CF3, alkyl; R3 = H, cycloalkyl, aryl, etc.], cyclin-dependent kinase

L62 ANSWER 14 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(CDKs, in particular CDK2) inhibitors, were prepd. E.g., 5-(2-chlorophenyl)-7-nitropyrazolo[3,4][1,4]benzodiazepine-3-carboxaldehyde was prepd. I are anti-proliferative agents useful in the treatment or control of cell proliferative disorders, in particular breast, colon, lung and prostate tumors.

IT 303197-23-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrazolobenzodiazepines as CDK2 inhibitors)

RN 303197-23-1 CAPLUS

CN 1H-1,4-Benzodiazepine-3-acetic acid, 5-(2-chlorophenyl)-2,3-dihydro-.alpha.-hydroxy-7-nitro-2-thioxo-, ethyl ester (9CI) (CA INDEX NAME)



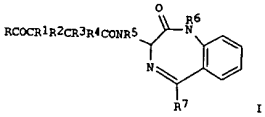
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 15 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:456838 CAPLUS
DOCUMENT NUMBER: 133:89552
TITLE: Succinoylaminobenzodiazepines as inhibitors of A.beta. protein production
INVENTOR(S): Olson, Richard E.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038618	A2	20000706	WO 1999-US30815	19991223
WO 2000038618	A3	20030403		
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 2000020592	A5	20000731	AU 2000-20592	19991223
BR 9917082	A	20011106	BR 1999-17082	19991223
EP 1313426	A2	20030528	EP 1999-964322	19991223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2003523932	T2	20030812	JP 2000-590572	19991223
NO 2001002984	A	20010615	NO 2001-2984	20010615

PRIORITY APPLN. INFO.: US 1998-113588P P 19981224
WO 1999-US30815 W 19991223
OTHER SOURCE(S): MARPAT 133:89552
GI

L62 ANSWER 15 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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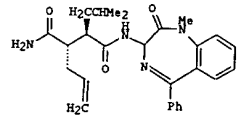


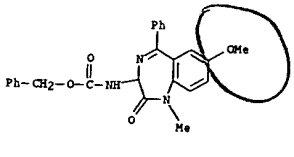
II

AB Succinoylaminobenzodiazepines I [R = (un)substituted NH2; R1 = (un)substituted alkyl; R2, R4 = H, OH, alkyl, alkoxy, alkenyl, alkenyloxy; R3 = H, (un)substituted OH, alkyl, alkoxy, alkenyl, alkynyl, carbocyclic aryl, heterocyclic; R5, R6 = H, (un)substituted alkyl, carbocyclic, aryl; R7 = (un)substituted ph, pyridyl] were prepd. I inhibit the processing of amyloid precursor protein and, more specifically, inhibit the prodn. of A.beta.-peptide, thereby acting to prevent the formation of neurol. deposits of amyloid protein and are useful in the treatment of neurol. disorders related to .beta.-amyloid prodn. such as Alzheimer's disease and Down's Syndrome. Thus, the title compd. II was prepd. by treating (2R,3S)-Me3CO2CCH(CH2CH:CH2)CH(CH2CHMe2)CO2H with the aminobenzodiazepine, ester hydrolysis, and amidation.

IT 280568-22-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of succinoylaminobenzodiazepines as inhibitors of A.beta.-protein prodn.)

RN 280568-22-1 CAPLUS

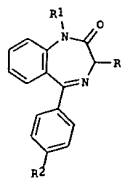
CN Carbamic acid, (2,3-dihydro-7-methoxy-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 16 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:175798 CAPLUS
DOCUMENT NUMBER: 132:222556
TITLE: Preparation of benzodiazepine derivatives as c-Src tyrosine kinase SH2 ligands
INVENTOR(S): Deprez, Pierre; Lesuisse, Dominique; Mandine, Eliane
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000014073	A1	20000316	WO 1999-FR2124	19990907
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2782997	A1	20000310	FR 1998-11194	19980908

PRIORITY APPLN. INFO.: FR 1998-11194 19980908
OTHER SOURCE(S): MARPAT 132:222556
GI



I

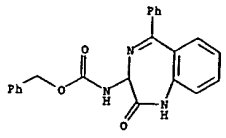
AB Title compds. [I; R = NHCO2R3; R1 = H, (ar)alkyl, aryl(alkyl)carbamoylmethyl, heterocyclyl(alkyl)carbamoylmethyl, etc.; R2 = H, NHRb, CO2Rb, NHCO2Rb, etc.; Rb = H, alk(en)yl, aryl(alkyl), etc.; R3 = P(O)(ORd)(ORe), OP(O)(ORd)(ORe), B(ORd)(ORe), etc.; Rb,Rd,Re = H, alk(en)yl, aryl, etc.; Z = CHR4Z1 or (CH2)nZ1; R4 = H, (acyl)amino, tetrazolyl, etc.; z1 = arylene or heterocyclylene; n = 0-2] were prepd. Thus, I (R = NHCO2CH2Ph, R1 = R2 = H) was N-alkylated by BrCH2CO2Et and the sapond. product amidated by 4-(H2N)C6H4OPh to give, after N-deprotection, I [R = NH2, R1 = CH2CONHC6H4(OPh)-4, R2 = H] which was amidated by HO2CCH(NHCO2CMe3)CH2C6H4[OP(O)(OCH2Ph)2]-4 to give, after O-deprotection, I [R = NHCOCH(NHCO2CMe3)CH2C6H4[OP(O)(OCH2Ph)2]-4, R1 = CH2CONHC6H4(OH)-4, R2 = H]. Data for biol. activity of I were given.

IT 108895-98-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of benzodiazepine derivs. as c-Src tyrosine kinase SH2 ligands)

RN 108895-98-3 CAPLUS

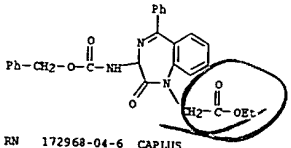
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 16 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

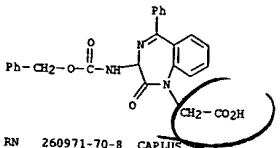


IT **119487-58-0P 172968-04-6P 260971-70-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzodiazepine derivs. as c-Src tyrosine kinase SH2 ligands)

RN 119487-58-0 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

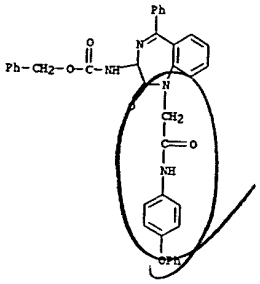


RN 172968-04-6 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 260971-70-8 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-[2-oxo-2-[(4-phenoxyphenyl)amino]ethyl]-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 16 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 17 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:47017 CAPLUS
DOCUMENT NUMBER: 132:78559
TITLE: Preparation of heterocyclic compounds as serine protease inhibitors
INVENTOR(S): Gyorkos, Albert; Spruce, Lyle W.
PATENT ASSIGNEE(S): Cortech Inc., USA
SOURCE: U.S., 107 pp., Cont.-in-part of U.S. 5,891,852.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 18
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6015791	A	20000118	US 1997-984881	19971204
US 5618792	A	19970408	US 1994-345820	19941121
US 5891852	A	19990406	US 1996-762381	19961206
WO 9824806	A2	19980611	WO 1997-US21636	19971205
WO 9824806	A3	19981015		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9855894	A1	19980629	AU 1998-55894	19971205
AU 734615	B2	20010621		
EP 954526	A2	19991110	EP 1997-952232	19971205

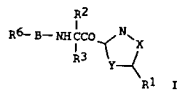
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

CN 1247542	A	20000315	CN 1997-180392	19971205
JP 2001507679	T2	20010612	JP 1998-525656	19971205
JP 3220169	B2	20011022		
JP 2001192398	A2	20010717	JP 2000-197432	19971205
US 6037325	A	20000314	US 1998-69823	19980430
NO 9902734	A	19990802	NO 1999-2734	19990604
MX 9905240	A	20000531	MX 1999-5240	19990604

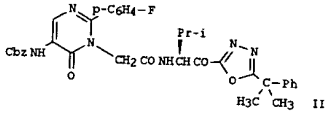
PRIORITY APPLN. INFO.:
US 1994-345820 A2 19941121
US 1996-762381 A2 19961206
US 1996-698575 A1 19960815
US 1996-760916 A 19961206
US 1996-761190 A 19961206
US 1996-761313 A 19961206
US 1996-771317 A 19961206
US 1997-984881 A 19971204
US 1997-984884 A 19971204
US 1997-985056 A 19971204
US 1997-985201 A 19971204
US 1997-985298 A 19971204
JP 1998-525656 A3 19971205
WO 1997-US21636 W 19971205

OTHER SOURCE(S): MARPAT 132:78559
GI

L62 ANSWER 17 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



I



II

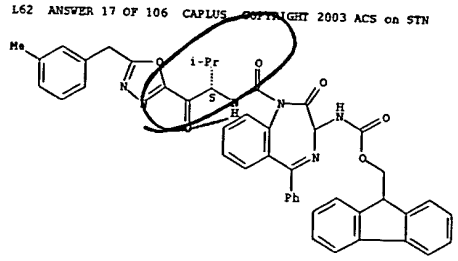
AB The present invention relates to a series of compds. of general structure I [X, Y = O, N, or S provided that at least one of X or Y = N; R1 = C5-12 aryl, C5-12 arylalkyl, or C5-12 arylalkenyl with at least one N, S, and O; R2, R3 = H or alkyl; B = S(O)2 or C(O); R6 = heterocycles (generic structures given)] that are useful as serine protease inhibitors, including inhibitors for human neutrophil elastase. In an in vitro test for inhibition of elastase, the title compd. II shows the Ki value of 78.3. Compds. of the invention are useful in treating conditions such as adult respiratory distress syndrome, septic shock, and multiple organ failure.

IT **253872-91-2P 253872-92-3P 253872-93-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic compds. as serine protease inhibitors)

RN 253872-91-2 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[[[(1S)-2-methyl-1-[[5-[(3-methylphenyl)methyl]-1,3,4-oxadiazol-2-yl]carbonyl]propyl]amino]carbonyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

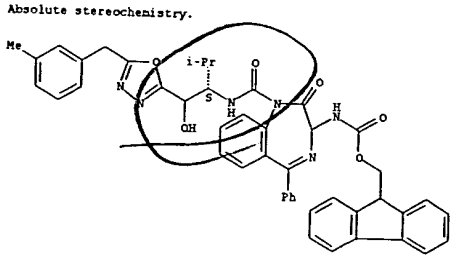
Absolute stereochemistry.

L62 ANSWER 17 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



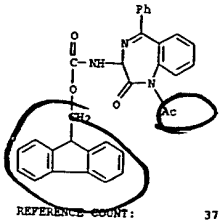
RN 253872-92-3 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[[[(1S)-1-[hydroxy[5-[(3-methylphenyl)methyl]-1,3,4-oxadiazol-2-yl]methyl]-2-methylpropyl]amino]carbonyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253872-93-4 CAPLUS
CN Carbamic acid, (1-acetyl-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 17 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

see 29 37 66

L62 ANSWER 18 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:819353 CAPLUS
DOCUMENT NUMBER: 132:64534
TITLE: Preparation of cyclic amino acid compounds for inhibiting .beta.-amyloid peptide release and/or its synthesis
INVENTOR(S): Thompson, Richard C.; Wilkie, Stephen; Stack, Douglas R.; Vanmeter, Eldon E.; Shi, Qing; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Henry, Steven S.; Mcdaniel, Stacey L.; Stucky, Russell D.; Porter, Warren J.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company; et al.
SOURCE: PCT Int. Appl., 714 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967221	A1	19991229	WO 1999-US14193	19990622
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2325389	AA	19991229	CA 1999-2325389	19990622
AU 9947101	A1	20000110	AU 1999-47101	19990622
EP 1089980	A1	20010411	EP 1999-930594	19990622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518483	T2	20020625	JP 2000-555875	19990622

PRIORITY APPLN. INFO.: US 1998-102507 A2 19980622
WO 1999-US14193 W 19990622

OTHER SOURCE(S): MARPAT 132:64534

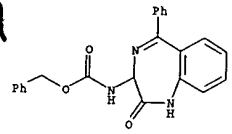
AB Cyclic compds., e.g., R1R15'NC(Q)NR15(Y)n(CH)pC(X)W [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heterocyclyl, heteroaryl; R15 = H, alkyl, substituted alkyl, aryl, heteroaryl, heterocyclyl; R15' = H, OH, alkyl, substituted alkyl, heterocyclyl, heteroaryl; W together with (CH)pC(X) forms an (un)substituted cycloalkyl or cycloalkenyl, heterocyclyl, which are optionally fused to form a bi- or multi-fused ring systems; X = oxo, thioxo, hydroxyl, thiol, or hydro (H,H); Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; p = 0 or 1], were prepd. for inhibition of .beta.-amyloid peptide release and/or its synthesis. Thus, (S)-3-[(N-(2-thiophenecarbonyl)-L-alaninyl]amino]-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one was prepd. via acylation of (S)-3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one with 2-thiophenecarboxylic acid. Compds. of the invention inhibit .beta.-amyloid peptide prodn. by at least 30% as compared to the control.

IT 108895-98-3 155452-87-2 209985-22-8 209985-28-4

L62 ANSWER 18 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid peptide release)

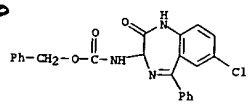
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

37



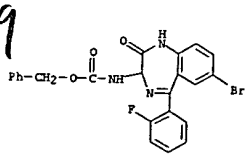
RN 155452-87-2 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

66



RN 209985-22-8 CAPLUS
CN Carbamic acid, [7-bromo-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

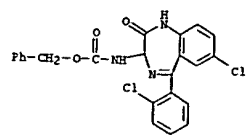
29



RN 209985-28-4 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 18 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

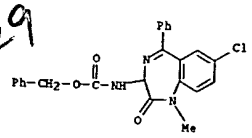
29



IT 209985-17-1P 209985-20-6P 209985-25-1P
209985-32-0P 209985-33-1P 209986-63-0P
209986-66-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid peptide release)

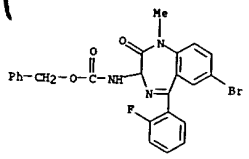
RN 209985-17-1 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

29



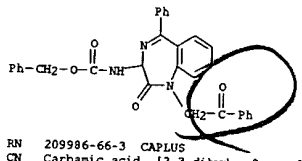
RN 209985-20-6 CAPLUS
CN Carbamic acid, [7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

29

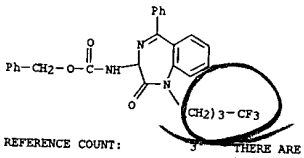


RN 209985-25-1 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 18 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



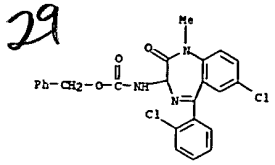
RN 209986-66-3 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

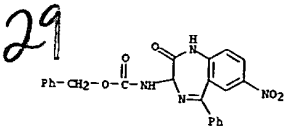
L62 ANSWER 18 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

29



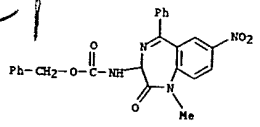
RN 209985-32-0 CAPLUS
CN Carbamic acid, (2,3-dihydro-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

29



RN 209985-33-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

29



RN 209986-63-0 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-(2-oxo-2-phenylethyl)-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

See 29 37 66

L62 ANSWER 19 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:819352 CAPLUS
DOCUMENT NUMBER: 132:64533
TITLE: Preparation of cyclic amino acid compounds for inhibiting .beta.-amyloid peptide release and/or its synthesis
INVENTOR(S): Audia, James E.; Thompson, Richard C.; Wilkie, Stephen C.; Britton, Thomas C.; Porter, Warren J.; Huffman, George W.; Latimer, Lee H.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company
SOURCE: PCT Int. Appl., 271 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967220	A1	19991229	WO 1999-US14007	19990621
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2325388	AA	19991229	CA 1999-2325388	19990621
AU 9952047	A1	20000110	AU 1999-52047	19990621
EP 1089981	A1	20010411	EP 1999-937164	19990621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518482	T2	20020625	JP 2000-555874	19990621
US 6509331	B1	20030121	US 1999-337484	19990621

PRIORITY APPLN. INFO.: US 1998-102728 A2 19980622
US 1998-155265P P 19980622
WO 1999-US14007 W 19990621

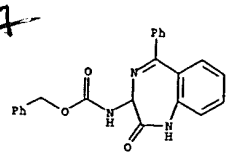
OTHER SOURCE(S): MARPAT 132:64533

AB Compds. R1(Z)mNH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH or (CHR2')aNH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, R2' = H or R2; R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heteroaryl, heterocyclyl, Z = -T-CX'X''CO, where T is selected from the group consisting of a bond covalently linking R1 to -CX'X''-, oxygen, sulfur and -NR6 (R6 = H, acyl, alkyl, aryl, heteroaryl), X' is H, OH, F, X'' is H, OH, F or X' and X'' together form an oxo group; m = 0 or 1; n = 1 or 2] were prepd. for inhibition of .beta.-amyloid peptide release and/or its synthesis. Thus, 1-(L-alaninylamino)-4,5,6,7-tetrahydro-3,7-methano-3H-3-benzazonin-2(1H)-one was prepd. via coupling of N-tert-butoxycarbonyl-L-alanine with 1-amino-4,5,6,7-tetrahydro-3,7-methano-3H-3-benzazonin-2(1H)-one. Compds. of the invention inhibit .beta.-amyloid peptide prodn. by at least 30% as compared to the control when employed at 10 .mu.g/mL.

IT 108895-98-3 155452-87-2 209985-22-8
209985-28-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid peptide release)

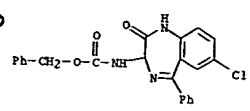
L62 ANSWER 19 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

37



RN 155452-87-2 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

66



RN 209985-22-8 CAPLUS
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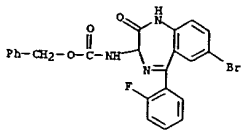

RN 209985-28-4 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

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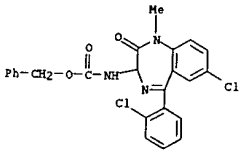
L62 ANSWER 19 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

29



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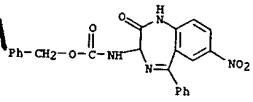

RN 209985-33-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

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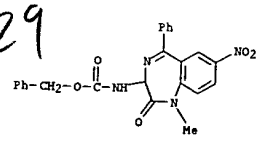
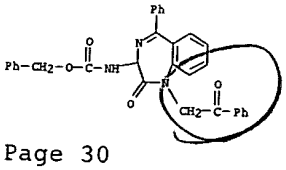
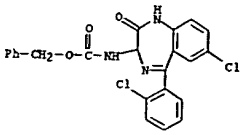


RN 209986-63-0 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-(2-oxo-2-phenylethyl)-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

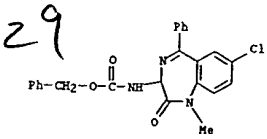


L62 ANSWER 19 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



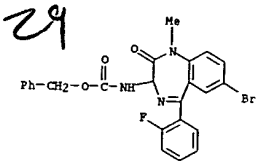
IT 209985-17-1P 209985-20-6P 209985-25-1P
209985-32-0P 209985-33-1P 209986-63-0P
209986-66-3P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid peptide release)
RN 209985-17-1 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

29



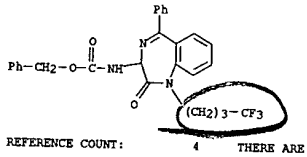
RN 209985-20-6 CAPLUS
CN Carbamic acid, [7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

29



RN 209985-25-1 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 19 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 209986-66-3 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 20 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:819351 CAPLUS
DOCUMENT NUMBER: 132:64532
TITLE: Preparation of cyclic amino acid compounds for inhibiting .beta.-amyloid peptide release and/or its synthesis
INVENTOR(S): Audia, James E.; Porter, Warren J.; Thompson, Richard C.; Wilkie, Stephen C.; Stack, Douglas R.; Shi, Qing
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company
SOURCE: PCT Int. Appl., 287 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967219	A1	19991229	WO 1999-US14096	19990622
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2324474	AA	19991229	CA 1999-2324474	19990622
AU 9947079	A1	20000110	AU 1999-47079	19990622
EP 1089977	A1	20010411	EP 1999-930566	19990622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518481	T2	20020625	JP 2000-555873	19990622
US 6552013	B1	20030422	US 1999-338121	19990622
US 2003149022	A1	20030807	US 2002-326081	20021223

PRIORITY APPLN. INFO.: US 1998-102507 A2 19980622
US 1998-150704P P 19980930
US 1998-162757 A2 19980930
US 1998-160067P P 19980622
US 1999-338121 A3 19990622
WO 1999-US14096 W 19990622

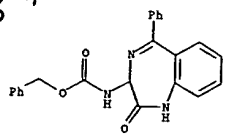
OTHER SOURCE(S): MARPAT 132:64532

AB Compds. R1ZNH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, or cycloalkenyl, aryl, heteroaryl, heterocyclyl; Z is represented by -T-CX'X''V- where T is selected from the group consisting of a bond covalently linking R1 to -CX'X''-, oxygen, sulfur and -NR6 (R6 = H, acyl, alkyl, aryl, heteroaryl), X' is H, OH, F, X'' is H, OH, F or X' and X'' together form an oxo group, V is alkylene or substituted alkylene or R1 and Z together form aryl or (un)substituted cycloalkyl, cycloalkenyl, or heterocyclyl; n = 1 or 2] were prepd. for inhibition of .beta.-amyloid peptide release and/or its synthesis. Thus, 5-(S)-[N'-[2-(3,5-difluorophenyl)ethyl]-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one was prepd. by reductive alkylation of 5-(S)-(L-alaninyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one hydrochloride with 3,5-difluorophenylacetaldehyde using sodium cyanoborohydride. Compds. of the invention inhibit .beta.-amyloid peptide prodn. by at least 30% as compared to the control when employed at 10 .mu.g/mL.

IT 108895-98-3 155452-87-2 168162-29-6
209985-20-6 209985-28-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid peptide release)

RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

37



RN 155452-87-2 CAPLUS
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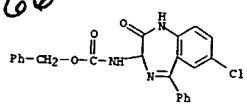
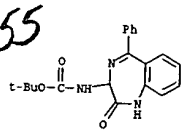
66



RN 168162-29-6 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

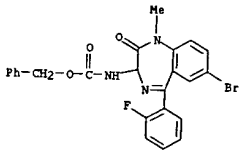
55



L62 ANSWER 20 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 209985-20-6 CAPLUS
CN Carbamic acid, [7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

29



RN 209985-28-4 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

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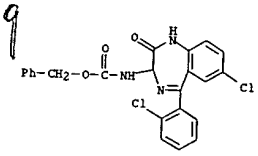

IT 209985-17-1P 209985-25-1P 209985-32-0P
209985-33-1P 209986-63-0P 209986-66-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid peptide release)

RN 209985-17-1 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

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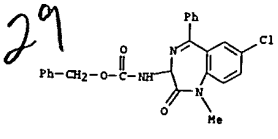

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CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 20 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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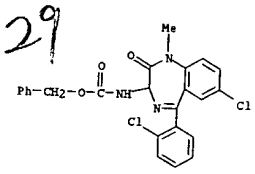

RN 209985-32-0 CAPLUS
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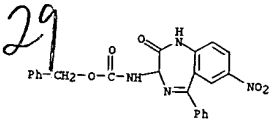

RN 209985-33-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

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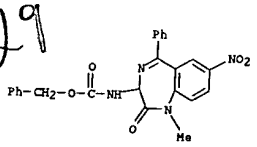
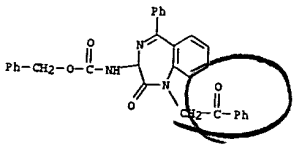
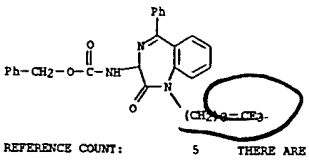


RN 209986-63-0 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-(2-oxo-2-phenylethyl)-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 20 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 209986-66-3 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L62 ANSWER 21 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:819249 CAPLUS
DOCUMENT NUMBER: 132:64531
TITLE: Preparation of cyclic amino acid compounds for inhibiting .beta.-amyloid peptide release and/or its synthesis
INVENTOR(S): Audia, James E.; Dressman, Bruce A.; Shi, Qing
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966934	A1	19991229	WO 1999-US14211	19990622
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2324475	AA	19991229	CA 1999-2324475	19990622
AU 9947104	A1	20000110	AU 1999-47104	19990622
EP 1093372	A1	20010425	EP 1999-930600	19990622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518451	T2	20020625	JP 2000-555620	19990622

PRIORITY APPLN. INFO.: US 1998-102507 A2 19980622
US 1998-164451 A2 19980930
WO 1999-US14211 W 19990622

OTHER SOURCE(S): MARPAT 132:64531

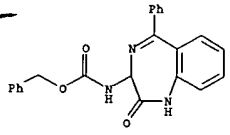
AB Compds. R'R''NCHR1CONH(Y)nW and R':NC(:R1)CONH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; R1 and R' form a nitrogen-contg. heterocycle; R'' = H, alkyl, substituted alkyl, aryl; n = 1 or 2] were prepd. for inhibition of .beta.-amyloid peptide release and/or its synthesis. Thus, 5-(S)-[N'-(L-prolyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one was prepd. via coupling of N-(N'-tert-butoxycarbonyl-L-prolyl)-L-alanine with 5-(S)-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one. Compds. of the invention inhibit .beta.-amyloid peptide prodn. by at least 30% as compared to the control when employed at 10 .mu.g/mL.

IT **108895-98-3 155452-87-2 168162-29-6**
209985-20-6 209985-28-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid peptide release)

RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-,

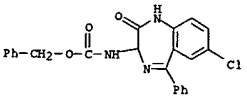
L62 ANSWER 21 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
phenylmethyl ester (9CI) (CA INDEX NAME)

37



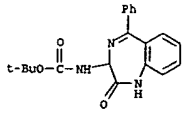
RN 155452-87-2 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

66



RN 168162-29-6 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

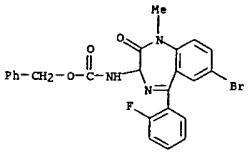
55



RN 209985-20-6 CAPLUS
CN Carbamic acid, [7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

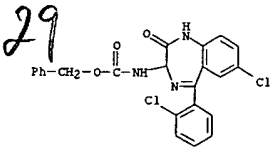
29

L62 ANSWER 21 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 209985-28-4 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

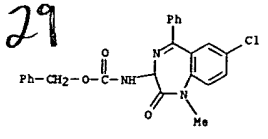
29



IT **209985-17-1P 209985-25-1P 209985-32-0P**
209985-33-1P 209986-63-0P 209986-66-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cyclic amino acid compds. for inhibiting .beta.-amyloid peptide release)

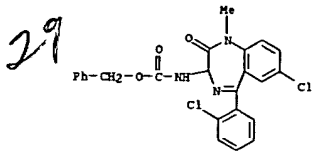
RN 209985-17-1 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

29

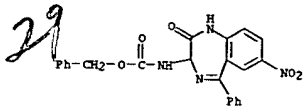


RN 209985-25-1 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

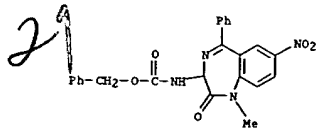
L62 ANSWER 21 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 209985-32-0 CAPLUS
CN Carbamic acid, (2,3-dihydro-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

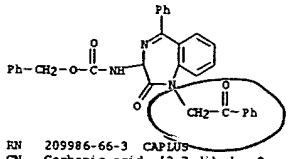


RN 209985-33-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

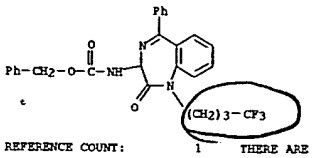


RN 209986-63-0 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-1-(2-oxo-2-phenylethyl)-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 21 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



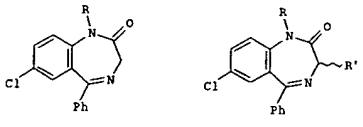
RN 209986-66-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 22 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

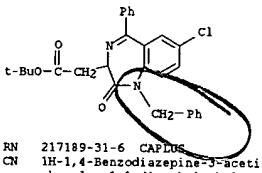
ACCESSION NUMBER: 1999:267972 CAPLUS
DOCUMENT NUMBER: 131:19061
TITLE: Free and Supported Phosphorus Ylides as Strong Neutral Bronsted Bases
AUTHOR(S): Goumri-Magnet, Stephanie; Guerret, Olivier; Gornitzka, Heinz; Cazaux, Jean Bernard; Bigg, Dennis; Palacios, Francisco; Bertrand, Guy
CORPORATE SOURCE: Laboratoire de Chimie de Coordination, Toulouse, 31077, Fr.
SOURCE: Journal of Organic Chemistry (1999), 64(10), 3741-3744
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:19061
GI



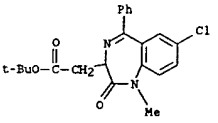
I II

AB To a dimethoxymethane soln. of P(NMe2)3 was added at room temp. 2-iodopropane. The soln. was stirred under reflux for 72 h, producing [P(NMe2)3Pr-i]I in 91% yield. Potassium hydride was added at 0.degree. to a suspension of [P(NMe2)3Pr-i]I in THF and stirred at room temp., forming (NMe2)3P:C(Me)2 in 75% yield. A THF soln. of (NMe2)3P:C(Me)2 was then added at -78.degree. to a THF soln. of benzodiazepines I (R = Me, CH2CO2t-Bu, or CH2Ph) and stirred at room temp. for 1 h. Alkyl halides R'X (R = CH2Ph, CH2CO2t-Bu, or Me), (X = Br or I) were then added and the soln. was stirred for an addnl. hour, producing benzodiazepines II (same R' and R) in 38-67% yield. An x-ray crystal structure of II (R = R' = CH2Ph), (space group C222(1), Z = 8, wR2 = 0.3114) was detd. The pKa value of [P(NMe2)3Pr-i]I was found to be between 26 and 28 using 31P NMR spectroscopy. The use of ylides as strong nonnucleophilic bases was investigated by reaction of P(NMe2)3 with Merrifield's resin.
IT **217189-29-2P 217189-31-6P 217189-32-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 217189-29-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-1-(phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

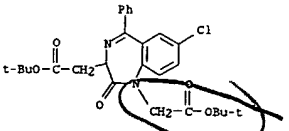
L62 ANSWER 22 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 217189-31-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 217189-32-7 CAPLUS
CN 1H-1,4-Benzodiazepine-1,3-diacetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 23 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:126921 CAPLUS
DOCUMENT NUMBER: 130:153987
TITLE: Preparation of selective factor Xa inhibitors containing a fused diazepinone structure
INVENTOR(S): Scarborough, Robert M.
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907730	A1	19990218	WO 1998-US16704	19980811
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9888269	A1	19990301	AU 1998-88269	19980811
AU 746471	B2	20020502		
EP 994893	A1	20000426	EP 1998-939911	19980811
EP 994893	B1	20020102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001512741	T2	20010828	JP 2000-506232	19980811
US 6333321	B1	20011225	US 1998-132228	19980811
AT 211482	E	20020115	AT 1998-939911	19980811

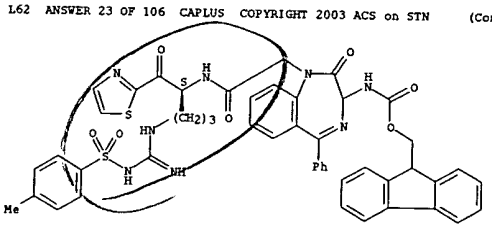
PRIORITY APPLN. INFO.: US 1997-82316P P 19970811
US 1997-907779 A 19970811
WO 1998-US16704 W 19980811

OTHER SOURCE(S): MARPAT 130:153987
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel title compds. I [R1, R2 = H, C1-6 alkyl, C3-8 cycloalkyl, C1-3 alkylaryl, C1-3 alkyl-C3-8 cycloalkyl, aryl; R3 = H, C1-6 alkyl; CR2R3 = carbocyclic ring; n = 0-4; n = 0-1; p = 0-4; q = 0-1; A, J, L = R8, NR8R9, NR10C(NR8R12):NR11, C(NR8R13):NR11, NR10CR12:NR11, CR13:NR11, SC(NR8R13):NR11; R8-R11 = H, OH, C1-6 alkyl, aryl, C1-4 alkylaryl; R12 = H, C1-6 alkyl, aryl, C1-4 alkylaryl; R12 and R10 or R11 form 5-6 membered ring; R13 = H, C1-6 alkyl, aryl, C1-4 alkylaryl; R13 and R11 form 5-6 membered ring; D, M = bond, C3-8 cycloalkyl, C1-6 alkenyl, C1-6 alkenylaryl, aryl, 5-10-membered heterocyclic ring; E = bond, CO, SO2, O2C, NR14SO2, NR14CO; R14 = H, OH, C1-6 alkyl, aryl, C1-6 alkylaryl; G = bond, C3-8 cycloalkyl, aryl, 5-10-membered heterocyclic ring; K-K3 = CH,

L62 ANSWER 23 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

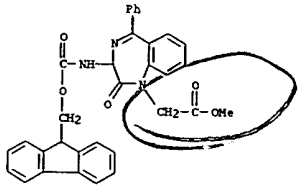


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 23 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CR4, CR5, N; R4, R5 = H, C1-6 alkyl, aryl, C1-6 alkylaryl, C1-4 alkoxy, halo, NO2, NR6R7, NR6COR7, OR6, O2CR6, CO2R6, CONR6R7, CN, CF3, SO2NR6R7, C1-6 alkyl-OR6; R6, R7 = H, C1-6 alkyl, C1-3 alkylaryl, aryl; Q = H, B(OR21)OR22, cyclic borate ester Q1, Q2, COT; R21, R22 = H, C1-3 alkyl, aryl; T = H, CO2R23, CONR23R24, CF3, CF2CF3, etc.; R23, R24 = H, C1-6 alkyl, aryl, C1-4 alkylaryl; with provisos], their salts and compns. related thereto having activity against mammalian factor Xa are disclosed. The compds. are useful in vitro or in vivo for preventing or treating coagulation disorders. Thus, peptide coupling of benzodiazepines II (R = PhCH2SO2, 9-fluorenylmethoxycarbonyl) with arginine deriv. III (Ts = tosyl) [prepd. in 3 steps from Boc-Arg(Ts)-OH], followed by deprotection gave desired title compds. IV (R = PhCH2SO2, H).

IT 220320-42-3P 220320-49-0P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzodiazepinone peptide derivs. as selective factor Xa inhibitors)

RN 220320-42-3 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-2,3-dihydro-2-oxo-5-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 220320-49-0 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[2-[[(1S)-4-[[imino[[(4-methylphenyl)sulfonyl]amino]methyl]amino]-1-(2-thiazolylcarbonyl)butyl]amino]-2-oxoethyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L62 ANSWER 24 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:785666 CAPLUS
DOCUMENT NUMBER: 130:25080
TITLE: Preparation of benzodiazepinone derivatives as inhibitors of Ras farnesyl-protein transferase
INVENTOR(S): Marsters, James C., Jr.; Brown, Michael S.; Crowley, Craig W.; Goldstein, Joseph L.; James, Guy L.; Mcdowell, Robert S.; Oare, David; Rawson, Thomas E.; Reynolds, Mark; Somers, Todd C.
PATENT ASSIGNEE(S): Genentech Inc., USA; Board of Regents University of Texas
SOURCE: U.S., 277 pp., Cont.-in-part of U.S. Ser. No. 82,202, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5843941	A	19981201	US 1994-313068	19940926
WO 9426723	A2	19941124	WO 1994-US5157	19940510
WO 9426723	A3	19950202		
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 763537	A2	19970319	EP 1996-118160	19940510
EP 763537	A3	19971022		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				

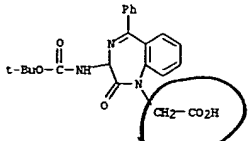
PRIORITY APPLN. INFO.: US 1993-61961 19930514
US 1993-82202 19930624
WO 1994-US5157 19940510
EP 1994-917338 19940510

OTHER SOURCE(S): MARPAT 130:25080
GI

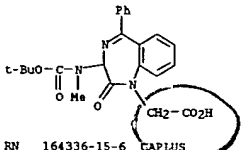
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A variety of benzodiazepine derivs. and various bicyclic and tricyclic analogs are disclosed. For instance, compds. I are among those claimed [wherein: R, R' = H, halo, (halo)alkyl, alkoxy; R4, R4' = H, halo, (halo)alkyl; R7 = H; R7' = H, CH2Ph, (halo)alkyl, cycloalkyl(alkyl); R8 = alkyl, alkylthioalkyl, alkoxyalkyl, alkylaminoalkyl, (un)substituted aralkyl, indol-3-ylalkyl, imidazol-4-ylalkyl; X = certain (un)substituted amino groups, (un)substituted aryl, (un)substituted heterocyclyl, (un)substituted alkyl, etc.]. The compds. act as potent inhibitors of Ras farnesyl-protein transferase, thus making them useful in the treatment of cancers and fungal infections. Examples include 120 syntheses, plus in vitro and in vivo testing of selected compds. For instance, the BOC-protected, benzodiazepinone-derived amino acid II underwent a sequence of (1) carbodiimide-based coupling with H-Met-OMe, (2) deprotection with TFA, (3) EDC-based coupling with N-BOC-S-(ethylthio)cysteine, (4) N-deprotection with TFA, and (5) S-deprotection with dithiothreitol, to give 2 diastereomers of title compd. III, with opposite configurations at

L62 ANSWER 24 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
C-3. For example, one diastereomer of III inhibited the growth of the human fibrosarcoma cell line HT 1080 both in vitro (64% inhibition at 25 .mu.M) and in vivo in nude mice. Several figures are included.
IT **164336-13-4P 164336-14-5P 164336-15-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of benzodiazepinone derivs. as inhibitors of Ras farnesyl-protein transferase)
RN 164336-13-4 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-2,3-dihydro-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



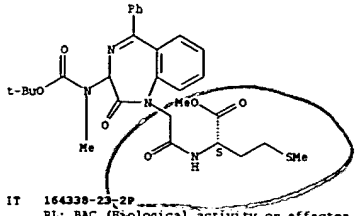
RN 164336-14-5 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2,3-dihydro-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



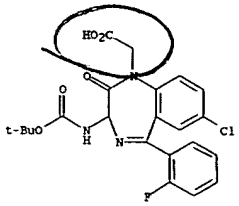
RN 164336-15-6 CAPLUS
CN L-Methionine, N-[[3-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-1-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L62 ANSWER 24 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **164338-23-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzodiazepinone derivs. as inhibitors of Ras farnesyl-protein transferase)
RN 164338-23-2 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 7-chloro-3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

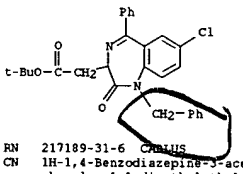
L62 ANSWER 25 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:779820 CAPLUS
DOCUMENT NUMBER: 130:52578
TITLE: Phosphorus ylides, their preparation and use as low-nucleophilic strongly-basic compounds
INVENTOR(S): Bertrand, Guy; Bigg, Dennis; Cazaux, Jean-Bernard; Goumri, Stephanie; Guerret, Olivier
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'Applications Scientifiques (S.C.R.A.S, Fr.; Centre National de la Recherche Scientifique (C.N.R.S.)
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 881235	A1	19981202	EP 1997-401142	19970526
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 9854229	A1	19981203	WO 1998-FR1048	19980526
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9877765	A1	19981230	AU 1998-77765	19980526
EP 986585	A1	20000322	EP 1998-925783	19980526
EP 986585	B1	20030326		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002504900	T2	20020212	JP 1999-500320	19980526
AT 235520	E	20030415	AT 1998-925783	19980526
RU 2205842	C2	20030610	RU 1999-128084	19980526
US 6222032	B1	20010424	US 1999-423269	19991104
NO 9905771	A	19991125	NO 1999-5771	19991125

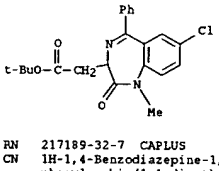
PRIORITY APPLN. INFO.: EP 1997-401142 A 19970526
WO 1998-FR1048 W 19980526

AB Ylide Me2C:P(NMe2)3 (I), prepd. from Merrifield resin and P(NMe2)3, was used as a basic catalyst in N- and C-alkylation reactions to give 17 alkylated products. E.g., treatment of nordiazepam with benzyl bromide in the presence of I gave 76% 1-benzylnordiazepam, which, treated with MeI and I, gave 67% 1-benzyl-3-methylnordiazepam.
IT **217189-29-2P 217189-31-6P 217189-32-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and use of phosphorus ylides as catalysts for N- and C-alkylation)
RN 217189-29-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-1-(phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

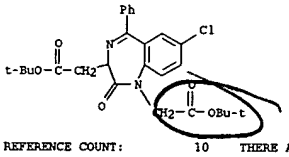
L62 ANSWER 25 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 217189-31-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 217189-32-7 CAPLUS
CN 1H-1,4-Benzodiazepine-1,3-diacetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

see 37

51

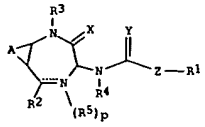
95

L62 ANSWER 26 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:650035 CAPLUS
DOCUMENT NUMBER: 129:290151
TITLE: Preparation of 1,4-Areno-2-oxodiazepin-3-ylalkanamides and derivatives for treating Meniere's disease
INVENTOR(S): Siegl, Peter K. S.; Goldberg, Allan I.; Goldberg, Michael R.; Chang, Paul I.
PATENT ASSIGNEE(S): Merck & Company, Inc., USA
SOURCE: U.S., 54 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817658	A	19981006	US 1997-881296	19970624

PRIORITY APPLN. INFO.: US 1997-881296 19970624
OTHER SOURCE(S): MARPAT 129:290151
GI



I

AB A method for the treatment of Meniere's disease in mammals, including humans, comprises the administration of a therapeutically ED of prepd. 1,4-areno-2-oxodiazepin-3-ylalkanamides I [ring contg. A = thieno, pyrido, (un)substituted benzo; X = O, S, NNH2, NOH, H2; Y = O, NCN, H2; Z = various (un)substituted C1-6 alkylene, C2-4 alkenylene, -(CH2)n-W-(CH2)n- (n = 0-4, W = O, S, NH), 4-(5-methylisoxazol-3-yl), C3-6 cycloalkylene, single bond; p = 0 or 1; R1 = (un)substituted Ph, cycloalkyls, heterocyclyls, Me, indan-5-yl; R2 = (un)substituted Ph, alkyls, cycloalkyls, 2- or 3-furyl, 1-methylpiperidin-2-yl; R3-R4 = H, alkyl, etc.; R5 = H, O, defined heterocyclyls] and analogs which modulate the IKs channel of the ear and thereby reduce endolymph prodn.

IT **201988-64-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(for prepn. of 1,4-areno-2-oxodiazepin-3-ylalkanamide deriv.)

RN 201988-64-9 CAPLUS
CN Glycine, N-[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

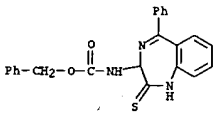
Absolute stereochemistry.

L62 ANSWER 26 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

study); PREP (Preparation); USES (Uses)
(prepn. of 1,4-areno-2-oxodiazepin-3-ylalkanamides and analogs for treating Meniere's disease)

RN 146135-15-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

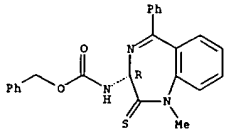
37



RN 170284-32-9 CAPLUS
CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

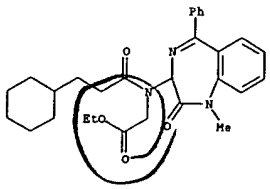
Absolute stereochemistry. Rotation (+).

51



RN 170284-51-2 CAPLUS
CN Glycine, N-(3-cyclohexyl-1-oxopropyl)-N-(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

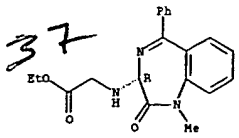
Rotation (+).



RN 170284-54-5 CAPLUS
CN Glycine, N-[(3S)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N-(1-oxohexyl)-, ethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 26 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

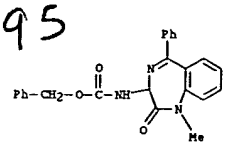
37



IT **106849-47-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(for prepn. of 1,4-areno-2-thiodiazepin-3-ylalkanamide deriv.)

RN 106849-47-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

95

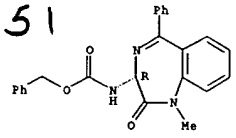


IT **170551-99-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 1,4-areno-2-oxodiazepin-3-ylalkanamides and analogs for treating Meniere's disease)

RN 170551-99-2 CAPLUS
CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

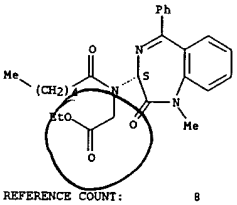
51



IT **146135-15-1P 170284-32-9P 170284-51-2P 170284-54-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

L62 ANSWER 26 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry. Rotation (+).



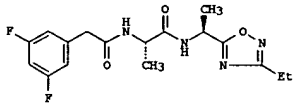
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

See 27

L62 ANSWER 27 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:608608 CAPLUS
DOCUMENT NUMBER: 129:245485
TITLE: Preparation of heterocyclic compounds and their use for inhibiting .beta.-amyloid peptide release
INVENTOR(S): Thorsett, Eugene D.; Porter, Warren J.; Nissen, Jeffrey S.; Latimer, Lee H.; Audia, James E.; Droste, James J.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; Eli Lilly & Co.
SOURCE: PCT Int. Appl., 392 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9838177	A1	19980903	WO 1998-US3373	19980227
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9801627	A	19991005	ZA 1998-1627	19980226
AU 9866622	A1	19980918	AU 1998-66622	19980227
EP 968198	A1	20000105	EP 1998-908637	19980227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9807876	A	20000229	BR 1998-7876	19980227
JP 2001513107	T2	20010828	JP 1998-537732	19980227
NO 9904016	A	19991018	NO 1999-4016	19990819
PRIORITY APPLN. INFO.:			US 1997-808263 A1	19970228
			WO 1998-US3373 W	19980227

OTHER SOURCE(S): MARPAT 129:245485
GI



I

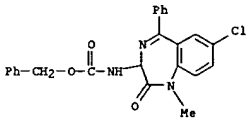
AB Disclosed are modified heterocyclic di- and tripeptide analogs which inhibit .beta.-amyloid peptide release and/or its synthesis, and, accordingly, have utility in treating Alzheimer's disease. Also disclosed

L62 ANSWER 27 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

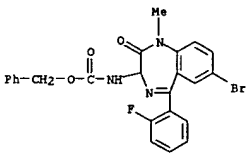
29

IT **209985-17-1P 209985-20-6P 209985-25-1P**
209985-32-0P 209985-33-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic compds. and their use for inhibiting .beta.-amyloid peptide release)

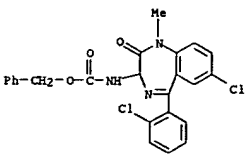
RN 209985-17-1 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 209985-20-6 CAPLUS
CN Carbamic acid, [7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 209985-25-1 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



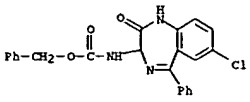
RN 209985-32-0 CAPLUS

L62 ANSWER 27 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
are pharmaceutical compns. comprising a compd. which inhibits .beta.-amyloid peptide release and/or its synthesis as well as methods for treating Alzheimer's disease both prophylactically and therapeutically with such pharmaceutical compns. Title compds., e.g. I, were prepd. in a multistep synthesis and inhibited .beta.-amyloid peptide prodn. by at least 30% as compared to control.

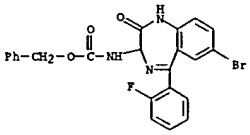
29

IT **155452-87-2 209985-22-8 209985-28-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heterocyclic compds. and their use for inhibiting .beta.-amyloid peptide release)

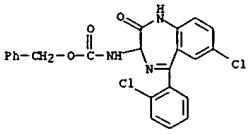
RN 155452-87-2 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



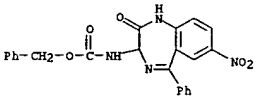
RN 209985-22-8 CAPLUS
CN Carbamic acid, [7-bromo-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



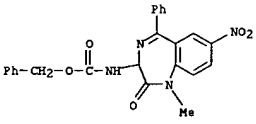
RN 209985-28-4 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 27 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Carbamic acid, (2,3-dihydro-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

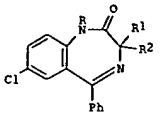


RN 209985-33-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 28 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:591178 CAPLUS
DOCUMENT NUMBER: 129:275897
TITLE: Lipase-catalyzed acetylation of 3-substituted 2,3-dihydro-1H-1,4-benzodiazepin-2-ones. Effect of temperature and conformation on enantioselectivity and configuration
AUTHOR(S): Avdagic, Amir; Lesac, Andreja; Majer, Zsuzsa; Hollosi, Miklos; Sunjic, Vitomir
CORPORATE SOURCE: Ruder Boskovic Institute, Zagreb, 10000, Croatia
SOURCE: Helvetica Chimica Acta (1998), 81(8), 1567-1582
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta AG
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:275897
GI



I

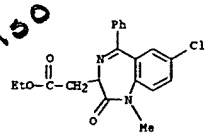
AB The enantioselectivity in the acetylation of rac-3-(hydroxymethyl)-1,4-benzodiazepin-2-ones I [R = R1 = H, R2 = CH2OH; R = Me, R1 = H, R2 = CH2OH; R = H, R1 = Me, R2 = CH2OH; R = Me, R1 = R2 = CH2OH; R = Me, R1 = H, R2 = (CH2)2OH] by AcOCH:CH2/AcOEt catalyzed by immobilized Candida antarctica lipase is studied. The enantiomeric excess is correlated with conformational properties of substrates (relative conformation, energy difference between 2 boat-like ground-state conformations, ring-inversion barrier) as detd. by NMR and MM2 calcns. (3S)-enantiomers of acetates (+)-I [R = R1 = H, R2 = CH2OAc; R = Me, R1 = H, R2 = CH2OAc; R = H, R1 = Me, R2 = CH2OAc; R = Me, R1 = H, R2 = (CH2)2OAc] were preferentially formed. In case of acetate (-)-I (R = Me, R1 = CH2OH, R2 = CH2OAc; 90.2% ee), formation of the (3R)-enantiomer was favored. The C(3)-OH Group with hemiaminal-like character in rac-I (R = Me, R1 = H, R2 = OH) cannot be acetylated by any of the tested lipases and esterases. For rac-I [R = R1 = H, R2 = CH2OH; R = Me, R1 = H, R2 = CH2OH; R = H, R1 = Me, R2 = CH2OH; R = Me, R1 = H, R2 = (CH2)2OH], preferred acetylation of the (3S)-enantiomers, present in soln. in abs. (M)-conformation, was established. Only in prochiral I (R = Me; R1, R2 = CH2OH), the CH2OH group in the (pro-R)-position is prevalently acetylated, presumably due to the binding to the enzyme, in abs. (P)-conformation. Temp. dependence of enantioselectivity revealed inverse correlation of the E value of rac-I (R = Me, R1 = H, R2 = CH2OH) and ee values for prochiral I (R = Me; R1, R2 = CH2OH) indicating prevalent contribution of the enthalpy term to enantioselection. Abs. conformation (M/P) and abs. configuration at C(3) of all products was detd. by combining CD and 1H NMR.

IT **213753-75-4P**

L62 ANSWER 28 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(lipase-catalyzed stereoselective acetylation of hydroxyalkylated dihydrobenzodiazepinones)

RN 213753-75-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

Previous



L62 ANSWER 29 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:479505 CAPLUS
DOCUMENT NUMBER: 129:122870
TITLE: Preparation of cycloalkyl, lactam, lactone and related compounds for inhibiting .beta.-amyloid peptide release and/or its synthesis
INVENTOR(S): Wu, Jing; Tung, Jay S.; Thorsett, Eugene D.; Pleiss, Michael A.; Nissen, Jeffrey S.; Neitz, Jeffrey; Latimer, Lee H.; John, Varghese; Freedman, Stephen; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Droste, James J.; Henry, Steven S.; Mcdaniel, Stacey L.; Scott, William Leonard; Stucky, Russell D.; Porter, Warren J.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; Eli Lilly & Co.
SOURCE: PCT Int. Appl., 889 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9828268	A2	19980702	WO 1997-US22986	19971222
WO 9828268	A3	19981008		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9711537	A	19980625	ZA 1997-11537	19971222
AU 9857007	A1	19980717	AU 1998-57007	19971222
AU 749658	B2	20020627		
EP 951466	A2	19991027	EP 1997-953208	19971222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1242007	A	20000119	CN 1997-180901	19971222
BR 9714517	A	20000704	BR 1997-14517	19971222
JP 2000511932	T2	20000912	JP 1998-528867	19971222
NZ 335583	A	20010330	NZ 1997-335583	19971222
MX 9905844	A	20000731	MX 1999-5844	19990621
NO 9903098	A	19990820	NO 1999-3098	19990622
US 2002045747	A1	20020418	US 2001-916282	20010730
US 2002055500	A1	20020509	US 2001-916440	20010730

PRIORITY APPLN. INFO.: US 1996-64851P P 19961223
US 1996-64851P P 19961223
US 1996-780025 A1 19961223
US 1997-996422 A3 19971222
WO 1997-US22986 W 19971222

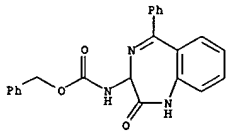
OTHER SOURCE(S): MARPAT 129:122870

AB Disclosed are compds. R1ZmNHYnCHpR2C(X)R3 [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl or aryl, heteroaryl, or heterocyclic; R2 and R3 form a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl ring which is optionally fused; X = oxo, thioxo, hydroxyl, thiol, or hydro; Y = CHR4CONH where R4 = (un)substituted alkyl, alkenyl, or alkynyl or cycloalkyl, aryl,

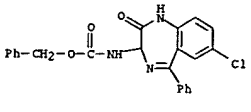
L62 ANSWER 29 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
heteroaryl, or heterocyclic; Z is TCX'X''CO where T is a bond, O, S, NR5 (R5 = H, acyl, alkyl, aryl, or heteroaryl), X' and X'' are H, OH, or F or X'X'' = oxo; m, p = 0, 1; n = 0, 1, 2] which inhibit .beta.-amyloid peptide release and/or its synthesis, and, accordingly, have utility in treating Alzheimer's disease. Thus, 3-[[N'-(3,4-methylenedioxyphenylacetyl)-L-alaninyl]amino]-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one was prepd. by coupling of 3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one with 3,4-methylenedioxyphenylacetic acid.

IT **108895-98-3 155452-87-2 168162-29-6 209985-22-8 209985-28-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cycloalkyl, lactam, lactone and related compds. for inhibiting .beta.-amyloid peptide release and/or its synthesis)

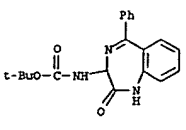
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



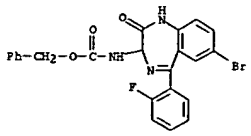
RN 155452-87-2 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



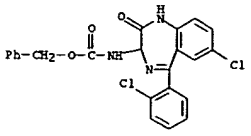
RN 168162-29-6 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



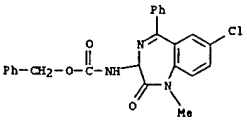
L62 ANSWER 29 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 209985-22-8 CAPLUS
CN Carbamic acid, [7-bromo-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 209985-28-4 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

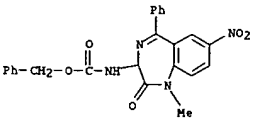


IT 209985-17-1P 209985-20-6P 209985-25-1P
209985-32-0P 209985-33-1P 209986-63-0P
209986-66-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cycloalkyl, lactam, lactone and related compds. for inhibiting .beta.-amyloid peptide release and/or its synthesis)
RN 209985-17-1 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

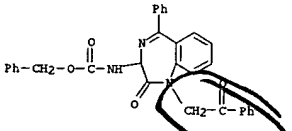


RN 209985-20-6 CAPLUS

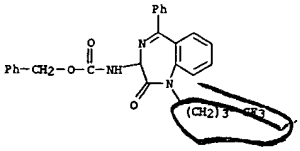
L62 ANSWER 29 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



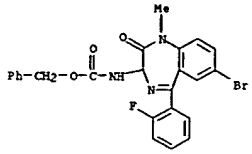
RN 209986-63-0 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-(2-oxo-2-phenylethyl)-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



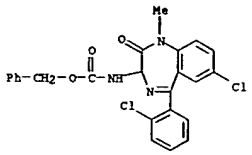
RN 209986-66-3 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



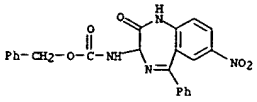
L62 ANSWER 29 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Carbamic acid, [7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 209985-25-1 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 209985-32-0 CAPLUS
CN Carbamic acid, (2,3-dihydro-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 209985-33-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-7-nitro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

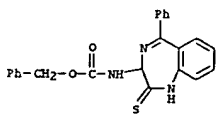
see X
37
51
95

L62 ANSWER 30 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:471465 CAPLUS
DOCUMENT NUMBER: 129:109102
TITLE: Preparation of benzodiazepinone derivatives for treatment of cardiac arrhythmias and pharmaceutical composition containing them
INVENTOR(S): Lynch, Joseph J., Jr.; Salata, Joseph J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: U.S., 68 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5776930	A	19980707	US 1997-881399	19970624

PRIORITY APPLN. INFO.: US 1997-881399 19970624
OTHER SOURCE(S): MARPAT 129:109102
AB A method of preventing, treating, terminating and protecting against cardiac arrhythmias, such as atrial, supraventricular and ventricular ectopy, tachycardia, flutter or fibrillation, including atrial, supraventricular and ventricular arrhythmias resulting from myocardial ischemic injury in a patient in need thereof, comprising administration of a selective IKs antagonist and a beta-adrenergic receptor blocking agent, administered in combined therapy either simultaneously, sep. or sequentially is presented. Addnl., a pharmaceutical prepn. comprising a selective IKs antagonist and a beta-adrenergic receptor blocking agent, wherein these compds. are administered simultaneously, sep. or sequentially is presented. The combined administration of both low dose IKs blocker of this invention and low dose timolol provided significant protection against development of malignant ischemic ventricular tachyarrhythmia in dogs.
IT 146135-15-1P 170284-32-9P 170284-51-2P
170284-54-5P 170551-99-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzodiazepinone derivs. for treatment of cardiac arrhythmias)
RN 146135-15-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

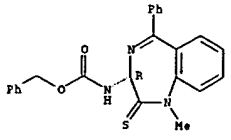
37



RN 170284-32-9 CAPLUS
CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 30 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Absolute stereochemistry. Rotation (+).

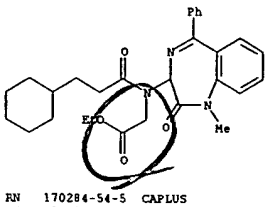
51



RN 170284-51-2 CAPLUS
CN Glycine, N-(3-cyclohexyl-1-oxopropyl)-N-(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

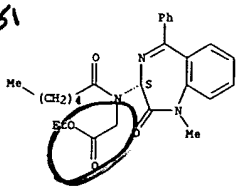
51



RN 170284-54-5 CAPLUS
CN Glycine, N-[(3S)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N-(1-oxohexyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

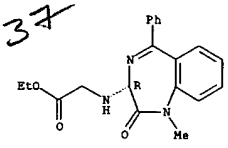
51



RN 170551-99-2 CAPLUS

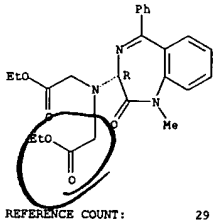
L62 ANSWER 30 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

37



RN 210096-68-7 CAPLUS
CN Glycine, N-[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N-(2-ethoxy-2-oxoethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

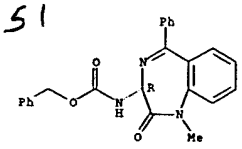


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 30 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

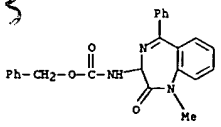
Absolute stereochemistry. Rotation (+).

51



IT 106849-47-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of benzodiazepinone derivs. for treatment of cardiac arrhythmias)
RN 106849-47-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

95



IT 201988-64-9P 210096-68-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzodiazepinone derivs. for treatment of cardiac arrhythmias)
RN 201988-64-9 CAPLUS
CN Glycine, N-[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

see 37

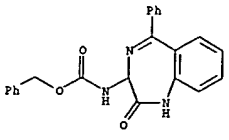
L62 ANSWER 31 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:471464 CAPLUS
DOCUMENT NUMBER: 129:109332
TITLE: Preparation of boronophenyl analogs of phosphotyrosines for inhibiting SH2 domain interactions of peptides
INVENTOR(S): Bachovchin, William W.
PATENT ASSIGNEE(S): Tufts University, USA
SOURCE: U.S., 42 pp., Cont.-in-part of U.S. 5,580,979.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5776902	A	19980707	US 1995-454920	19950531
US 5580979	A	19961203	US 1994-214643	19940315

PRIORITY APPLN. INFO.: US 1994-214643 19940315

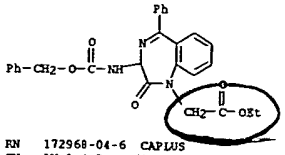
AB Peptidomimetics having one or more amino acid residues with side chains RO(R1O)B(CH2)mC6H4(CH2)n (R, R1 = H, alkyl or together form a heterocyclic ring; m = 0-8, n = 1-3), which may have addnl. substituents in the benzene ring, were prepd. for inhibiting kinases, phosphatases and SH2 domains, e.g., an interaction between a protein contg. an SH2 domain and a phosphotyrosine-contg. polypeptide. The synthesis of 1,3-dihydro-1-[(methoxy-L-isoleucyl)carbonylmethyl]-5-phenyl-3(R,S)-[acetyl(phosphono-L-tyrosyl)amino]-2H-1,4-benzodiazepin-2-one is described and its ability to inhibit the interaction between a peptide and an SH2 domain was detd.

IT 108895-98-3P 119487-58-0P 172968-04-6P
172968-05-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of boronophenyl analogs of phosphotyrosines for inhibiting SH2 domain interactions of peptides)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

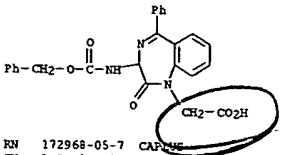


RN 119487-58-0 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 31 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

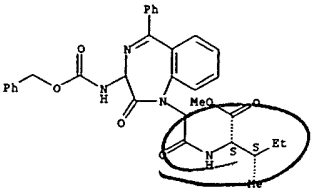


RN 172968-04-6 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 172968-05-7 CAPLUS
CN L-Isoleucine, N-[[2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-1H-1,4-benzodiazepin-1-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

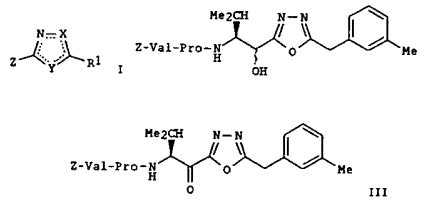
L62 ANSWER 32 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:394350 CAPLUS
DOCUMENT NUMBER: 129:68032
TITLE: Preparation of oxadiazole peptide analogs as serine protease inhibitors
INVENTOR(S): Gyorkos, Albert; Spruce, Lyle W.
PATENT ASSIGNEE(S): Cortech, Inc., USA; Gyorkos, Albert; Spruce, Lyle W.
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 18
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9824806	A2	19980611	WO 1997-US21636	19971205
WO 9824806	A3	19981015		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5801148	A	19980901	US 1996-771317	19961206
US 5807829	A	19980915	US 1996-761190	19961206
US 5861380	A	19990119	US 1996-760916	19961206
US 5869455	A	19990209	US 1996-761313	19961206
US 5891852	A	19990406	US 1996-762381	19961206
US 5998379	A	19991207	US 1997-985056	19971204
US 6001811	A	19991214	US 1997-984884	19971204
US 6015791	A	20000118	US 1997-984881	19971204
US 6150334	A	20001121	US 1997-985201	19971204
AU 9855894	A1	19980629	AU 1998-55894	19971205
AU 734615	B2	20010621		
EP 954526	A2	19991110	EP 1997-952232	19971205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9713684	A	20000328	BR 1997-13684	19971205
JP 2001507679	T2	20010612	JP 1998-525656	19971205
JP 3220169	B2	20011022		
NO 9902734	A	19990802	NO 1999-2734	19990604
MX 9905240	A	20000531	MX 1999-5240	19990604
US 2003060418	A1	20030327	US 2001-928117	20010810

PRIORITY APPLN. INFO.:
US 1996-760916 A 19961206
US 1996-761190 A 19961206
US 1996-761313 A 19961206
US 1996-762381 A 19961206
US 1996-771317 A 19961206
US 1997-984881 A 19971204
US 1997-984884 A 19971204
US 1997-985056 A 19971204
US 1997-985201 A 19971204
US 1997-985298 A 19971204

L62 ANSWER 32 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
US 1994-345820 A2 19941121
WO 1997-US21636 W 19971205

OTHER SOURCE(S): MARPAT 129:68032
GI



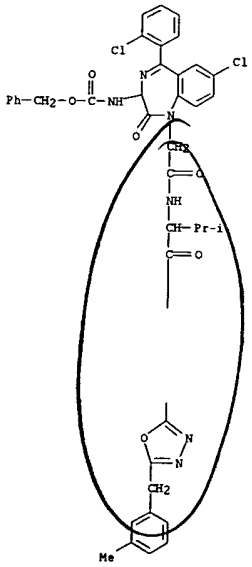
AB The present invention relates to certain substituted oxadiazole, thiadiazole and triazole peptide analogs I [X, Y = independently O, S, (un)substituted N; Z = serine protease binding moiety, preferably a human neutrophil elastase binding moiety; R1 = (un)substituted alkyl, alkenyl, alkynyl; OH, amino, alkylamino, dialkylamino, cycloalkyl, alkylcycloalkyl, alkenylcycloalkyl, cycloalkenyl, alkylcycloalkenyl, alkenylcycloalkenyl, C5-12 aryl, C5-12 arylalkyl, C5-12 arylalkenyl, fused C5-12 arylcycloalkyl, alkyl fused C5-12 arylcycloalkyl] which are useful as inhibitors of serine proteases. Thus, Swern oxidn. of reduced pseudopeptide II (Z = PhCH2O2C), prepd. in 8 steps from 3S-(benzyloxycarbonylamino)-2-acetoxy-4-methylpentanenitrile, 3-methylphenylacetic hydrazide, and Z-Val-Pro-OH, gave 74% desired oxadiazole III. III inhibited human neutrophil elastase with IC50 = 0.025 nM in an in vitro assay.

IT 208846-88-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxadiazole peptide analogs as serine protease and human neutrophil elastase inhibitors)

RN 208846-88-2 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-[2-[[2-methyl-1-[[5-[(3-methylphenyl)methyl]-1,3,4-oxadiazol-2-yl]carbonyl]propyl]amino]-2-oxoethyl]-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 32 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

See 37

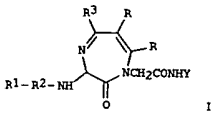
L62 ANSWER 33 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:394349 CAPLUS
DOCUMENT NUMBER: 129:54608
TITLE: Inhibitors of interleukin-1.beta. converting enzyme
INVENTOR(S): Golec, Julian M. C.; Lauffer, David J.; Livingston, David J.; Mullican, Michael D.; Murcko, Mark A.; Nyce, Philip L.; Robidoux, Andrea L. C.; Wannamaker, Marion W.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA; Golec, Julian M. C.; Lauffer, David J.; Livingston, David J.; Mullican, Michael D.; Murcko, Mark A.; Nyce, Philip L.; Robidoux, Andrea L. C.; Wannamaker, Marion W.
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9824805	A1	19980611	WO 1997-US22289	19971205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9858960	A1	19980629	AU 1998-58960	19971205
EP 944645	A1	19990929	EP 1997-954531	19971205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001505883	T2	20010508	JP 1998-525818	19971205
US 6329365	B1	20011211	US 1999-326495	19990604
US 2003069228	A1	20030410	US 2001-35850	20011023
US 6573259	B2	20030603		

PRIORITY APPLN. INFO.:
US 1996-32792P P 19961206
US 1997-42660P P 19970404
US 1997-53001P P 19970626
WO 1997-US22289 W 19971205
US 1999-326495 A3 19990604

OTHER SOURCE(S): MARPAT 129:54608
GI



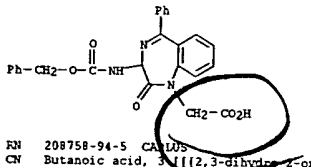
I

L62 ANSWER 33 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB The present invention relates to novel classes of compds. I [RC:CR is an optionally substituted aryl or heteroaryl ring; R1 = aryl, heteroaryl, alkylaryl, alkylheteroaryl; R2 = bond, CO, COCO, SO2, OCO, NHCO, NHSO2, NHCOCO, CH:CHCO, OCH2CO, NHCH2CO, etc.; R3 = aryl, heteroaryl, cycloalkyl, alkyl, dialkylamino; Y = R5CO(CH2)mCH2CH(COR6) or related lactones or semicarbazones, where R5 = OH, alkoxy, NHOH, etc.; R6 = H, HOCH2, aroyloxymethyl, etc.; m = 0 or 1] which were prepd. as inhibitors of interleukin-1.beta. converting enzyme. (ICE). Thus, (3S)-3-[3(R,S)-[(benzyloxycarbonyl)amino]-1,3-dihydro-2-oxo-5-phenyl-2H-1,4-benzodiazepin-1-acetylamino]-4-oxobutyric acid, prepd. from 3(R,S)-[(benzyloxycarbonyl)amino]-1,3-dihydro-2-oxo-5-phenyl-2H-1,4-benzodiazepin-1-acetic acid and (3S)-3-(1-fluorenylmethoxycarbonylamino)-4-oxobutyric acid tert-Bu ester semicarbazone, showed ICE inhibition const. Ki = 650 nM and IC50 = 20,000 nM.

IT **172968-04-6P 208758-94-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors of interleukin-1.beta. converting enzyme)

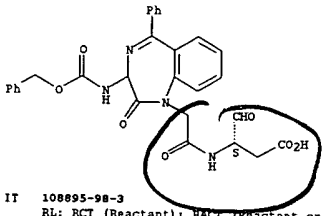
RN 172968-04-6 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 208758-94-5 CAPLUS
CN Butanoic acid, 3-[[[2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-1H-1,4-benzodiazepin-1-yl]acetyl]amino]-4-oxo-, (3S)- (9CI) (CA INDEX NAME)

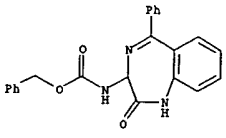
Absolute stereochemistry.

L62 ANSWER 33 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **108895-98-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(inhibitors of interleukin-1.beta. converting enzyme)

RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



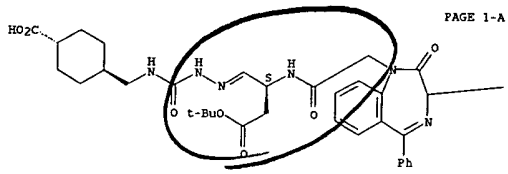
IT **208759-33-5DP**, resin-bound **208759-37-9P**
208759-60-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(inhibitors of interleukin-1.beta. converting enzyme)

RN 208759-33-5 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[[[(2S)-4-(1,1-dimethylethoxy)-2-[[[3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-1-yl]acetyl]amino]-4-oxobutylidene]hydrazino]carbonyl]amino]methyl]-, trans- (9CI) (CA INDEX NAME)

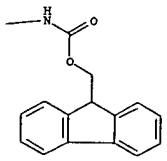
Absolute stereochemistry.
Double bond geometry unknown.

L62 ANSWER 33 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

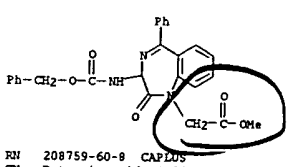
PAGE 1-A



PAGE 1-B



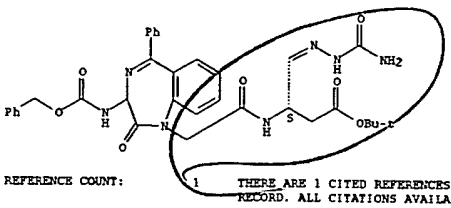
RN 208759-37-9 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 208759-60-8 CAPLUS
CN Butanoic acid, 4-[(aminocarbonyl)hydrazono]-3-[[[2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-1H-1,4-benzodiazepin-1-yl]acetyl]amino]-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L62 ANSWER 33 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

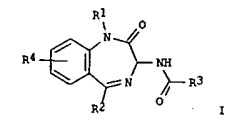
ACCESSION NUMBER: 1998:239206 CAPLUS
DOCUMENT NUMBER: 128:294794
TITLE: 1,4-Benzodiazepinones and their uses as CCK antagonists
INVENTOR(S): Sato, Yoshinari; Tabuchi, Seiichiro; Mitsui, Hitoshi; Katsumi, Ikuyo; Yamamoto, Naoko
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Nippon Shokubai Co., Ltd.; Sato, Yoshinari; Tabuchi, Seiichiro; Mitsui, Hitoshi; Katsumi, Ikuyo; Yamamoto, Naoko
SOURCE: PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815535	A1	19980416	WO 1997-JP3483	19970929
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 934282	A1	19990811	EP 1997-941281	19970929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001504454	T2	20010403	JP 1998-517372	19970929
US 6291452	B1	20010918	US 1999-269752	19990430
US 2002002163	A1	20020103	US 2001-897888	20010705
US 2002183313	A1	20021205	US 2002-101297	20020320

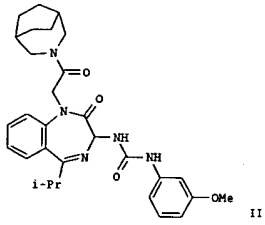
PRIORITY APPLN. INFO.: AU 1996-2843 A 19961008
WO 1997-JP3483 W 19970929
US 1999-269752 A1 19990430
US 2001-897888 B1 20010705

OTHER SOURCE(S): MARPAT 128:294794
GI

L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



I



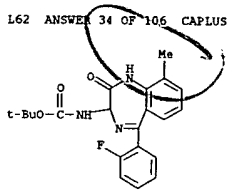
II

AB Benzodiazepine derivs. I [R1 = (un)substituted alkyl; R2 = alkyl or cycloalkylalkyl when R4 = H, or R2 = variety of specified groups when R4 = alkyl, halo, or dialkylamino; R3 = indolyl, (un)substituted arylamino, pyridylamino, or cycloalkylamino] are useful as cholecystokinin (CCK) antagonists. Over 140 examples and numerous intermediates are described. For instance, reaction of 3-MeOC6H4NCO with the corresponding intermediate amine gave title compd. II. In receptor binding studies in vitro, 4 selected I had IC50 values of 1.0-3.7 nM for CCK-B and 0.3-2.0 for CCK-A, with A/B selectivity of 0.30-1.82. The compds. also had ID50 values of 0.23-1.8 mg/kg in a gastric emptying test in mice.

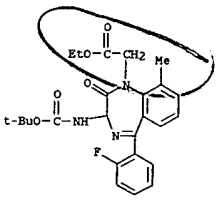
IT 188290-79-1P 188290-80-4P 188290-81-5P
188290-82-6P 205990-10-9P 205990-11-0P
205990-58-5P 205990-66-5P 205990-71-2P
205990-72-3P 205990-73-4P 205990-82-5P
205990-87-0P 205990-88-1P 205990-89-2P
205991-64-6P 205991-82-8P 205991-83-9P
205991-85-1P 205991-86-2P 205991-99-7P
205992-37-6P 205992-39-8P 205992-84-3P
205992-95-6P 205996-26-5P 205996-27-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of benzodiazepinones as CCK antagonists)

RN 188290-79-1 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

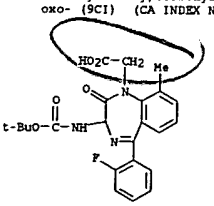
L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 188290-80-4 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

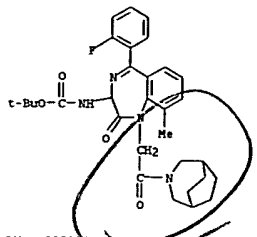


RN 188290-81-5 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo- (9CI) (CA INDEX NAME)

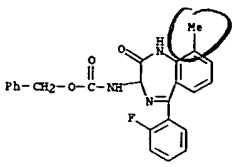


RN 188290-82-6 CAPLUS
CN Carbamic acid, [1-[2-(3-azabicyclo[3.2.2]non-3-yl)-2-oxoethyl]-5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

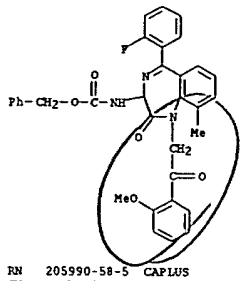


RN 205990-10-9 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

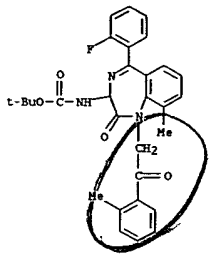


RN 205990-11-0 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-1-[2-(2-methoxyphenyl)-2-oxoethyl]-9-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

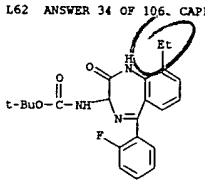


RN 205990-58-5 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-9-methyl-1-[2-(2-methylphenyl)-2-oxoethyl]-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

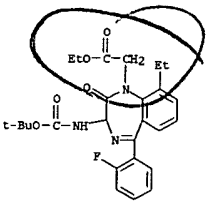


RN 205990-66-5 CAPLUS
CN Carbamic acid, [9-ethyl-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

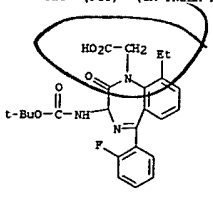
L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 205990-71-2 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-9-ethyl-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

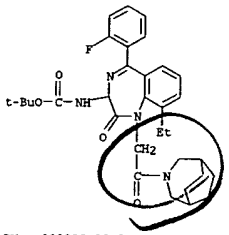


RN 205990-72-3 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-9-ethyl-5-(2-fluorophenyl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

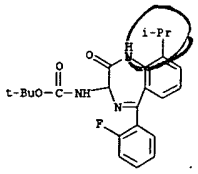


RN 205990-73-4 CAPLUS
CN Carbamic acid, [1-[2-(3-azabicyclo[3.2.2]non-3-yl)-2-oxoethyl]-9-ethyl-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

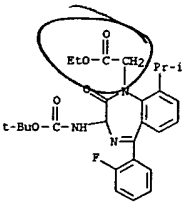
L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 205990-82-5 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-9-(1-methylethyl)-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

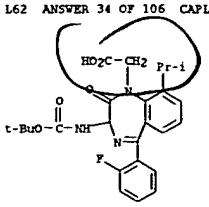


RN 205990-87-0 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-9-(1-methylethyl)-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

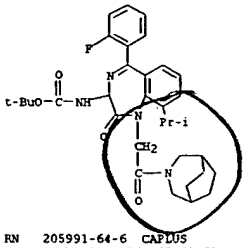


RN 205990-88-1 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-9-(1-methylethyl)-2-oxo- (9CI) (CA INDEX NAME)

L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

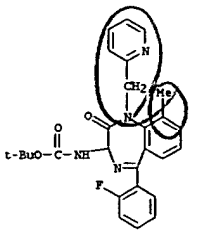


RN 205990-89-2 CAPLUS
CN Carbamic acid, [1-[2-(3-azabicyclo[3.2.2]non-3-yl)-2-oxoethyl]-5-(2-fluorophenyl)-2,3-dihydro-9-(1-methylethyl)-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

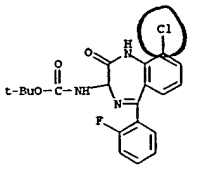


RN 205991-64-6 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-1-(2-pyridinylmethyl)-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

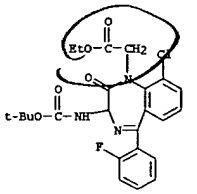
L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 205991-82-8 CAPLUS
CN Carbamic acid, [9-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

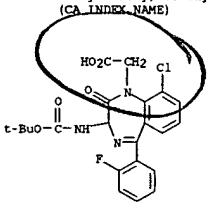


RN 205991-83-9 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 9-chloro-3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

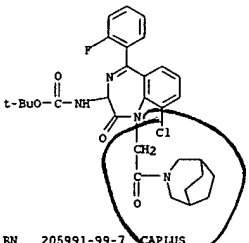


L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 205991-85-1 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 9-chloro-3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

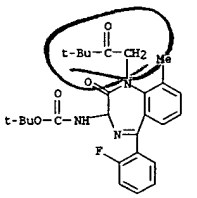


RN 205991-86-2 CAPLUS
CN Carbamic acid, [1-[2-(3-azabicyclo[3.2.2]non-3-yl)-2-oxoethyl]-9-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

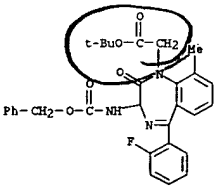


RN 205991-99-7 CAPLUS
CN Carbamic acid, [1-(3,3-dimethyl-2-oxobutyl)-5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

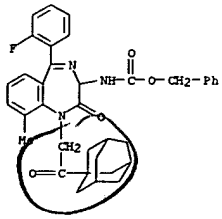
L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



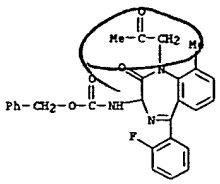
RN 205992-37-6 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



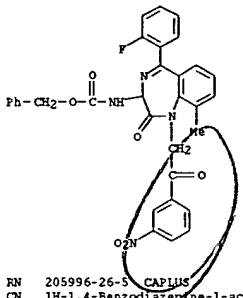
RN 205992-39-8 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-1-(2-oxo-2-tricyclo[3.3.1.13,7]dec-1-ylethyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 205992-84-3 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-1-(2-oxopropyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

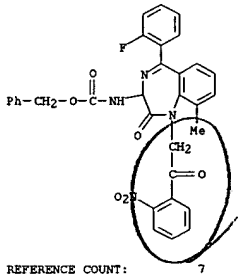


RN 205992-95-6 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-9-methyl-1-[2-(3-nitrophenyl)-2-oxoethyl]-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



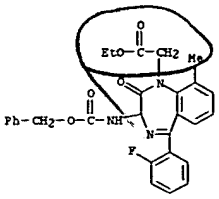
RN 205996-26-5 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

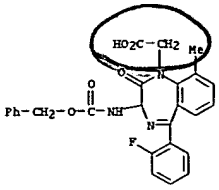


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 34 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 205996-27-6 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

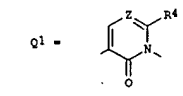


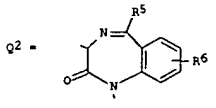
IT 205990-17-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzodiazepinones as CCK antagonists)
RN 205990-17-6 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-9-methyl-1-[2-(2-nitrophenyl)-2-oxoethyl]-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 35 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:126655 CAPLUS
DOCUMENT NUMBER: 128:192666
TITLE: Preparation of acetamides, their use as chymase inhibitors and angiotensin II inhibitors, and cardiovascular agents containing them
INVENTOR(S): Akaha, Atsushi; Takenaka, Kohei; Itani, Hiromichi; Sato, Akihiro; Nakanishi, Isao
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10053579	A2	19980224	JP 1997-160803	19970618
PRIORITY APPLN. INFO.:			AU 1996-626	19960624

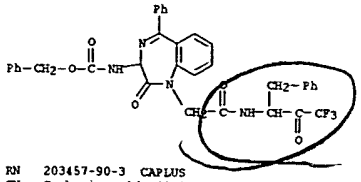
OTHER SOURCE(S): MARPAT 128:192666
GI



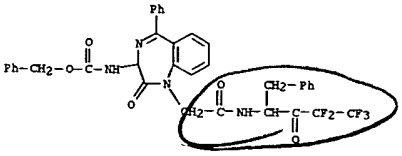


AB R1NHXYCONHCHR2COR3 I [R1 = H, protecting group; R2 = ar(lower)alkyl; R3 = lower haloalkyl, (protected) CO2H; X = Q1, Q2; R4, R5 = halo-, lower alkoxy-, or Ph-substituted aryl, cyclo(lower)alkyl; R6 = H, lower alkyl; Z = N, CH; Y = lower alkylene] or their salts, useful for prevention or treatment of heart and/or circulation disorders, are prepd. by oxidn. of R1aNHXYCONHCHR2CHR3OH (R1a = protecting group; R2, R3, X, Y = same as above) or their salts, followed by optional deprotection. Oxidn. of 905 mg 2-[5-[(benzyloxycarbonyl)amino]-2-(4-fluorophenyl)-1,6-dihydro-6-oxo-1-pyrimidinyl]-N-[2-(4,4,4-trifluoro-3-hydroxy-1-phenyl)butyl]acetamide with 1,1,1-triacetoxy-1,1-dihydro-1,2-benziodoxol-1-(1H)-one at room temp. for 15 h in CH2Cl2 gave 644 mg the corresponding ketone deriv., which inhibited chymase at IC50 of <1.0 .times. 10-5 M.
IT 203457-89-0P 203457-90-3P 203457-91-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); RCT (Reactant); SPN

L62 ANSWER 35 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of acetamides as chymase and angiotensin II inhibitors)
RN 203457-89-0 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-2-oxo-1-(phenylmethyl)propyl]amino]ethyl]-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



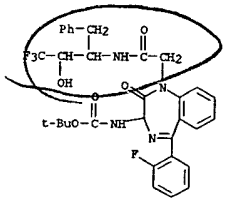
RN 203457-90-3 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-[2-oxo-2-[[3,3,4,4,4-pentafluoro-2-oxo-1-(phenylmethyl)butyl]amino]ethyl]-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



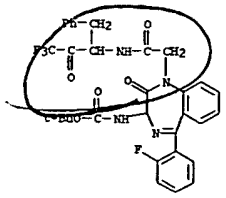
RN 203457-91-4 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-2-oxo-1-(phenylmethyl)propyl]amino]ethyl]-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 35 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

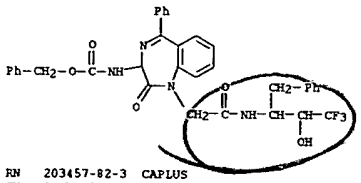
RN 203457-83-4 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-2-hydroxy-1-(phenylmethyl)propyl]amino]ethyl]-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



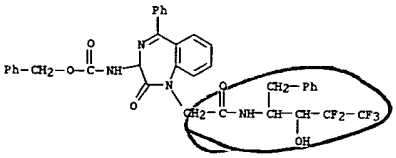
L62 ANSWER 35 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT 203457-81-2P 203457-82-3P 203457-83-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of acetamides as chymase and angiotensin II inhibitors)
RN 203457-81-2 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-2-hydroxy-1-(phenylmethyl)propyl]amino]ethyl]-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

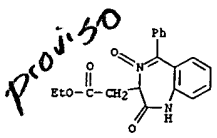


RN 203457-82-3 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-[2-oxo-2-[[3,3,4,4,4-pentafluoro-2-hydroxy-1-(phenylmethyl)butyl]amino]ethyl]-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



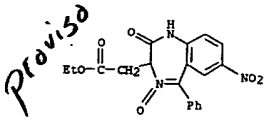
~~L62~~ ANSWER 36 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:117724 CAPLUS
DOCUMENT NUMBER: 128:204872
TITLE: Steric control of reactivity: formation of oximes, benzodiazepinone N-oxides and isoxazoloquinolinones
AUTHOR(S): Heaney, Frances; Bourke, Sharon; Cunningham, Desmond; McArdle, Patrick
CORPORATE SOURCE: Department of Chemistry, University College Galway, Ire.
SOURCE: Journal of the Chemical Society, Perkin Transactions 2: Physical Organic Chemistry (1998), (3), 547-560
CODEN: JCPKEH; ISSN: 0300-9580
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Reaction of alkenyl carbonyl compds. with hydroxylamine can lead to the formation of oximes, benzodiazepinone N-oxides, or isoxazoloquinolinones. The products depend on the electronic nature of the terminal olefinic substituent and the space filling capacity of the other substituents. When the olefinic center is electron poor keto carbonyls convert exclusively to bicyclic nitrones whereas aldehydes are more sensitive to subtle changes in skeletal structure and give rise to oximes, tricycles, or mixts. of both. For aldehyde and ketone substrates when the olefinic center carries an aryl substituent the primary product is the corresponding oxime which on thermal activation converts to tricyclic isoxazoloquinolinone.
IT 172658-27-4P 203917-54-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(formation of oximes, benzodiazepinone N-oxides and isoxazoloquinolinones from alkenoylaminophenylcarbonyl compds.)
RN 172658-27-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-, ethyl ester, 4-oxide (9CI) (CA INDEX NAME)

Proviso



RN 203917-54-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-7-nitro-2-oxo-5-phenyl-, ethyl ester, 4-oxide (9CI) (CA INDEX NAME)

Proviso

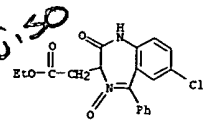


L62 ANSWER 36 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

IT 203917-53-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(formation of oximes, benzodiazepinone N-oxides and isoxazoloquinolinones from alkenoylaminophenylcarbonyl compds.)

RN 203917-53-7 CAPLUS

CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, ethyl ester, 4-oxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 37 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

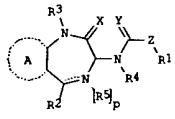
ACCESSION NUMBER: 1998:55621 CAPLUS
DOCUMENT NUMBER: 128:128038
TITLE: Preparation of benzodiazepines as selective IKs antagonists
INVENTOR(S): Lynch, Joseph J., Jr.; Salata, Joseph J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Lynch, Joseph J., Jr.; Salata, Joseph J.
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9800405	A1	19980108	WO 1997-US11131	19970625
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9735066	A1	19980121	AU 1997-35066	19970625
AU 722110	B2	20000720		
EP 907644	A1	19990414	EP 1997-931437	19970625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000510155	T2	20000808	JP 1998-504289	19970625

PRIORITY APPLN. INFO.: US 1996-20747P P 19960628
GB 1996-17894 A 19960828
WO 1997-US11131 W 19970625

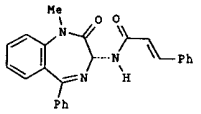
OTHER SOURCE(S): MARPAT 128:128038
GI

L62 ANSWER 37 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



I

II



III

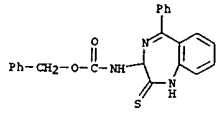
AB The title compds. [I; A = thieno, pyrido, (un)substituted benzo; X = O, S, N(NH2), N(OH), H2; Y = O, N(CN), H2; Z = (un)substituted C1-6 alkylene, C2-4 alkenylene, C3-6 cycloalkylene, etc.; p = 0-2; R1 = (un)susbtituted Ph, C5-7 cycloalkyl, 5-10 membered heterocyclyl, etc.; R2 = (un)substituted Ph, C1-4 alkyl, C5-7 cycloalkyl, etc.; R3 = H, (un)substituted C1-6 alkyl, CF3; R4 = H, (un)substituted C1-6 alkyl, tetrazol-5-yl; R5 = H, O; R2R5 = II], useful as selective IKs antagonists, were prepd. Thus, reaction of (E)-3-phenyl-2-propenoyl chloride with 3(R)-amino-1,3-dihydro-1-methyl-5-phenyl-2H-1,4-benzodiazepin-2-one in the presence of Et3N in CH2Cl2 afforded 21% the title compd. (E)-(+)-(3R)-III. Compds. I have an IC50 of < 100 nM as IKs blockers and are at least 10 times more potent in the blockade of IKs than of blockade of IKr. Method of preventing, treating, terminating and protecting against cardiac arrhythmias, such as atrial, supraventricular and ventricular ectopy, tachycardia, flutter or fibrillation, including atrial, supraventricular and ventricular arrhythmias resulting from myocardial ischemic injury in a patient in need thereof, comprising administration of a selective IKs antagonist and a beta-adrenergic receptor blocking agent, administered in combined therapy either simultaneously, sep. or sequentially is presented. Addnl., a pharmaceutical prepn. comprising a selective IKs antagonist and a beta-adrenergic receptor blocking agent, wherein these compds. are administered simultaneously, sep. or sequentially is presented.

IT 146135-15-1P 170284-32-9P 170551-99-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of benzodiazepines as selective IKs antagonists)

RN 146135-15-1 CAPLUS

CN Carbamic acid, (2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 37 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

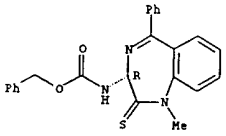


Ex. 66

RN 170284-32-9 CAPLUS

CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

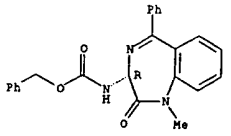


Ex. 65

RN 170551-99-2 CAPLUS

CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



Ex. 107

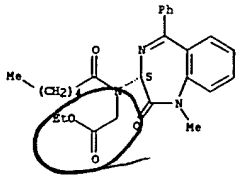
IT 170284-54-5P 201789-22-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzodiazepines as selective IKs antagonists)

RN 170284-54-5 CAPLUS

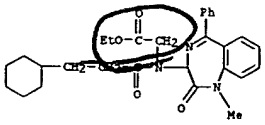
CN Glycine, N-[(3S)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N-(1-oxohexyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

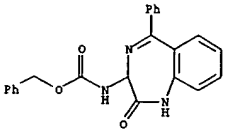
L62 ANSWER 37 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 201789-22-2 CAPLUS
CN Glycine, N-(3-cyclohexyl-1-oxopropyl)-N-(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



IT 108895-98-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of benzodiazepines as selective IKs antagonists)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

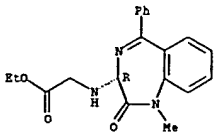


Ex 65

IT 201988-64-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzodiazepines as selective IKs antagonists)
RN 201988-64-9 CAPLUS
CN Glycine, N-[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-

L62 ANSWER 37 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Ex 98

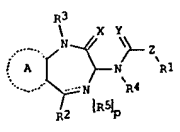
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 38 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:42387 CAPLUS
DOCUMENT NUMBER: 128:102106
TITLE: Preparation of benzodiazepines for treating Meniere's disease
INVENTOR(S): Siegl, Peter K. S.; Goldberg, Allan I.; Goldberg, Michael R.; Chang, Paul I.
PATENT ASSIGNEE(S): Merck + Co., Inc., USA; Siegl, Peter K. S.; Goldberg, Allan I.; Goldberg, Michael R.; Chang, Paul I.
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

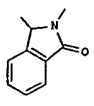
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9749690	A1	19971231	WO 1997-US10561	19970623
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9734007	A1	19980114	AU 1997-34007	19970623

PRIORITY APPLN. INFO.: US 1996-20650P P 19960627
GB 1996-17895 A 19960828
US 1997-40796P P 19970314
WO 1997-US10561 W 19970623

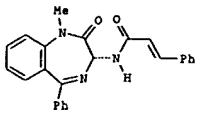
OTHER SOURCE(S): MARPAT 128:102106
GI



I



II

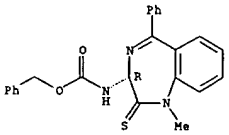


III

L62 ANSWER 38 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
AB The title compds. [I; A = (un)substituted thieno, pyrido, benzo; X = O, S, N(NH2), N(OH), H2; Y = O, N(CN), H2; Z = (un)substituted C1-6 alkylene, C2-4 alkenylene, a single bond, etc.; p = 0-1; R1 = (un)substituted Ph, C5-7 cycloalkyl, Me, etc.; R2 = (un)substituted Ph, C5-7 cycloalkyl, C1-4 alkyl, etc.; R3 = H, C1-6 alkyl, CF3; R4 = H, C1-6 alkyl, tetrazol-5-yl; R5 = H, O; R5R2 = II], useful for the treatment of Meniere's disease comprising the administration of a medicament which modulates the IKs channel of the ear and thereby reduces endolymph prodn., were prepd. Thus, reaction of (E)-3-phenyl-2-propenoyl chloride with 3(R)-amino-1,3-dihydro-1-methyl-5-phenyl-2H-1,4-benzodiazepin-2-one in the presence of Et3N in CH2Cl2 afforded 21% the title compd. (E)-(+)-(3R)-III. Compds. I showed IC50 of < 100 nM as IKs blockers.

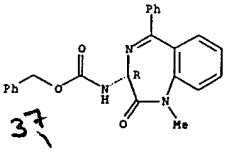
IT 170284-32-9P 170551-99-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of benzodiazepines for treating Meniere's disease)
RN 170284-32-9 CAPLUS
CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



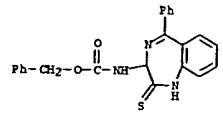
RN 170551-99-2 CAPLUS
CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



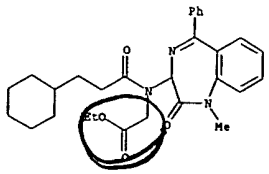
IT 146135-15-1P 170284-51-2P 170284-54-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzodiazepines for treating Meniere's disease)
RN 146135-15-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-,

L62 ANSWER 38 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
phenylmethyl ester (9CI) (CA INDEX NAME)



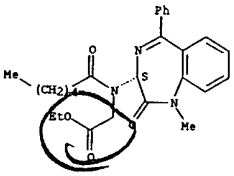
RN 170284-51-2 CAPLUS
CN Glycine, N-(3-cyclohexyl-1-oxopropyl)-N-(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 170284-54-5 CAPLUS
CN Glycine, N-[(3S)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N-(1-oxohexyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

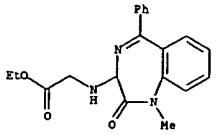


IT 170284-72-7P

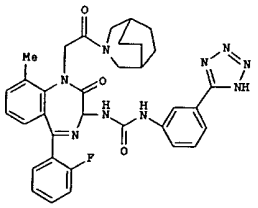
L62 ANSWER 38 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzodiazepines for treating Meniere's disease)

RN 170284-72-7 CAPLUS
CN Glycine, N-(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



L62 ANSWER 39 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:123309 CAPLUS
DOCUMENT NUMBER: 126:225281
TITLE: Dual CCK-A and -B receptor antagonists. I. C9-Methyl-1,4-benzodiazepines
AUTHOR(S): Tabuchi, Seiichiro; Ito, Harunobu; Sogabe, Hajime; Kuno, Masako; Katsumi, Ikuyo; Yamamoto, Naoko; Mitsui, Hitoshi; Satoh, Yoshinari
CORPORATE SOURCE: New Drug Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(2), 169-174
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



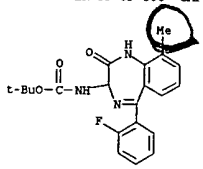
I

AB A novel series of potent CCK-A and CCK-B dual antagonists has been prepd. which incorporate a Me substituent at the 9 position of a 1,4-benzodiazepine ring system. FR193108 ((+)-I) was selected for further biol. evaluation, and is expected to be more efficacious than CCK-A selective antagonists for the treatment of pancreatitis, since it has high and well-balanced affinities for both CCK-A and -B receptors.

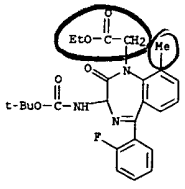
IT 188290-79-1P 188290-80-4P 188290-81-5P
188290-82-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and CCK-A and -B receptor antagonist activity of methylbenzodiazepines)

RN 188290-79-1 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

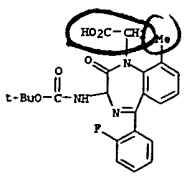
L62 ANSWER 39 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 188290-80-4 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

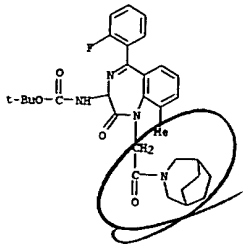


RN 188290-81-5 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 188290-82-6 CAPLUS
CN Carbamic acid, [1-[2-(3-azabicyclo[3.2.2]non-3-yl)-2-oxoethyl]-5-(2-fluorophenyl)-2,3-dihydro-9-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

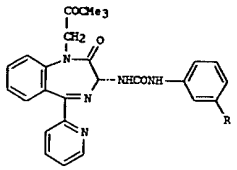
L62 ANSWER 39 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



see 37 & 66

L62 ANSWER 40 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:41882 CAPLUS
DOCUMENT NUMBER: 126:117956
TITLE: (3R)-N-(1-(tert-Butylcarbonylmethyl)-2,3-dihydro-2-oxo-5-(2-pyridyl)-1H-1,4-benzodiazepin-3-yl)-N'-[3-(methylamino)phenyl]urea (YF476): A Potent and Orally Active Gastrin/CCK-B Antagonist
AUTHOR(S): Semple, Graeme; Ryder, Hamish; Rooker, David P.; Batt, Andrzej R.; Kendrick, David A.; Szelke, Michael; Ohta, Mitsuaki; Satoh, Masato; Nishida, Akito; Akuzawa, Shinobu; Miyata, Keiji
CORPORATE SOURCE: Ferring Research Institute, Chilworth Research Centre, Chilworth/Southampton, SO16 7NP, UK
SOURCE: Journal of Medicinal Chemistry (1997), 40(3), 331-341
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

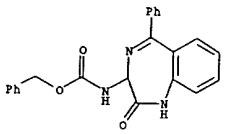
AB A no. of new 1,4-benzodiazepin-2-one-based gastrin/CCK-B receptor antagonists related to the archetypal analog L-365,260, and more closely to the recently reported compd. YM022, have been synthesized and evaluated for biol. activity. The compds. were screened for their ability to inhibit the binding of [125I]CCK-8 to gastrin/CCK-B receptors prepd. from rat brains and that of [3H]L-364,718 to CCK-A receptors from rat pancreas, and were shown to be potent and selective ligands for the gastrin/CCK-B receptor. Functional studies in vivo demonstrated the compds. to be antagonists of the receptor as evidenced by their ability to inhibit pentagastrin-induced gastric acid secretion in anesthetized rats. More extensive evaluation in vivo included detn. of ED50 values in the rat acid secretion model for selected compds. and an examn. of the effect of these compds. on pentagastrin-induced gastric acid secretion in Heidenhain pouch dogs following oral and i.v. administration. Two compds., namely (3R)-I (R = NHMe) (YF476) and (3R)-I (R = NMe2).cntdot.HCl, showed potent dose-dependent effects in both models with the former showing excellent oral bioavailability and an ED50 of 21 nmol/kg po in dogs. YF476 is currently under clin. investigation for the treatment of gastro-esophagal reflux disease.

IT **108895-98-3P 152665-63-9P 152665-84-4P**

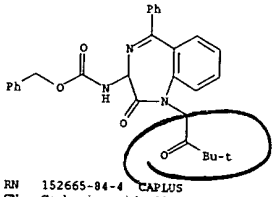
37 66

L62 ANSWER 40 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
186086-59-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(1,4-benzodiazepin-3-ylureas as gastrin/CCK-B antagonists)

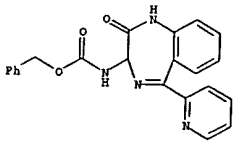
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 152665-63-9 CAPLUS
CN Carbamic acid, [1-(3,3-dimethyl-2-oxobutyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

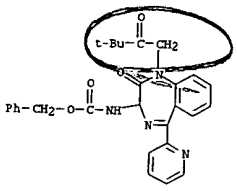


RN 152665-84-4 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 186086-59-9 CAPLUS
CN Carbamic acid, [1-(3,3-dimethyl-2-oxobutyl)-2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 40 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



09/980,680

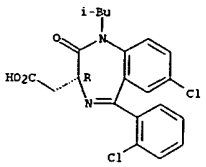
See 56

L62 ANSWER 41 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:457956 CAPLUS
DOCUMENT NUMBER: 125:123701
TITLE: Antihypertriglyceridemic composition
INVENTOR(S): Sugiyama, Yasuo; Yukimasa, Hidefumi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Can. Pat. Appl., 59 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2160092	AA	19960408	CA 1995-2160092	19951006
PRIORITY APPLN. INFO.:			JP 1994-199494	19941007

OTHER SOURCE(S): MARPAT 125:123701
GI For diagram(s), see printed CA Issue.
AB An antihypertriglyceridemic compn. comprises a compd. I (R1 = H, hydrocarbon group ; R2, R3 = H, hydrocarbon, group, heterocyclic group; X = carboxyl group, carbamoyl group, OH, amino group, heterocyclic group; A = benzene ring, heterocyclic ring; J = 7- or 8-membered heterocyclic ring) or a pharmacol. acceptable salt thereof. The compn. has a plasma triglyceride concn.-lowering activity, and therefore is useful for the prophylaxis or treatment of hypolipemia, such as hypertriglyceridemia. Examples for formulating capsules, tablets, and injections contg. I are given.
IT 165952-80-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hypolipemic compns. contg. fused-cyclic compds.)
RN 165952-80-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-(2-methylpropyl)-2-oxo-, (R)- (9CI) (CA INDEX NAME)

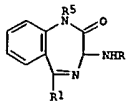
Absolute stereochemistry.



L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:365469 CAPLUS
DOCUMENT NUMBER: 125:33692
TITLE: Preparation of oxobenzodiazepinylureas as CCK and gastrin antagonists
INVENTOR(S): Sato, Yoshinari; Sakane, Kazuo; Tabuchi, Seiichiro; Mitsui, Hitoshi; Katsumi, Ikuyo; Satoh, Yuichi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Nippon Shokubai Co., Ltd.
SOURCE: PCT Int. Appl., 302 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9604254	A2	19960215	WO 1995-JP1497	19950727
WO 9604254	A3	19960620		
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2196062	AA	19960215	CA 1995-2196062	19950727
EP 804425	A2	19971105	EP 1995-926512	19950727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 10504545	T2	19980506	JP 1995-506388	19950727
US 5763437	A	19980609	US 1997-776196	19970129
PRIORITY APPLN. INFO.:			GB 1994-15311	19940729
			GB 1995-1726	19950130
			WO 1995-JP1497	19950727

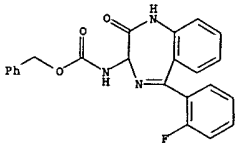
OTHER SOURCE(S): MARPAT 125:33692
GI



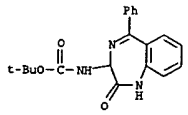
I

AB Title compds. [I; R = C(:Y)ZR2; R1 = (un)substituted aryl, (un)substituted cycloalkyl; R2 = (un)substituted aryl, (un)substituted cycloalkyl, etc.; R5 = Z1R3; R3 = tetrahydrofuryl, thienyl, quinolyl, XR4, etc.; R4 = thiomorpholinyl, pyridyl, cyclohydrocarbyl, etc.; X = CO, CO2, CONH, etc.; Y = O or S; Z = bond, (alkyl)imino; Z1 = alkylene] were prepd. Thus, I (R1 = C6H4F-2)(II; R = CO2CH2Ph, R5 = CH2CO2H)(prepn. given) was amidated by 3-azabicyclo[3.2.2]nonane and the deprotected product N-acylated by 3-MeC6H4NCO to give II [R = CONHC6H4Me-3, R5 = CH2COR4, R4 = 3-azabicyclo[3.2.2]nonan-3-yl] which gave 98.0% inhibition of CCK-8 binding at guinea pig cerebral cortex membrane prepn. at 10-8M in vitro.
IT 103373-52-0P 168162-29-6P 177553-82-1P
177783-92-5P 177783-93-6P 177783-94-7P

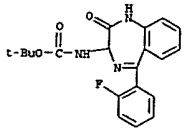
L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
177783-97-0P 177783-98-1P 177783-99-2P
177784-01-9P 177784-03-1P 177784-09-7P
177784-13-3P 177784-25-7P 177784-27-9P
177784-31-5P 177784-45-1P 177784-47-3P
177784-48-4P 177784-50-8P 177784-58-6P
177784-60-0P 177784-61-1P 177784-62-2P
177784-64-4P 177784-91-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of oxobenzodiazepinylureas as CCK and gastrin antagonists)
RN 103373-52-0 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 168162-29-6 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

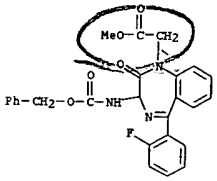


RN 177553-82-1 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

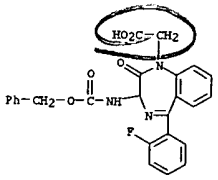


RN 177783-92-5 CAPLUS

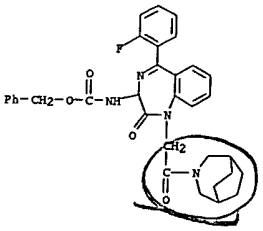
L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1H-1,4-Benzodiazepine-1-acetic acid, 5-(2-fluorophenyl)-2,3-dihydro-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 177783-93-6 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 5-(2-fluorophenyl)-2,3-dihydro-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

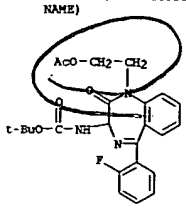


RN 177783-94-7 CAPLUS
CN Carbamic acid, [1-[2-(3-azabicyclo[3.2.2]non-3-yl)-2-oxoethyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

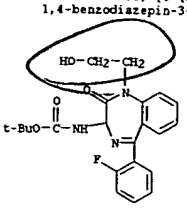


L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 177783-97-0 CAPLUS
CN Carbamic acid, [1-[2-(acetyloxy)ethyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

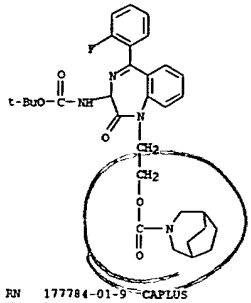


RN 177783-98-1 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-1-(2-hydroxyethyl)-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

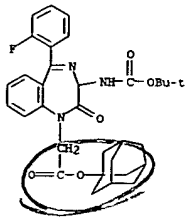


RN 177783-99-2 CAPLUS
CN 3-Azabicyclo[3.2.2]nonane-3-carboxylic acid, 2-[3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-1-yl]ethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

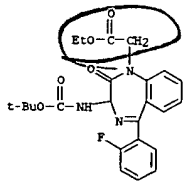


RN 177784-01-9 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, tricyclo[3.3.1.13,7]dec-1-yl ester (9CI) (CA INDEX NAME)

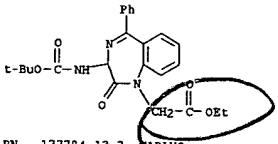


RN 177784-03-1 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

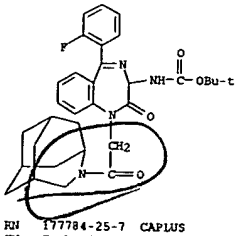
L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 177784-09-7 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-2,3-dihydro-2-oxo-5-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

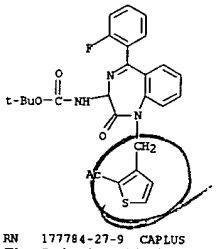


RN 177784-13-3 CAPLUS
CN Carbamic acid, [1-[2-[4-azatricyclo[4.3.1.13,8]undec-4-yl)-2-oxoethyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

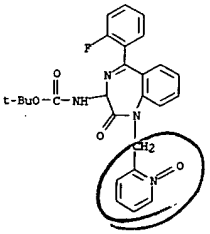


RN 177784-25-7 CAPLUS
CN Carbamic acid, [1-[(2-acetyl-3-thienyl)methyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

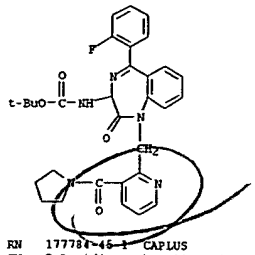


RN 177784-27-9 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-1-[(1-oxido-2-pyridinyl)methyl]-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

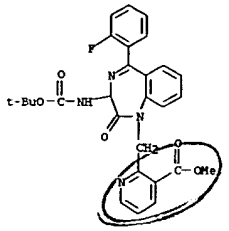


RN 177784-31-5 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1-[[3-(1-pyrrolidinylcarbonyl)-2-pyridinyl]methyl]-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

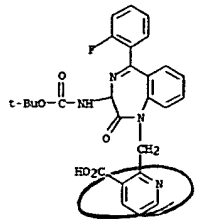


RN 177784-45-1 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-[[3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-1-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

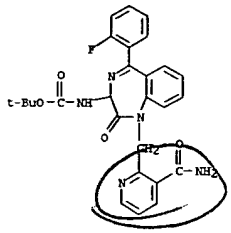


RN 177784-47-3 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-[[3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-1-yl]methyl]- (9CI) (CA INDEX NAME)

L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

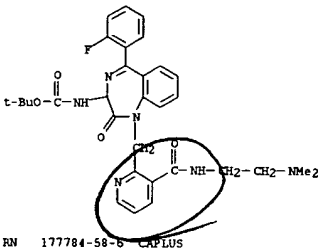


RN 177784-48-4 CAPLUS
CN Carbamic acid, [1-[[3-(aminocarbonyl)-2-pyridinyl]methyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

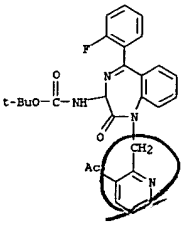


RN 177784-50-8 CAPLUS
CN Carbamic acid, [1-[[3-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2-pyridinyl]methyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

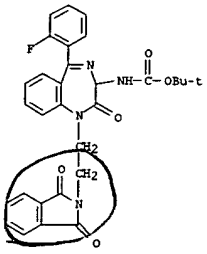


RN 177784-58-6 CAPLUS
CN Carbamic acid, [1-[(3-acetyl-2-pyridinyl)methyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

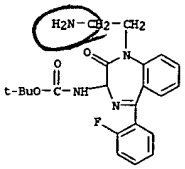


RN 177784-60-0 CAPLUS
CN Carbamic acid, [1-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

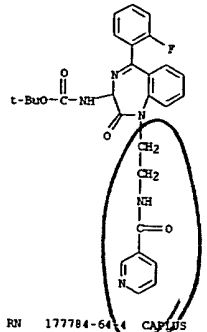


RN 177784-61-1 CAPLUS
CN Carbamic acid, [1-(2-aminoethyl)-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

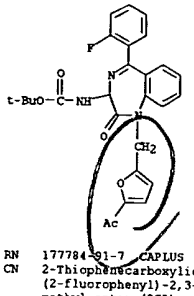


RN 177784-62-2 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1-[2-[(3-pyridinylcarbonyl)amino]ethyl]-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

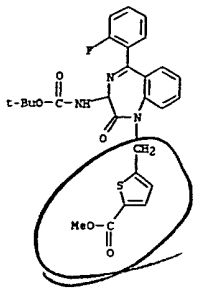


RN 177784-64-4 CAPLUS
CN Carbamic acid, [1-[(5-acetyl-2-furanyl)methyl]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 177784-91-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-1-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 42 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

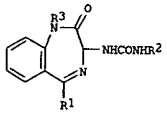


L62 ANSWER 43 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:353193 CAPLUS
DOCUMENT NUMBER: 125:33694
TITLE: Preparation of benzodiazepines as cholecystokinin B antagonists
INVENTOR(S): Sato, Yoshinari; Sakane, Kazuo; Mitsui, Hitoshi; Katsumi, Ikuyo; Sato, Juichi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan; Nippon Catalytic Chem Ind
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

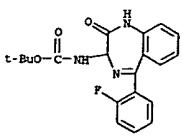
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08073444	A2	19960319	JP 1994-210012	19940902

PRIORITY APPLN. INFO.: JP 1994-210012 19940902
OTHER SOURCE(S): MARPAT 125:33694
GI



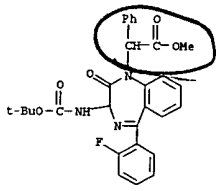
I

AB The title compds. I [R1, R2 = (un)substituted aryl; R3 = alkylthioalkyl, etc.] are prepd. N-[(3RS)-2,3-Dihydro-1-(2-methylthioethyl)-5-(2-fluorophenyl)-2-oxo-1H-1,4-benzodiazepin-3-yl]-N'-(3-methylphenyl)urea (NMR data given) in vitro at 1 x 10-6 M gave 98.5% inhibition of [125I] CCK-8 binding to cerebral cortex membranes.
IT **177553-82-1P 177553-83-2P 177553-87-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzodiazepines as cholecystokinin B antagonists)
RN 177553-82-1 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



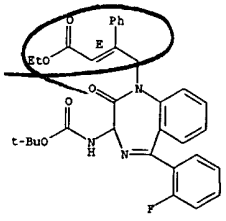
L62 ANSWER 43 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 177553-83-2 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-.alpha.-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 177553-87-6 CAPLUS
CN 2-Butenoic acid, 4-[3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-1-yl]-3-phenyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

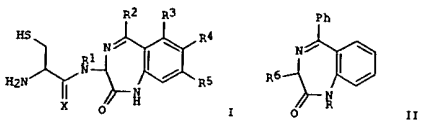
Double bond geometry as shown.



L62 ANSWER 44 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:184016 CAPLUS
DOCUMENT NUMBER: 124:233140
TITLE: Preparation of 3-[2(S)-amino-3-mercaptopropionylamino]-2,3-dihydro-2-oxo-1H-1,4-benzodiazepine derivatives as inhibitors of farnesyl-protein transferase
INVENTOR(S): Wai, John S.; Culberson, J. Christopher; Graham, Samuel L.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9532191	A1	19951130	WO 1995-US6286	19950516
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2190846	AA	19951130	CA 1995-2190846	19950516
AU 9525176	A1	19951218	AU 1995-25176	19950516
AU 691290	B2	19980514		
EP 760813	A1	19970312	EP 1995-919234	19950516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10500688	T2	19980120	JP 1995-530425	19950516
US 5753650	A	19980519	US 1996-737191	19961106
PRIORITY APPLN. INFO.:			US 1994-247122	19940520
			WO 1995-US6286	19950516

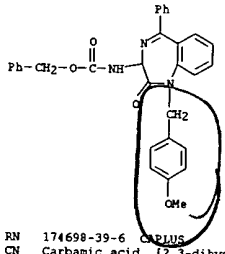
OTHER SOURCE(S): MARPAT 124:233140
GI


I

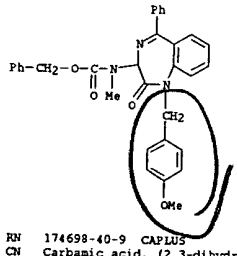
II

AB The title compds., 3-(L-cysteinylamino)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepine derivs. [I; R1 = H, C1-4 alkyl; R2 = H, (un)substituted C1-4 alkyl, C3-6 cycloalkyl, heterocyclyl, or aryl; R3 - R5 = H, C1-4 alkyl, halo; provided that R2 = H when R3 is other than H; R6 = C1-4 alkyl, aralkyl; X = O, H2] or pharmaceutically acceptable salts or

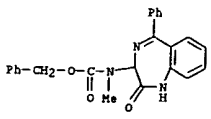
L62 ANSWER 44 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 174698-39-6 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[(4-methoxyphenyl)methyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



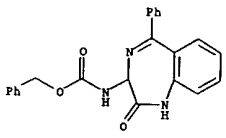
RN 174698-40-9 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 44 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
disulfides thereof, which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras, and block the ability of Ras to transform normal cells to cancer cells, are prepd. The invention is further directed to chemotherapeutic compns. contg. the compds. I and methods for inhibiting farnesyl-protein transferase and treatment of cancer. Thus, alkylation of 2,3-dihydro-2-oxo-1H-1,4-benzodiazepine (II; R = R6 = H) by 4-methoxybenzyl chloride in the presence of K2CO3 in DMF at 60.degree. overnight to II (R = 4-methoxybenzyl, R1 = H) followed by treatment with potassium bis(trimethylsilyl)amide in toluene/THF at -78.degree. and azidation with 2,4,6-triisopropylbenzenesulfonyl chloride at -78.degree. gave the azide II (R = 4-methoxybenzyl, R1 = N3). Redn. of the latter azide with Ph3P in aq. THF at room temp. overnight to the amine II (R = 4-methoxybenzyl, R1 = NH2) followed by acylation with benzyl chloroformate in the presence of 4-dimethylaminopyridine and diisopropylethylamine in CH2Cl2 at room temp. and methylation with MeI in the presence of sodium bis(trimethylsilyl)amide in THF at -78.degree. for 1 h and at room temp. for 2 h gave II (R = 4-methoxybenzyl, R1 = NMeCO2CH2Ph). Deprotection of the latter compd. with ammonium cerium(IV) nitrate in a mixt. of H2O and MeCN to II (R = H, R1 = NMeCO2CH2Ph) and treatment with a mixt. of 30% HBr/AcOH and CH2Cl2 at room temp. for 2 h to II.HBr (R = H, R1 = NHMe) followed by condensation with N-tert-butoxycarbonyl-S-trityl-L-cysteine in the presence of diisopropylethylamine and bis(2-oxo-3-oxazolidinyl)phosphinic chloride in CH2Cl2 at 0.degree. overnight gave the precursor II (R = H, R1 = Boc-Cys(Tri)-NHMe; wherein Tri = trityl), which was treated with CF3CO2H in CH2Cl2 to give, after purifn. by HPLC using a C-18 Vydac protein-peptide column, each one of the pure diastereomers II.1.25CF3CO2H (R = H, R1 = H-Cys-NHMe). The latter faster and slower eluting diastereomer inhibited the farnesylation of RAS-CVLS by [3H]isoprenoid farnesyl pyrophosphate in the presence of farnesyl-protein transferase from bovine brain with IC50 value of 2.6 and 0.11 .mu.M, resp.

IT **108895-98-3P 174698-38-5P 174698-39-6P**
174698-40-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (cysteinylamino)dihydrooxobenzodiazepine derivs. as inhibitors of farnesyl-protein transferase and anticancer agents)

RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 174698-38-5 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[(4-methoxyphenyl)methyl]-2-oxo-5-phenyl-1H-

L62 ANSWER 45 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:161143 CAPLUS
DOCUMENT NUMBER: 124:232494
TITLE: Preparation of diazepine derivatives as specific inhibitors of human renin
INVENTOR(S): Ichihara, Masato; Kawanami, Eiji; Shibazaki, Masayuki
PATENT ASSIGNEE(S): Yamanouchi Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07304755	A2	19951121	JP 1994-98481	19940512
PRIORITY APPLN. INFO.:			JP 1994-98481	19940512

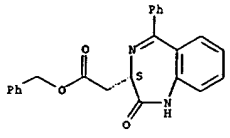
OTHER SOURCE(S): MARPAT 124:232494
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; ring A = (un)substituted benzene or thiophene; R1 = H, alkyl, aralkyl; R2 = alkyl, aralkyl, (un)substituted Ph; L1 = bond, alkyl- or aralkyl-substituted alkylene; X = bond, CO, NHCO; R3 = aralkyl, cycloalkylalkyl; L2 = (CHOH)n, CONHCHR5; n = 1,2; wherein R5 = aralkyl, cycloalkylalkyl; Y = Het, CH2-Het, CH2S-Het, CO2R4; wherein Het = (un)substituted 3- to 5-membered heterocyclyl contg. 1-4 N atoms; R4 = H, alkyl], which have lasting effect and excellent absorbability through digestive tract, and are suitable for clin. administration and useful for the treatment and prevention of hypertension, in particular renin-angiotensin dependent hypertension (no data), are prepd. Thus, 133 mg (3R)-3-amino-1-methyl-5-phenyl-2,3-dihydro-1H-benzodiazepin-2-one was dissolved in CH2Cl2, followed by adding 0.15 mL Et3N and carbonyldiimidazole, stirring the resulting mixt. at room temp. for 1 h, and adding a soln. of 322 mg (1S)-1-cyclohexylmethyl-2-hydroxy-3-[(1-methyl-5-tetrazolyl)thio]propylamine hydrochloride and 0.31 mL Et3N in CH2Cl2, and the resulting mixt. was stirred at room temp. for 2 h to give, after silica gel chromatog., the title compd. (II).

IT **174399-16-7P 174399-19-0P 174399-20-3P**
174399-21-4P 174399-22-5P 174399-23-6P
174399-24-7P 174399-26-9P 174399-28-1P
174399-29-2P 174399-30-5P 174399-35-0P
174399-36-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of peptide-contg. diazepine derivs. as specific inhibitors of human renin)

RN 174399-16-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

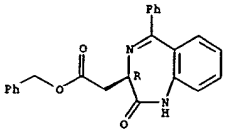
Absolute stereochemistry.

L62 ANSWER 45 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



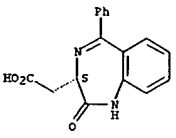
RN 174399-19-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174399-20-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-, (S)- (9CI) (CA INDEX NAME)

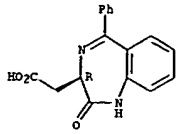
Absolute stereochemistry.



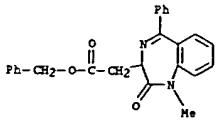
RN 174399-21-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

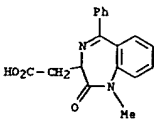
L62 ANSWER 45 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 174399-22-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-1-methyl-2-oxo-5-phenyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



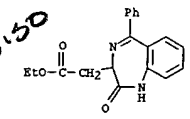
RN 174399-23-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-1-methyl-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



RN 174399-24-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

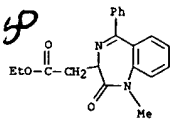
L62 ANSWER 45 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Provisional



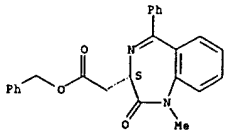
RN 174399-26-9 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-1-methyl-2-oxo-5-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

Provisional



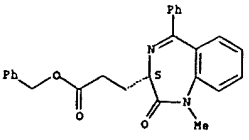
RN 174399-28-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-1-methyl-2-oxo-5-phenyl-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174399-29-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-1-methyl-2-oxo-5-phenyl-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

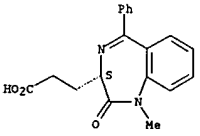
Absolute stereochemistry.



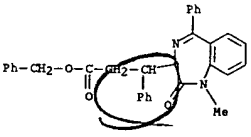
L62 ANSWER 45 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 174399-30-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-1-methyl-2-oxo-5-phenyl-, (S)- (9CI) (CA INDEX NAME)

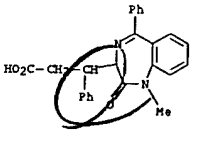
Absolute stereochemistry.



RN 174399-35-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-1-methyl-2-oxo-.beta.,5-diphenyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

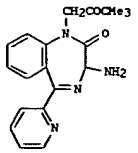


RN 174399-36-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-1-methyl-2-oxo-.beta.,5-diphenyl- (9CI) (CA INDEX NAME)



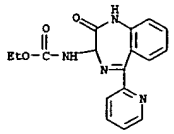
see 55

L62 ANSWER 46 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:95894 CAPLUS
DOCUMENT NUMBER: 124:261001
TITLE: A facile large scale synthesis of optically active 3-amino-5-(2-pyridyl)-1,4-benzodiazepin-2-one derivatives
AUTHOR(S): Semple, Graeme; Ryder, Hamish; Ohta, Mitsuaki; Satoh, Masato
CORPORATE SOURCE: Ferring Research Inst., Chilworth Research Centre, Southampton, SO16 7NP, UK
SOURCE: Synthetic Communications (1996), 26(4), 721-7
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



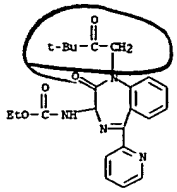
I

AB A facile method for the synthesis of 3-amino-5-(2-pyridyl)-1,4-benzodiazepin-2-one I mediated by benzotriazole is described. The synthesis and optical resoln. of the product by fractional crystn. proceeds in high yield, under mild conditions and without recourse to toxic reagents or chromatog. sepns. and hence is amenable to the large scale prepn. of these important precursors to potent CCK receptor ligands.
IT 168162-20-7P 168162-21-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of aminopyridylbenzodiazepinone)
RN 168162-20-7 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



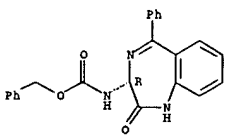
L62 ANSWER 46 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 168162-21-8 CAPLUS
CN Carbamic acid, [1-(3,3-dimethyl-2-oxobutyl)-2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 47 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:45260 CAPLUS
DOCUMENT NUMBER: 124:219383
TITLE: Synthesis and biological activity of 1-alkylcarbonylmethyl analogs of YM022
AUTHOR(S): Semple, Graeme; Ryder, Hamish; Kendrick, David A.; Szelke, Michael; Ohta, Mitsuaki; Satoh, Masato; Nishida, Akito; Akuzawa, Shinobu; Miyata, Keiji
CORPORATE SOURCE: Ferring Res. Inst., Chilworth Res. Centre, Southampton, SO16 7NP, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(1), 51-4
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A novel series of 1-alkylcarbonylmethyl analogs of the potent gastrin/CCK-B receptor antagonist YM022 have been prepd. A no. of analogs retained good affinity for the gastrin/CCK-B receptor and one compd. (6d) showed improved binding and enhanced selectivity for this receptor over CCK-A. A second compd. (J) gave improved in vivo inhibition of gastric acid secretion in rats. Both analogs were shown to have significantly better activity in the same model following i.d. dosing than either YM022 or L-365,260.
IT 174589-30-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylcarbonylmethyl analogs of YM022 prepn. and structure-related affinity for gastrin/CCK-B receptor and gastric acid secretion inhibition)
RN 174589-30-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

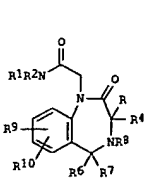


see 37

L62 ANSWER 48 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:998140 CAPLUS
DOCUMENT NUMBER: 124:176161
TITLE: Preparation of 1,4-benzodiazepin-2-one-1-acetamides as cholecystokinin-A receptor agonists
INVENTOR(S): Aquino, Christopher Joseph; Dezube, Milana; Sugg, Elizabeth Ellen; Sherrill, Ronald George; Willson, Timothy Mark; Szewczyk, Jerzy Ryszard
PATENT ASSIGNEE(S): Glaxo Wellcome Inc., USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528399	A1	19951026	WO 1995-EP1335	19950413
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9524462	A1	19951110	AU 1995-24462	19950413
EP 755394	A1	19970129	EP 1995-918554	19950413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09511998	T2	19971202	JP 1995-526694	19950413
ZA 9503111	A	19960123	ZA 1995-3111	19950418
US 5795887	A	19980818	US 1996-718552	19961011
PRIORITY APPLN. INFO.:			GB 1994-7468	19940415
			GB 1994-7499	19940415
			GB 1994-20699	19941014
			GB 1994-20702	19941014
			WO 1995-EP1335	19950413

OTHER SOURCE(S): MARPAT 124:176161
GI



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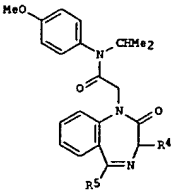


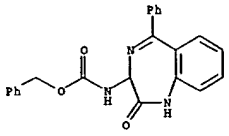
II

L62 ANSWER 48 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB Title compds. [I; R = (CH2)n(NH)p(CO)q(NH)rR3; R1 = (cyclo)alkyl, (un)substituted Ph; R2 = (cyclo)alkyl, (un)substituted Ph, alkenyl, etc.; NR1R2 = tetrahydroquinolyl, substituted benzazepinyl; R3 = H, = (cyclo)alkyl, (un)substituted Ph, heteroaryl, etc.; R4 = H, alkyl, alkoxy, etc.; R6 = (CH2)mR5; R5 = H, = (cyclo)alkyl, (un)substituted Ph, -heteroaryl, etc.; R7 = H; R6R7 = O; R8 = H, (un)substituted alkyl, NH2, CO2H, etc.; R7R8 = bond; R9,R10 = H or halo; m,n = 0-3; p,q,r, = 0 or 1] were prepd. Thus, 3-benzyloxycarbonylamino-5-(3-pyridyl)-1,3-dihydrobenzo[e][1,4]diazepin-2-one was N-alkylated by BrCH2CON(CHMe2)C6H4(OMe)-4 (prepn. given) and the deprotected product condensed with PhNCO to give title compd. II (R4 = NHCONHPh, R5 = 3-pyridyl). II (R4 = 1H-indazol-3-ylmethyl, R5 = 2-pyridyl) (prepn. not given) gave 100% inhibition of guinea pig gall bladder segment contraction at 30.mu.M in vitro and 2.5% rat gastric emptying at 0.1mol/kg i.p.

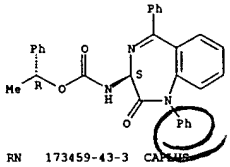
IT **108895-98-3P 173459-42-2P 173459-43-3P**
173459-46-6P 173459-55-7P 173459-56-8P
173654-06-3P 173654-07-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1,4-benzodiazepin-2-one-1-acetamides as cholecystokinin-A receptor agonists)

RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 173459-42-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-1,5-diphenyl-1H-1,4-benzodiazepin-3-yl)-, 1-phenylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

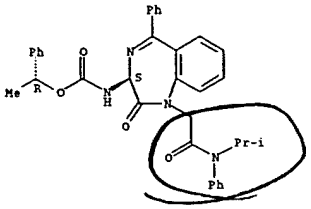


RN 173459-43-3 CAPLUS

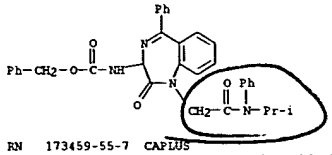
L62 ANSWER 48 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CN Carbamic acid, [2,3-dihydro-1-[2-[(1-methylethyl)phenylamino]-2-oxoethyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, 1-phenylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

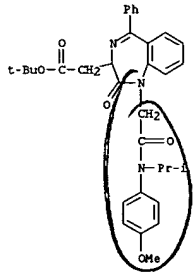


RN 173459-46-6 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[2-[(1-methylethyl)phenylamino]-2-oxoethyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

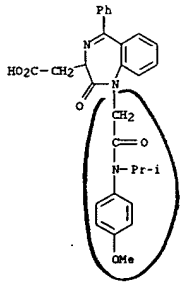


RN 173459-55-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-1-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2-oxo-5-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 48 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



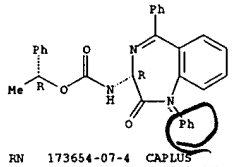
RN 173459-56-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-1-[2-[(4-methoxyphenyl)(1-methylethyl)amino]-2-oxoethyl]-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



RN 173654-06-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-1,5-diphenyl-1H-1,4-benzodiazepin-3-yl)-, 1-phenylethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

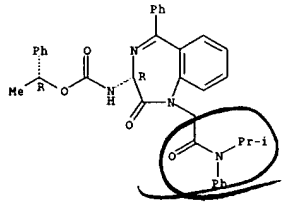
Absolute stereochemistry.

L62 ANSWER 48 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 173654-07-4 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[2-[(1-methylethyl)phenylamino]-2-oxoethyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, 1-phenylethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



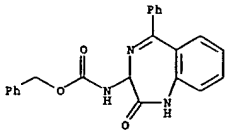
see 37

L62 ANSWER 49 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:995049 CAPLUS
DOCUMENT NUMBER: 124:118002
TITLE: Preparation of phosphotyrosine-containing peptides as inhibitors of SH2 domain interactions of protein
INVENTOR(S): Bachovchin, William W.
PATENT ASSIGNEE(S): Trustees of Tufts University, USA
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

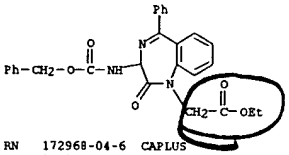
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9525118	A2	19950921	WO 1995-US3225	19950315
WO 9525118	A3	19951116		
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5580979	A	19961203	US 1994-214643	19940315
PRIORITY APPLN. INFO.:			US 1994-214643	19940315

OTHER SOURCE(S): MARPAT 124:118002
GI For diagram(s), see printed CA Issue.
AB The title peptidomimetics [I; X = C(X1), CHY; wherein X1 = O, S; Y = H, alkyl, hydroxyalkyl, alkoxyalkyl, CO2H, NH2, amide, nitrosyl, SH, sulfonyl, sulfonamide; ring A = 4-8 atoms-contg. fused ring selected from an (un)substituted cycloalkyl, cycloalkenyl, aryl, or heterocyclyl; R1, R8 = H, halo, alkyl, alkenyl, alkynyl, CO2H, N3, (CH2)mR7, (CH2)mOH, alkoxyalkyl, alkenyloxyalkyl, (CH2)nO(CH2)mR7, (CH2)mSH, alkylthioalkyl, alkenylthioalkyl, (CH2)nS(CH2)mR7, (CH2)n NR4R5, (CH2)mCONR4R5, (CH2)n NHC(:NH)NH2, alkanoylalkyl, etc.; R2 = electron lone pair, H, alkyl, alkenyl, alkynyl, CO2H, (CH2)mR7, (CH2)mOH, alkoxyalkyl, alkenyloxyalkyl, (CH2)nO(CH2)mR7, (CH2)p SH, alkylthioalkyl, alkenylthioalkyl, (CH2)pS(CH2)mR7, (CH2)pNR4R5, (CH2)pCONR4R5, (CH2)p NHC(:NH)NH2, alkanoylalkyl, etc.; R3 = amino acid or peptide residue; wherein R4, R5 = H, alkyl, alkenyl, (CH2)mR7, alkanoyl, alkenoyl, CO(CH2)mR7; or NR4R5 = heterocyclyl contg. 4-8 atoms; R7 = aryl, cycloalkyl, cycloalkenyl, heterocyclyl; m, n = 0-6; p = 1-6; R13 = H, alkyl; R14 = absent, halo, alkyl, alkoxy, alkylthio, NO2, CF3, cyano, OH; R17 = absent, amino-terminal blocking group, amino acid or peptide residue; Z = C, N; P-Tyr = phosphotyrosine or its analog] are prepd. These peptidomimetics can selectively bind to a phosphotyrosine binding site of an SH2 domain and inhibit binding of protein contg. said SH2 domain with a phosphotyrosine residue of a target phosphoprotein. Said SH2-contg. protein is selected from Src, Lck, Fps, phosphatidylinositol-3-kinases, ras GTPase-activating protein, Fyn, Lyk, Fgr, Fes, ZAP-70, Abl, etc. In particular, peptidyldiazepines inhibit intracellular signaling pathway for a oncogene, a cytokine, or a growth factor and modulate a function of said oncogene or a biol. activity of said cytokine or growth factor. Said peptidomimetics inhibit a tyrosine kinase or phosphatase. Thus, PhCH2O2CNHCH(SCHMe2)CO2H was treated with Me2CO2CCl and N-methylmorpholine in CH2Cl2 at 0.degree. and condensed with 2-aminobenzophenone to give the benzophenone deriv. (II; R = SCHMe2), which was treated with NH3 in THF in the presence of HgCl2 at 0.degree. to give the amine II (R = NH2). The latter compd. was cyclized by stirring with NH4OAc in glacial AcOH

L62 ANSWER 49 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
overnight to give the benzodiazepinone deriv. (III; R18 = H, R19 = NHCO2CH2Ph), which was treated with NaH in DMF and alkylated by Et bromoacetate to give III (R18 = EtO2CCH2, R19 = NHCO2CH2Ph). This compd. was sapond. with NaOH in aq. dioxane to the acid III (R18 = HO2CCH2, R19 = NHCO2CH2Ph), which was condensed with H-Ile-OMe using Me2CO2CCl and N-methylmorpholine in THF to give III (R18 = CH2CO-Ile-OMe, R19 = NHCO2CH2Ph). The latter compd. was hydrogenolyzed in the presence of 10% Pd-C under H atm. in MeOH to the amine III (R18 = CH2CO-Ile-OMe, R19 = NH2), which was condensed with Fmoc-Tyr[P(O)(OMe)2]-OH using Me2CO2CCl and N-methylmorpholine in THF to give III [R18 = CH2CO-Ile-OMe, R19 = Fmoc-Tyr[P(O)(OMe)2]-NH] and treated with bromotrimethylsilane in CH2Cl2 contg. isobutylene to give the title compd. III [R18 = CH2CO-Ile-OMe, R19 = Fmoc-Tyr[P(O)(OH)2]-NH] (IV). In the IDEXX lck-SH2 binding assay using a glutathione-S-transferase (GST)/SH2 fusion protein, IV inhibited the binding of fluorescein isothiocyanate (FITC)-labeled peptide EPQYEEIPIYL with IC50 of 48.2 nM.
IT 108895-98-3P 119487-58-0P 172968-04-6P 172968-05-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of phosphotyrosine-contg. peptide mimetics as inhibitors of SH2 domain interactions of protein)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

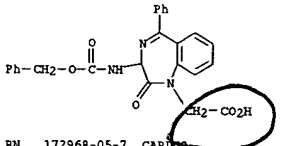


RN 119487-58-0 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



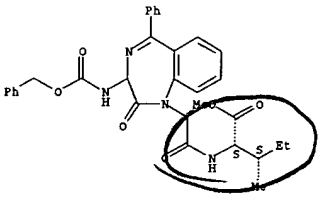
RN 172968-04-6 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-3-

L62 ANSWER 49 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
[[(phenylmethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 172968-05-7 CAPLUS
CN L-Isoleucine, N-[(2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-1H-1,4-benzodiazepin-1-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

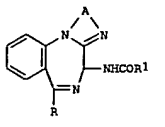


see 52

L62 ANSWER 50 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:926107 CAPLUS
DOCUMENT NUMBER: 123:340198
TITLE: Preparation of antiarrhythmic imidazo[1,4]benzodiazepines
INVENTOR(S): Bock, Mark G.; Dipardo, Robert M.; Freidinger, Roger M.; Baldwin, John J.; Remy, David C.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

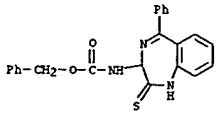
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514472	A1	19950601	WO 1994-US13442	19941121
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5439906	A	19950808	US 1993-155672	19931122
CA 2176022	AA	19950601	CA 1994-2176022	19941121
AU 9512924	A1	19950613	AU 1995-12924	19941121
EP 730456	A1	19960911	EP 1995-904107	19941121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500392	T2	19970114	JP 1994-515174	19941121
AU 9656043	A1	19960822	AU 1996-56043	19960618
AU 683797	B2	19971120		
PRIORITY APPLN. INFO.:			US 1993-155672	19931122
			US 1993-156210	19931122
			WO 1994-US13442	19941121

OTHER SOURCE(S): MARPAT 123:340198
GI



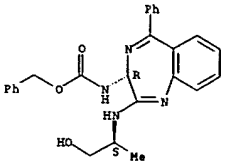
AB The title compds. [I; A = (un)substituted C2-3 alkylene or alkenylene; R = (un)substituted Ph, C5-6 cycloalkyl; R1 = substituted PhNH2, (un)substituted indolylamino, etc.], useful as antiarrhythmics (no data), are prepd. Thus, N-[(4R,4S)-6-phenyl-2,4-dihydro-1H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]-N'-(3-methylphenyl)urea, m.p. 147.degree. (decompn.), was prepd. from ethanolamine.
IT 146135-15-1
RL: RCT (Reactant); RACT (Reactant or reagent)

L62 ANSWER 50 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(prepn. of antiarrhythmic imidazo[1,4]benzodiazepines from)
RN 146135-15-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT 170227-96-0P 170228-05-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of antiarrhythmic imidazo[1,4]benzodiazepines from)
RN 170227-96-0 CAPLUS
CN Carbamic acid, [2-[(2-hydroxy-1-methylethyl)amino]-5-phenyl-3H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

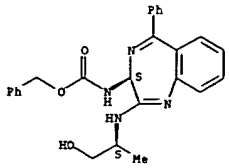
Absolute stereochemistry.



RN 170228-05-4 CAPLUS
CN Carbamic acid, [2-[(2-hydroxy-1-methylethyl)amino]-5-phenyl-3H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L62 ANSWER 50 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L62 ANSWER 51 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

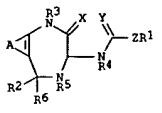
ACCESSION NUMBER: 1995:916464 CAPLUS
DOCUMENT NUMBER: 123:340199
TITLE: Preparation of N-benzodiazepinylamides as antiarrhythmics
INVENTOR(S): Baldwin, John J.; Claremon, David A.; Elliott, Jason M.; Liverton, Nigel; Remy, David C.; Selnick, Harold G.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514471	A1	19950601	WO 1994-US13414	19941121
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5426185	A	19950620	US 1993-156331	19931122
CA 2176015	AA	19950601	CA 1994-2176015	19941121
AU 9511005	A1	19950613	AU 1995-11005	19941121
AU 695159	B2	19980806		
EP 730454	A1	19960911	EP 1995-901955	19941121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1142184	A	19970205	CN 1994-194856	19941121
CN 1074926	B	20011121		
HU 74740	A2	19970228	HU 1996-1372	19941121
JP 09505598	T2	19970603	JP 1994-515169	19941121
BR 9408148	A	19970812	BR 1994-8148	19941121
PL 177810	B1	20000131	PL 1994-314592	19941121
NZ 328938	A	20000728	NZ 1994-328938	19941121
SK 281532	B6	20010409	SK 1996-650	19941121
JP 3216136	B2	20011009	JP 1995-515169	19941121
RU 2155587	C2	20000910	RU 1996-113042	19941122
US 5595990	A	19970121	US 1995-411240	19950327
FI 9602141	A	19960521	FI 1996-2141	19960521
NO 9602059	A	19960719	NO 1996-2059	19960521
LV 11526	B	19970220	LV 1996-150	19960522

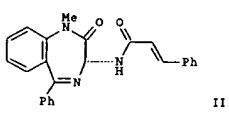
PRIORITY APPLN. INFO.: US 1993-156331 A1 19931122
US 1993-156183 A 19931122
NZ 1994-276649 A1 19941121
WO 1994-US13414 W 19941121

OTHER SOURCE(S): MARPAT 123:340199
GI

L62 ANSWER 51 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



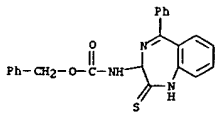
I



II

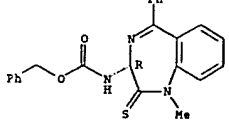
AB Title compds. [I; A = atoms to complete a thiophene, pyridine, or (un)substituted benzene ring; R1 = Me, (un)substituted Ph, cycloalkyl, heterocyclyl, etc.; R2 = (cyclo)alkyl, (un)substituted Ph, furyl, etc.; R3 = H, alkyl, CF3, etc.; R4 = H, (alkoxy)alkyl, tetrazolyl, etc.; R5 = H, O; R2R5 = 1,2-C6H4CO; R6 = H; R5R6 = bond; X = H2, O, S, NOH, NNH2; Y = H2, O, NCN; Z = bond, alk(en)ylene, (CH2)mW(CH2)n, etc.; W = O, S, NH; m,n = 0-4] were prepd. Thus, (R)-3-amino-1,3-dihydro-1-methyl-5-phenyl-2H-1,4-benzodiazepin-2-one was amidated by (E)-PhCH:CHCOCl to give title compd. II. I had IC50 of <1000nM as IKs and IKr blockers in an in vitro test.

IT 146135-15-1P 170284-32-9P 170284-51-2P
170284-54-5P 170551-99-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-benzodiazepinylamides as antiarrhythmics)
RN 146135-15-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 170284-32-9 CAPLUS
CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

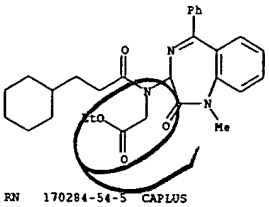
Absolute stereochemistry. Rotation (+).



RN 170284-51-2 CAPLUS

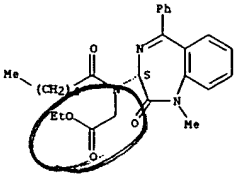
L62 ANSWER 51 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Glycine, N-(3-cyclohexyl-1-oxopropyl)-N-(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 170284-54-5 CAPLUS
CN Glycine, N-[(3S)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N-(1-oxohexyl)-, ethyl ester (9CI) (CA INDEX NAME)

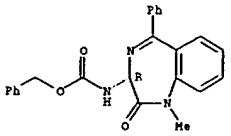
Absolute stereochemistry. Rotation (+).



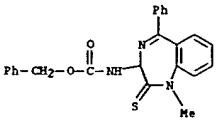
RN 170551-99-2 CAPLUS
CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L62 ANSWER 51 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

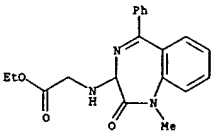


IT 170284-64-7P 170284-72-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-benzodiazepinylamides as antiarrhythmics)
RN 170284-64-7 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 170284-72-7 CAPLUS
CN Glycine, N-(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

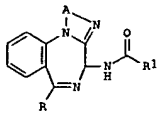


L62 ANSWER 52 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:913348 CAPLUS
DOCUMENT NUMBER: 123:340197
TITLE: Preparation of imidazobenzodiazepinylureas as cholecystokinin B antagonists
INVENTOR(S): Bock, Mark G.; Freidinger, Roger M.; Dipardo, Robert M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514693	A1	19950601	WO 1994-US13325	19941118
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2176017	AA	19950601	CA 1994-2176017	19941118
AU 9510996	A1	19950613	AU 1995-10996	19941118
EP 730595	A1	19960911	EP 1995-901942	19941118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09501444	T2	19970210	JP 1994-515149	19941118
JP 2840453	B2	19981224		
US 5834464	A	19981110	US 1996-640730	19960506
AU 9656043	A1	19960822	AU 1996-56043	19960618
AU 683797	B2	19971120		

PRIORITY APPLN. INFO.: US 1993-156210 19931122
US 1993-155672 19931122
WO 1994-US13325 19941118

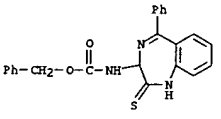
OTHER SOURCE(S): MARPAT 123:340197
GI



I

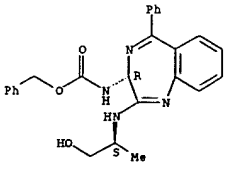
AB Title compds. [I; A = (alkyl(oxycarbonyl))alk(en)ylene; R = cycloalkyl, (un)substituted Ph; R1 = (hetero)arylamino] were prepd. as cholecystokinin B antagonists (no data). Thus, (R,S)-N-(2,3-dihydro-2-thioxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-N'-(3-methylphenyl)urea was condensed with (S)-2-amino-1-propanol and the product cyclized to give N-[(2S,4R)- and -(2S,4S)-2-methyl-6-phenyl-2,4-dihydro-1H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]-N'-(3-methylphenyl)urea.

L62 ANSWER 52 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
IT 146135-15-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of imidazobenzodiazepinylureas as cholecystokinin B antagonists)
RN 146135-15-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT 170227-96-0P 170228-05-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of imidazobenzodiazepinylureas as cholecystokinin B antagonists)
RN 170227-96-0 CAPLUS
CN Carbamic acid, [2-[(2-hydroxy-1-methylethyl)amino]-5-phenyl-3H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

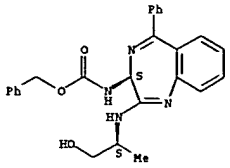
Absolute stereochemistry.



RN 170228-05-4 CAPLUS
CN Carbamic acid, [2-[(2-hydroxy-1-methylethyl)amino]-5-phenyl-3H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L62 ANSWER 52 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

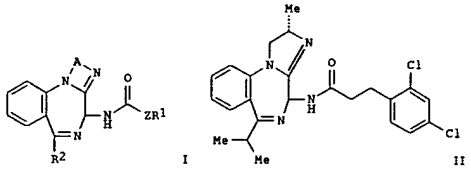


L62 ANSWER 53 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:886117 CAPLUS
DOCUMENT NUMBER: 123:286105
TITLE: Preparation of 4-(alkanoylamino)imidazo[1,2-a][1,4]benzodiazepines and analogs as Class III antiarrhythmics
INVENTOR(S): Baldwin, John J.; Claremon, David A.; Elliott, Jason M.; Liverton, Nigel; Remy, David C.; Selnick, Harold G.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514694	A1	19950601	WO 1994-US13546	19941121
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2176020	AA	19950601	CA 1994-2176020	19941121
AU 9512936	A1	19950613	AU 1995-12936	19941121
AU 686715	B2	19980212		
EP 730596	A1	19960911	EP 1995-904124	19941121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500397	T2	19970114	JP 1994-515224	19941121
JP 2840454	B2	19981224		
US 5679672	A	19971021	US 1996-646249	19960514

PRIORITY APPLN. INFO.: US 1993-155669 19931122
WO 1994-US13546 19941121
OTHER SOURCE(S): MARPAT 123:286105
GI



I II

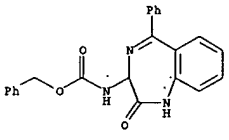
L62 ANSWER 53 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB Title compds. [I; A = atoms to complete an (un)substituted 5- or 6-membered ring contg. .ltoreq.1 addnl. N or O atoms; R1 = cycloalkyl, (un)substituted Ph; R2 = Ph, NR3R4, (cyclo)alkyl; R3,R4 = (cyclo)alkyl; Z = alkenylene, (heteroatom interrupted)alkylene] were prepd. Thus, 2,3-dihydro-5-(1-methylethyl)-1H-1,4-benzodiazepin-2-one [2 step prepn. from 2-(H2N)C6H3COCHMe2 and BrCH2COBr given] was N-protected and the product converted in 5 steps to 4-amino-2,3-dihydro-5-(1-methylethyl)-1H-1,4-benzodiazepin-2-thione which was amidated by 2,4-Cl2C6H3CH2CH2CO2H and the product condensed with (S)-MeCH(NH2)CH2OH to give, after cyclization, title compds. (+)- and (-)-II. I have IC50 of <1000nM as IKs and/or IKr blockers.

IT **108895-98-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 4-(alkanoylamino)imidazo[1,2-a][1,4]benzodiazepines and analogs as Class III antiarrhythmics)

RN 108895-98-3 CAPLUS

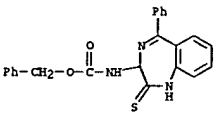
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **146135-15-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4-(alkanoylamino)imidazo[1,2-a][1,4]benzodiazepines and analogs as Class III antiarrhythmics)

RN 146135-15-1 CAPLUS

CN Carbamic acid, (2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 54 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

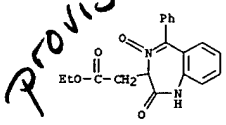
ACCESSION NUMBER: 1995:878027 CAPLUS
DOCUMENT NUMBER: 124:86851
TITLE: The synthesis of 1,2,7,11b-tetrahydroisoxazolo[2,3-d][1,4]benzodiazepin-6(5H)-ones and 1,3,3a,9b-tetrahydroisoxazolo[4,3-c]quinolin-4(5H)-ones
AUTHOR(S): Bourke, Sharon; Heaney, Frances
CORPORATE SOURCE: Dep. Chemistry, Univ. College, Galway, Ire.
SOURCE: Tetrahedron Letters (1995), 36(41), 7527-30
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:86851

AB The reaction of various Et 3-[[2-(1-hydroxyiminoalkyl)phenyl]carbamoyl]acrylates with electron deficient olefins proceeds via a sequential dipole formation, dipolar cycloaddn. sequence to furnish the tetrahydroisoxazolo[2,3-d][1,4]benzodiazepin-6(5H)-ones and tetrahydroisoxazolo[4,3-c]quinolin-4(5H)-ones. The product distribution reflects the nature of the reacting olefin and the position and extent of substitution on the acrylate moiety.

IT **172658-27-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 172658-27-4 CAPLUS

CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-, ethyl ester, 4-oxide (9CI) (CA INDEX NAME)

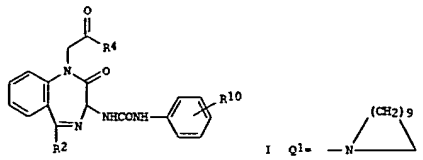


L62 ANSWER 55 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:812809 CAPLUS
DOCUMENT NUMBER: 123:228227
TITLE: Preparation of 1-acylmethyl-2-oxo-3-phenylureido-5-heterocyclyl-1,4-benzodiazepines useful as CCK-B and/or gastrin receptor antagonists.
INVENTOR(S): Semple, Graeme; Ryder, Hamish; Szelke, Michael; Satoh, Masato; Ohta, Mitsuaki; Miyata, Keiji; Nishida, Akito; Ishii, Masato
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co. Ltd., Japan; Ferring Research Ltd.
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

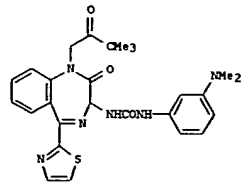
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9506040	A1	19950302	WO 1994-GB1859	19940825
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
GB 2282595	A1	19950412	GB 1993-17693	19930825
CA 2169089	AA	19950302	CA 1994-2169089	19940825
AU 9474661	A1	19950321	AU 1994-74661	19940825
AU 687433	B2	19980226		
ZA 9406474	A	19960325	ZA 1994-6474	19940825
EP 715624	A1	19960612	EP 1994-924368	19940825
EP 715624	B1	19980408		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1129442	A	19960821	CN 1994-193134	19940825
HU 73978	A2	19961028	HU 1996-205	19940825
JP 09504005	T2	19970422	JP 1994-507439	19940825
AT 164840	E	19980415	AT 1994-924368	19940825
ES 2117797	T3	19980816	ES 1994-924368	19940825
FI 9600836	A	19960422	FI 1996-836	19960223
NO 9600747	A	19960425	NO 1996-747	19960223
US 5728829	A	19980317	US 1996-591567	19960502
PRIORITY APPLN. INFO.:			GB 1993-17693	19930825
			WO 1994-GB1859	19940825

OTHER SOURCE(S): MARPAT 123:228227
GI

L62 ANSWER 55 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



I Q1=



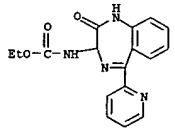
II

AB Title compds. [I; R4 = alkyl, cycloalkyl, aryl; R10 = halo, OH, Me, OMe, NR11R12, NO2, NHCHO, CO2H, cyano; R11, R12 = H, alkyl; NR11R12 = Q1; a = 1-6; R2 = arom. 5- or 6-membered (substituted) heterocyclyl contg. .gtoreq.2 heteroatoms of which .gtoreq.1 is N], were prepd. Thus, title compd. (II), prepd. from 2-aminophenyl 2-thiazolyl ketone via 3-amino-1-tert-butylcarbonylmethyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one, at 0.1 .mu.mol/kg in rats inhibited pentagastrin-stimulated gastric acid secretion by 55.2%. Tablets were prepd. contg. II.

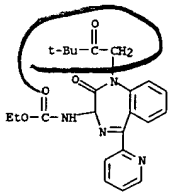
IT **168162-20-7P 168162-21-8P 168162-29-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzodiazepinones useful as CCK-B and/or gastrin receptor antagonists)

RN 168162-20-7 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

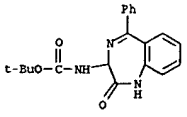
L62 ANSWER 55 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 168162-21-8 CAPLUS
CN Carbamic acid, [1-(3,3-dimethyl-2-oxobutyl)-2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 168162-29-6 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 56 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:723199 CAPLUS
DOCUMENT NUMBER: 123:143931
TITLE: Preparation of condensed seven-membered heterocyclic compounds useful as squalene synthetase inhibitors
INVENTOR(S): Yukimasa, Hidefumi; Tozawa, Ryuichi; Sugiyama, Yasuo; Kori, Masakuni
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 98 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

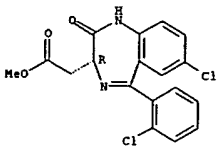
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 645378	A1	19950329	EP 1994-114837	19940921
EP 645378	B1	20000823		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9473051	A1	19950406	AU 1994-73051	19940916
AU 678503	B2	19970529		
NO 9403495	A	19950327	NO 1994-3495	19940920
AT 195732	E	20000915	AT 1994-114837	19940921
AT 156820	E	19970815	AT 1994-114939	19940922
CA 2132792	AA	19950325	CA 1994-2132792	19940923
CA 2132794	AA	19950325	CA 1994-2132794	19940923
FI 9404418	A	19950325	FI 1994-4418	19940923
HU 70962	A2	19951128	HU 1994-2739	19940923
RU 2129547	C1	19990427	RU 1994-34115	19940923
CN 1106397	A	19950809	CN 1994-116486	19940924
CN 1054380	B	20000712		
JP 07179444	A2	19950718	JP 1994-229159	19940926
JP 07179429	A2	19950718	JP 1994-229160	19940926
US 5698691	A	19971216	US 1994-311932	19940926
US 5677298	A	19971014	US 1996-696118	19960813
PRIORITY APPLN. INFO.:			JP 1993-238273 A	19930924
			JP 1993-241062 A	19930928
			US 1994-312194 B1	19940926

OTHER SOURCE(S): MARPAT 123:143931
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; A = (un)substituted benzo or heterocyclo moiety; D, K = C, N; R1 = H, (un)substituted hydrocarbyl; R2 = H, (un)substituted alkyl, (un)substituted Ph, (un)substituted arom. heterocyclyl; X = esterified carboxyl, (un)substituted carbamoyl, (un)substituted OH, (un)substituted NH2, (un)substituted heterocyclyl; Z = C, N, S(O)q; q = 0-2; ring J is an (un)substituted 7-membered heterocyclic ring contg. .ltoreq.3 heteroatoms], useful as inhibitors of squalene synthetase which do not inhibit the biosynthesis of ubiquinone (no data), heme A (no data), or dolichol (no data), and which are useful in the treatment of hypercholesteremia (no data) or coronary sclerosis (no data), are prepd. and I-contg. formulations presented. Thus, benzothiazepinone, II, was prepd. and demonstrated a IC50 against human squalene synthetase of 0.10 x 10-7 M.

IT **165952-78-3P 165952-79-4P 165952-80-7P**
165952-82-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

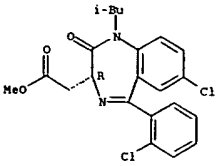
L62 ANSWER 56 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(prepn. of condensed seven-membered heterocyclic compds. useful as squalene synthetase inhibitors)
RN 165952-78-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 165952-79-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-(2-methylpropyl)-2-oxo-, methyl ester, (R)- (9CI) (CA INDEX NAME)

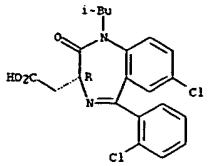
Absolute stereochemistry.



RN 165952-80-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-(2-methylpropyl)-2-oxo-, (R)- (9CI) (CA INDEX NAME)

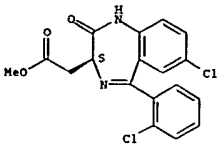
Absolute stereochemistry.

L62 ANSWER 56 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 165952-82-9 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-2-oxo-, methyl ester, (S)- (9CI) (CA INDEX NAME)

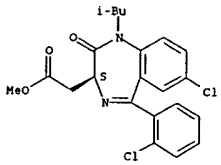
Absolute stereochemistry.



IT **165952-83-0P 165952-84-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of condensed seven-membered heterocyclic compds. useful as squalene synthetase inhibitors)
RN 165952-83-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-(2-methylpropyl)-2-oxo-, methyl ester, (S)- (9CI) (CA INDEX NAME)

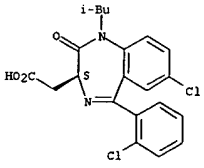
Absolute stereochemistry.

L62 ANSWER 56 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 165952-84-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-(2-methylpropyl)-2-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L62 ANSWER 57 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	1995:705722 CAPLUS
DOCUMENT NUMBER:	124:8856
TITLE:	Methods of treating cardiac arrhythmia with benzodiazepine analogs
INVENTOR(S):	Sanguinetti, Michael C.; Lynch, Joseph J., Jr.; Salata, Joseph J.
PATENT ASSIGNEE(S):	Merck and Co., Inc., USA
SOURCE:	U.S., 30 pp. Cont.-in-part of U.S. Ser. No. 18,912, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2

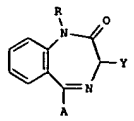
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5428031	A	19950627	US 1993-156184	19931122
WO 9514470	A1	19950601	WO 1994-US13364	19941118
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2176021	AA	19950601	CA 1994-2176021	19941118
AU 9511000	A1	19950613	AU 1995-11000	19941118
EP 730453	A1	19960911	EP 1995-901948	19941118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09505595	T2	19970603	JP 1994-515156	19941118
US 5597818	A	19970128	US 1995-407337	19950320

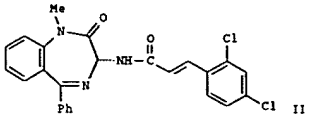
PRIORITY APPLN. INFO.:	US 1991-802000	19911203
	US 1993-18912	19930217
	US 1993-156184	19931122
	WO 1994-US13364	19941118
OTHER SOURCE(S):	MARPAT 124:8856	

GI

L62 ANSWER 57 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



I



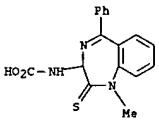
II

AB A method of treating cardiac arrhythmia in mammals is claimed, comprising block of the slowly activating delayed rectifier potassium (K+) current (IKs) and the rapidly activating and deactivating delayed rectifier potassium current (IKr) through the administration of a 1,4-benzodiazepine compd. or a benzodiazepine deriv. [I; A is a 6-membered satd. or unsatd. carbocyclic ring or a 6-membered heterocyclic ring contg. N, or N and O, Y is, e.g., NH2, NHSO2R1, R1 = e.g., NHC6H4Me-3, C6H4R, NHC6H4R2, R2 is R or CONHSO2R, R is straight or branched C1-6 alkyl or C1-3-alkylamine wherein the amino group is optionally mono- or disubstituted by C1-3-alkyl] wherein the 1,4-benzodiazepine or benzodiazepine deriv. provides 50% block of the slowly activating delayed rectifier potassium (K+) current (IKs) in isolated myocytes at a concn. of 1 .mu.M or less and wherein the 1,4-benzodiazepine exhibits a selectivity ratio of greater than 10 over blockade of IKr, IK1 and ICa. Thus, e.g., benzodiazepine II [E-(+)-N-[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-3-(3,4-dichlorophenyl)-2-propenamide, prepn. given] increased APD90 (action potential duration at 90% of repolarization) more at fast vs slow rates: APD90 was increased an av. of 12.4% at 180 beats/min vs 9.4% at 60 beats/min; thus, at lower concns. the compd. increased APD90 in a forward frequency-dependent manner, and at higher concns., APD90 was increased equally at fast and slow rates. II was a selective blocker of IKs: it demonstrated abs. increases in the ventricular RRP (relative refractory period) obsd. at slower (60 beat/min) and faster (150 beat/min) heart rates at 1.0 mg/kg i.v., i.e., it displays frequency-independent activity to increase the RRP (e.g., increase in ventricular RRP at 60 beat/min was 29.6 .+-. 4.4 ms immediate, 19.2 .+-. 3.4 ms at 15 min, 14.0 .+-. 2.3 ms at 30 min).

IT 170284-32-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L62 ANSWER 57 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

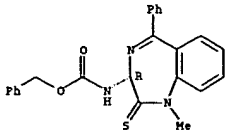


L62 ANSWER 57 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(methods of treating cardiac arrhythmia with benzodiazepine analogs)

RN 170284-32-9 CAPLUS

CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



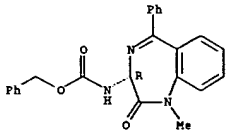
IT 170551-99-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(methods of treating cardiac arrhythmia with benzodiazepine analogs)

RN 170551-99-2 CAPLUS

CN Carbamic acid, [(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 170629-30-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods of treating cardiac arrhythmia with benzodiazepine analogs)

RN 170629-30-8 CAPLUS

CN Carbamic acid, (2,3-dihydro-1-methyl-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)- (9CI) (CA INDEX NAME)

L62 ANSWER 58 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:648003 CAPLUS

DOCUMENT NUMBER: 124:29787

TITLE: Preparation of benzodiazepinone inhibitors of Ras farnesyl-protein transferase

INVENTOR(S): Marsters, James C., Jr.; Brown, Michael S.; Crowley, Craig W.; Goldstein, Joseph L.; James, Guy L.; Mcdowell, Robert S.; Oare, David; Rawson, Thomas E.; Reynolds, Mark; Somers, Todd G.

PATENT ASSIGNEE(S): Genentech, Inc., USA; Board of Reagents, the University of Texas System

SOURCE: PCT Int. Appl., 481 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9426723	A2	19941124	WO 1994-US5157	19940510
WO 9426723	A3	19950202		
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2160786	AA	19941124	CA 1994-2160786	19940510
AU 9469091	A1	19941212	AU 1994-69091	19940510
EP 698015	A1	19960228	EP 1994-917338	19940510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09500615	T2	19970121	JP 1994-525630	19940510
EP 763537	A2	19970319	EP 1996-118160	19940510
EP 763537	A3	19971022		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5843941	A	19981201	US 1994-313068	19940926
US 5532359	A	19960702	US 1994-328595	19941025

PRIORITY APPLN. INFO.: US 1993-61961 19930514
US 1993-82202 19930624
EP 1994-917338 19940510
WO 1994-US5157 19940510

OTHER SOURCE(S): MARPAT 124:29787

GI For diagram(s), see printed CA Issue.

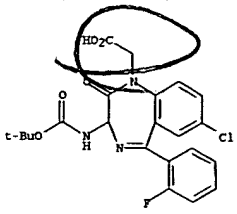
AB Benzodiazepine derivs. [I; R, R5 = H, halogen, (un)substituted alkyl, alkoxy, OH, etc.; R1 = CF3, (un)substituted Ph; R3, R4 = H, halogen, (un)substituted alkyl, Ph, PhCH2; R7 = H, halogen, alkyl, haloalkyl; W = (un)substituted carboxamide, (un)substituted carboxy, etc.; X = (un)substituted NH2, (un)substituted aryl, (un)substituted heterocyclyl, (un)substituted alkyl, etc.] are described that act as potent inhibitors of Ras farnesyl-protein transferase, thus making them useful in the treatment of cancers and fungal infections. Thus, benzodiazepinone II diastereomer mixt. was prepd. and demonstrated in-vitro 50% inhibition of CAAX farnesyl-transferase at 1.8 .mu.M.

IT 164338-23-2P

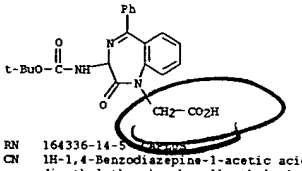
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzodiazepinone inhibitors of Ras farnesyl-protein transferase)

RN 164338-23-2 CAPLUS

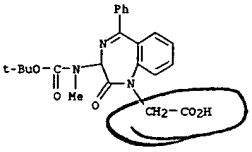
L62 ANSWER 58 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1H-1,4-Benzodiazepine-1-acetic acid, 7-chloro-3-[[(1,1-dimethylethoxy)carbonyl]amino]-5-(2-fluorophenyl)-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)



IT **164336-13-4P 164336-14-5P 164336-15-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzodiazepinone inhibitors of Ras farnesyl-protein transferase)
RN 164336-13-4 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-2,3-dihydro-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



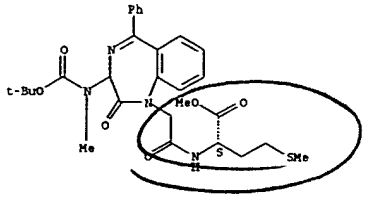
RN 164336-14-5
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2,3-dihydro-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



L62 ANSWER 58 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 164336-15-6 CAPLUS
CN L-Methionine, N-[[3-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-1-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

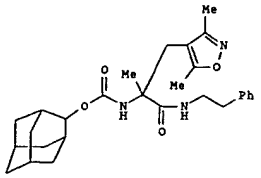
Absolute stereochemistry.



L62 ANSWER 59 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:606572 CAPLUS
DOCUMENT NUMBER: 123:33642
TITLE: Preparation of amino acid amide analogs as cholecystokinin antagonists.
INVENTOR(S): Horwell, David C.; Aranda, Julian; Augelli-Szafran, Corinne; Betche, Hans-Jurgen; Holmes, Ann; Mullican, Michael D.; Pritchard, Martyn C.; Richardson, Reginald S.; Roberts, Edward; et al.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 64 pp. Cont.-in-part of U.S. Ser. No. 576,308, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5331006	A	19940719	US 1991-726656	19910712
WO 9204025	A1	19920319	WO 1991-US6181	19910829
W: AU, CA, FI, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9186538	A1	19920330	AU 1991-86538	19910829
ZA 9106918	A	19930301	ZA 1991-6918	19910830
PRIORITY APPLN. INFO.:			US 1990-576308	19900831
			US 1991-726656	19910712
			WO 1991-US6181	19910829

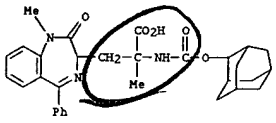
OTHER SOURCE(S): MARPAT 123:33642
GI



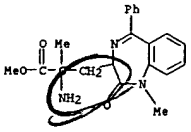
I

AB R1ANHCR2(CH2Ar2)CONR9CR12R3CR13R4Ar [R1 = (substituted) cycloalkyl, polycycloalkyl; A = (CH2)nCO, SO2, SO, NHCO, (CH2)nO2C, SCO, etc.; n = 0-6; R2 = alkyl, CH:CH2, C.tplbond.CH, (CH2)nAr, etc.; R3, R4 = H, R2, etc.; R9 = H, alkyl, (CH2)nCO2R, etc.; R = H, alkyl; R12, R13 = H or are independently taken with R3, R4, resp., to form a moiety doubly bonded to C; Ar = (substituted) (poly)cyclic carbo- or heterocyclic moiety; Ar2 = Ar, or CH2Ar2 = sidechain of a biol. significant amino acid; with provisos], were prepd. Title compd. I was prepd. by soln. phase methods. Title compds. were active in CCK binding assays using mouse cerebral cortex prepns. Title compds. are claimed as ulcer inhibitors.

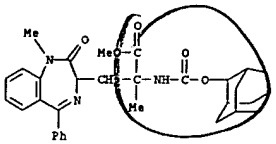
L62 ANSWER 59 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
IT **163798-60-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid amide analogs as cholecystokinin antagonists)
RN 163798-60-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-.alpha.,1-dimethyl-2-oxo-5-phenyl-.alpha.-[[(tricyclo[3.3.1.13,7]dec-2-yloxy)carbonyl]amino]- (9CI) (CA INDEX NAME)



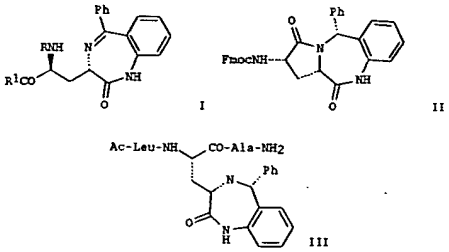
IT **142910-51-8P 142910-52-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amino acid amide analogs as cholecystokinin antagonists)
RN 142910-51-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, .alpha.-amino-2,3-dihydro-.alpha.,1-dimethyl-2-oxo-5-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 142910-52-9 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-.alpha.,1-dimethyl-2-oxo-5-phenyl-.alpha.-[[(tricyclo[3.3.1.13,7]dec-2-yloxy)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 60 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:579927 CAPLUS
DOCUMENT NUMBER: 123:257392
TITLE: Synthesis of a new nonnaturally occurring amino acid with a benzodiazepine group in the side chain and incorporation in a tripeptide
AUTHOR(S): Mulzer, Johann; Schroeder, Fridtjof; Lobbia, Alessandro; Buschmann, Juergen; Luger, Peter
CORPORATE SOURCE: Inst. Org. Chem., Freien Univ., Berlin, D-14195, Germany
SOURCE: Angewandte Chemie (1994), 106(17), 1813-15, (See also Angew. Chem., Int. Ed. Engl., 1994, 33(17), 1737-9) CODEN: ANCEAD; ISSN: 0044-8249
PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 123:257392
GI



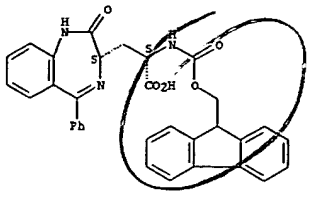
AB Protected benzodiazepine-contg. amino acid I [R = 9-fluorenylmethoxycarbonyl (Fmoc), R1 = OH] was prepd. in 7 steps from .gamma.-Me L-glutamate. Amino acid I (R = Fmoc, R1 = OH) participated in solid-phase peptide coupling reactions to give tripeptide I (R = Ac-Leu, R1 = Ala-NH2). Redn. of I (R = Fmoc, R1 = OH) with NaBH3CN gave tricycle II as a 5:1 epimeric mixt. at the Ph position, while redn. of tripeptide I (R = Ac-Leu, R1 = Ala-NH2) gave reduced tripeptide III as a single diastereomer.

IT **169141-58-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis of a benzodiazepine-contg. amino acid and incorporation into a tripeptide)

RN 169141-58-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, .alpha.-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-2,3-dihydro-2-oxo-5-phenyl-, [S-(R*,R*)]- (9CI)

L62 ANSWER 60 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(CA INDEX NAME)

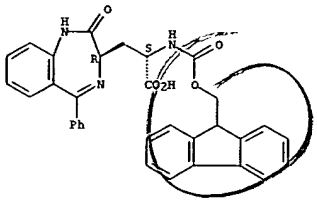
Absolute stereochemistry. Rotation (+).



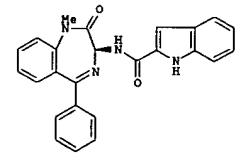
IT **169141-57-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of a benzodiazepine-contg. amino acid and incorporation into a tripeptide)

RN 169141-57-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, .alpha.-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-2,3-dihydro-2-oxo-5-phenyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

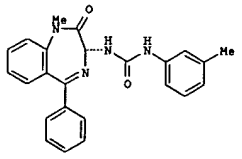
Absolute stereochemistry. Rotation (-).



L62 ANSWER 61 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:336735 CAPLUS
DOCUMENT NUMBER: 122:160619
TITLE: An Improved Synthesis and Resolution of 3-Amino-1,3-dihydro-5-phenyl-2H- 1,4-benzodiazepin-2-ones
AUTHOR(S): Sherrill, Ronald G.; Sugg, Elizabeth E.
CORPORATE SOURCE: Department of Medicinal Chemistry, Glaxo Research Institute, Research Triangle Park, NC, 27707, USA
SOURCE: Journal of Organic Chemistry (1995), 60(3), 730-4 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:160619
GI



I



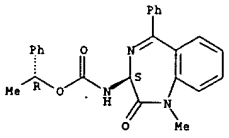
II

AB A novel synthesis of (.+-.)-3-amino-5-phenyl-1,4-benzodiazepin-2-one (6b) in 66% overall yield from 2-aminobenzophenone is described. This sequence employs .alpha.-benzotriazo-1-yl glycine as an aminoglycine synthon to prep. the key intermediate 3-benzyloxycarbonylamino-1,4-benzodiazepin-2-one (6a) in 73% overall yield. The racemic amine 6b is resolved via an improved diastereomeric derivatization employing the p-nitrophenyl carbonate of .alpha.-methylbenzyl alc. The resoln. protocol was assessed through the synthesis of selective CCK antagonists, MK-329 (I) and L-365,260 (II).

IT **161365-75-9P 161365-76-0P 161443-31-8P**
161443-32-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and resoln. of aminodihydrophenyl benzodiazepinones)

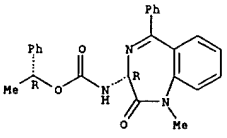
L62 ANSWER 61 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 161365-75-9 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1-phenylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



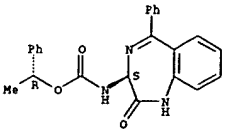
RN 161365-76-0 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1-phenylethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 161443-31-8 CAPLUS
CN Carbamic acid, [(3S)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, (1R)-1-phenylethyl ester (9CI) (CA INDEX NAME)

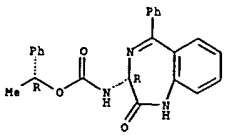
Absolute stereochemistry.



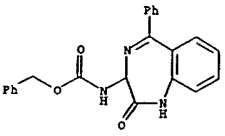
RN 161443-32-9 CAPLUS
CN Carbamic acid, [(3R)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, (1R)-1-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

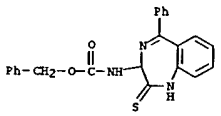
L62 ANSWER 61 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT 108895-98-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and resoln. of aminodihydrophenyl benzodiazepinones)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

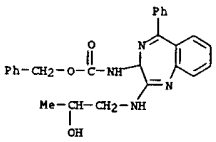


L62 ANSWER 62 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:328917 CAPLUS
DOCUMENT NUMBER: 122:230118
TITLE: Selective non-peptide ligands for an accommodating peptide receptor. Imidazobenzodiazepines as potent cholecystokinin type B receptor antagonists
AUTHOR(S): Bock, Mark G.; DiPardo, Robert M.; Newton, Randall C.; Bergman, Jeffrey M.; Veber, Daniel F.; Freedman, Stephen B.; Smith, Alison J.; Chapman, Kerry L.; Patel, Smita; et al.
CORPORATE SOURCE: Departments Medicinal Chemistry Biochemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry (1994), 2(9), 987-98
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of imidazobenzodiazepines, non-peptide antagonists of the peptide hormone cholecystokinin (CCK), are described. Derived by chem. modification of the benzodiazepine ring system embedded within the CCK-B antagonist L-365,260, these compds. display CCK-B/CCK-A selectivity and some analogs have receptor binding affinities in the subnanomolar range. This group of novel imidazobenzodiazepines, among which N-[(2S,4R)-methyl-6-phenyl-2,4-dihydro-1H-imidazo[1,2-a][1,4]benzodiazepin-4-yl]-N'-[3-methylphenyl]-urea is the principal compd., expands the structural diversity of the collection of non-peptide CCK-B antagonists and will be useful in further delineating the function of CCK in the central nervous system.
IT 146135-15-1P 162225-86-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(imidazobenzodiazepines as potent cholecystokinin type B receptor antagonists in relation to structure)
RN 146135-15-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

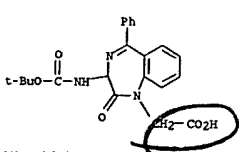


RN 162225-86-7 CAPLUS
CN Carbamic acid, [2-[(2-hydroxypropyl)amino]-5-phenyl-3H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 62 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

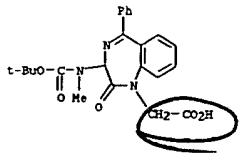


L62 ANSWER 63 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:328914 CAPLUS
DOCUMENT NUMBER: 122:281406
TITLE: Benzodiazepine peptidomimetic inhibitors of farnesyltransferase
AUTHOR(S): Marsters, James C., Jr.; McDowell, Robert S.; Reynolds, Mark E.; Oare, David A.; Somers, Todd C.; Stanley, Mark S.; Rawson, Thomas E.; Struble, Martin E.; Burdick, Daniel J.; et al.
CORPORATE SOURCE: Department Bioorganic Chemistry, Genentech Inc., South San Francisco, CA, 94080, USA
SOURCE: Bioorganic & Medicinal Chemistry (1994), 2(9), 949-57
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A structural survey of protein Zn2+ binding geometries was instigated based upon the functional requirements of Ras farnesyltransferase for Zn2+. The Cys-X-X-Cys motif found in Zn2+-binding proteins such as aspartate transcarbamoylase was used as a template to devise a bidentate-coordination model for Cys-A1-A2-X peptide inhibitors. Accordingly, replacement of the central dipeptide with the hydrophobic scaffold 3-amino-1-carboxymethyl-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one (BZA) yielded a peptidomimetic inhibitor, Cys(BZA)Met, of moderate potency (IC50 = 400 nM). N-Methylation of the cysteine amide improved potency almost 1000-fold (IC50 - 0.3-1 nM). The increased affinity presumably correlates with a preferred conformation of the inhibitor which maximizes a hydrophobic interaction between the scaffold and the enzyme, and the proper presentation of cysteine and methionine to allow bidentate coordination at Zn2+. These non-peptide inhibitors have been shown to block farnesylation of the Ras protein in intact cells and provide lead compds. for the development of new cancer therapeutic agents.
IT 164336-13-4 164336-14-5
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(in prepn. of benzodiazepine peptidomimetic farnesyltransferase inhibitors)
RN 164336-13-4 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-2,3-dihydro-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



RN 164336-14-5 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2,3-dihydro-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)

L62 ANSWER 63 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L62 ANSWER 64 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

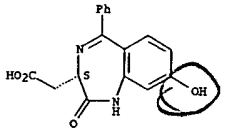
ACCESSION NUMBER: 1995:16748 CAPLUS
DOCUMENT NUMBER: 122:71334
TITLE: The combinatorial synthesis and chemical and biological evaluation of a 1,4-benzodiazepine library
AUTHOR(S): Bunin, Barry A.; Plunkett, Matthew J.; Ellman, Jonathan A.
CORPORATE SOURCE: Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1994), 91(11), 4708-12
CODEN: PNASA6; ISSN: 0027-8424
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A library of 192 structurally diverse 1,4-benzodiazepine derivs. contg. a variety of chem. functionalities including amides, carboxylic acids, amines, phenols, and indoles was constructed from three components, 2-aminobenzophenones, amino acids, and alkylating agents, by employing Geysen's pin app. (Geysen, H. M., Rodda, S. J., Mason, T. J., Tribbick, G. & Schoofs, P. G. (1987) J. Immunol. Methods 102, 259-274]. Rigorous anal. verification of the chem. integrity and yield of a representative collection of the diverse derivs. was carried out. In addn., the library of derivs. was evaluated for binding to the cholecystokinin A receptor by employing a competitive radioligand binding assay. This provided detailed structure vs. activity relationships that were confirmed by independent large-scale synthesis and evaluation of several of the 1,4-benzodiazepine derivs.

IT **156815-48-4P 156815-60-0P 156815-72-4P**
156815-84-8P 156815-96-2P 156816-07-8P
156816-19-2P 156847-14-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cholecystokinin receptor binding activity of, structure in relation to)

RN 156815-48-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-8-hydroxy-2-oxo-5-phenyl-, (S)- (9CI) (CA INDEX NAME)

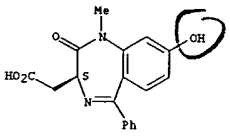
Absolute stereochemistry.



RN 156815-60-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-8-hydroxy-1-methyl-2-oxo-5-phenyl-, (S)- (9CI) (CA INDEX NAME)

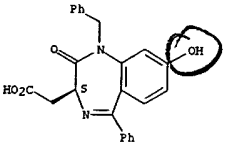
Absolute stereochemistry.

L62 ANSWER 64 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



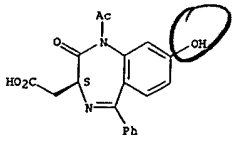
RN 156815-72-4 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-8-hydroxy-2-oxo-5-phenyl-1-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 156815-84-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 1-acetyl-2,3-dihydro-8-hydroxy-2-oxo-5-phenyl-, (S)- (9CI) (CA INDEX NAME)

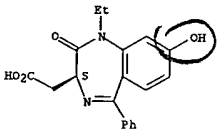
Absolute stereochemistry.



RN 156815-96-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 1-ethyl-2,3-dihydro-8-hydroxy-2-oxo-5-phenyl-, (S)- (9CI) (CA INDEX NAME)

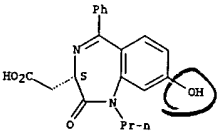
Absolute stereochemistry.

L62 ANSWER 64 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



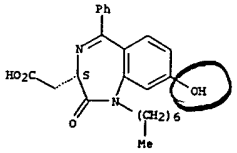
RN 156816-07-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-8-hydroxy-2-oxo-5-phenyl-1-propyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 156816-19-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 1-heptyl-2,3-dihydro-8-hydroxy-2-oxo-5-phenyl-, (S)- (9CI) (CA INDEX NAME)

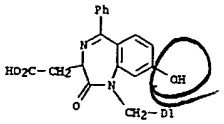
Absolute stereochemistry.



RN 156847-14-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-8-hydroxy-1-[(methylphenyl)methyl]-2-oxo-5-phenyl-, (S)- (9CI) (CA INDEX NAME)

L62 ANSWER 64 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

D1-Me

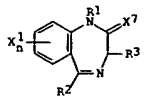


L62 ANSWER 65 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:605398 CAPLUS
DOCUMENT NUMBER: 121:205398
TITLE: Preparation of benzodiazepine analogs as antagonists of cholecystokinin and gastrin
INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Freidinger, Roger M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 824,764, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5324726	A	19940628	US 1992-968624	19921029
PRIORITY APPLN. INFO.:			US 1989-452012	19891218
			US 1990-621500	19901207
			US 1992-824764	19920117

OTHER SOURCE(S): MARPAT 121:205398
GI



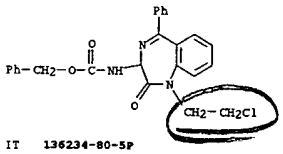
I

AB Title compds. I (R1 = C1-6 alkyl, alkenyl, alkynyl, HO2C-C1-4 alkylidene, NC-C1-4 alkylidene, etc.; R2 = H, alkyl, (substituted) Ph, pyridyl, heterocyclyl-CONH(CH2)2-3NH, etc.; R7 = 2-aminopyridyl, substituted Ph, (substituted) heterocyclyl, O, S, HN, alkylamino, etc.; X1 = H, O2N, F3C, NC, HO, halo, alkyl, etc.; r = 1,3), are prepd. I as also claimed for treatment of gastric secretion, appetite regulation, gastrointestinal motility, pancreatic secretion, and dopaminergic function. 3(R)-amino-1,3-dihydro-1-methyl-5-phenyl2H-1,4-benzodiazepin-2-one and 3-methylphenyl isocyanate were mixed in THF to give (R)-I [R1 = Me, T2 = Ph, R3 = NHCONH(3-MeC6H4)]. I showed CCK and gastrin antagonism.

IT **146943-26-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of CCK and gastrin antagonists)

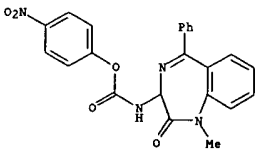
RN 146943-26-2 CAPLUS
CN Carbamic acid, [1-(2-chloroethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 65 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



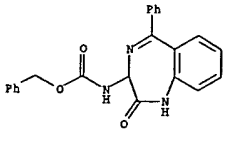
IT **136234-80-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as CCK and gastrin antagonist)

RN 136234-80-5 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



IT **108895-98-3 136051-20-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of CCK and gastrin antagonists)

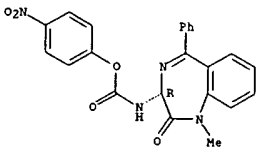
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 136051-20-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

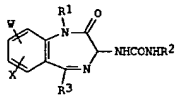
L62 ANSWER 65 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:483390 CAPLUS
DOCUMENT NUMBER: 121:83390
TITLE: Benzodiazepine CCK-B receptor antagonists
INVENTOR(S): Ryder, Hamish; Semple, Graeme; Kendrick, David Alan; Szelke, Michael; Satoh, Masato; Ohta, Mitsuaki; Miyata, Keiji; Nishida, Akito
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co. Ltd., Japan; Ferring Research Ltd.
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

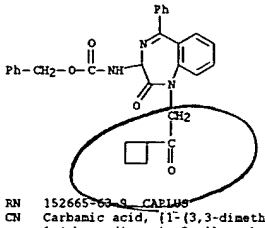
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9316999	A1	19930902	WO 1993-GB404	19930226
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
GB 2264492	A1	19930901	GB 1992-4221	19920227
GB 2264492	B2	19960925		
AU 9336391	A1	19930913	AU 1993-36391	19930226
AU 672390	B2	19961003		
EP 628033	A1	19941214	EP 1993-905480	19930226
EP 628033	B1	20030723		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07505121	T2	19950608	JP 1993-506433	19930226
JP 2571344	B2	19970116		
RU 2139282	C1	19991010	RU 1994-38255	19930226
NO 9403133	A	19940824	NO 1994-3133	19940824
FI 9403941	A	19941026	FI 1994-3941	19940826
US 5688943	A	19971118	US 1994-284462	19940914

PRIORITY APPLN. INFO.: GB 1992-4221 A 19920227
GB 1992-12740 A 19920616
WO 1993-GB404 A 19930226
OTHER SOURCE(S): MARPAT 121:83390
GI



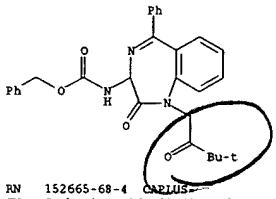
I

L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
AB The title compds. [I; R1 = CH2CHOH(CH2)aR4, CH2CO(CH2)aR5; R4, R5 = alkyl, cycloalkyl, satd. heterocyclic groups; a = 0, 1; R2, R3 = (un)substituted arom. carbocyclic and heterocyclic residues; W, X = halogen, H, alkyl, alkoxy], which are gastrin and/or CCK-B receptor antagonists and useful for the prevention or treatment of diseases induced by failure of physiol. functions controlled by gastrin or central CCK-B receptors, are prepd. Thus, (3RS)-3-benzoyloxycarbonylamino-1-cyclopentylcarbonylmethyl-2,3-dehydro-5-phenyl-1H-1,4-benzodiazepin-2-one was hydrogenated, reacted with S-mandelic acid and 3,5-dichlorosalicylaldehyde, the ppt. treated with NaOH soln., and condensed with m-tolyl isocyanate, producing N-[(3R)-cyclopentylcarbonylmethyl-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N'-(3-ethylphenyl)urea (II). II demonstrated 50% inhibitory concn. for rat brain-derived CCK-B receptors of 0.07 nM and 2500 nM for CCK-A receptors.
IT **152665-61-7P 152665-63-9P 152665-68-4P**
152665-70-8P 152665-76-4P 152665-89-9P
152666-04-1P 155412-31-0P 155412-33-2P
155412-34-3P 155412-46-7P 155412-52-5P
155412-55-8P 155412-57-0P 155412-59-2P
155412-61-6P 155412-80-9P 155412-83-2P
155478-05-0P 186086-59-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cholecystokinin and gastrin receptor antagonist activity of, reaction of)
RN 152665-61-7 CAPLUS
CN Carbamic acid, [1-(2-cyclobutyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

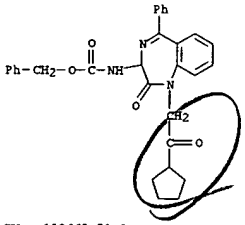


RN 152665-63-9 CAPLUS
CN Carbamic acid, [1-(3,3-dimethyl-2-oxobutyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

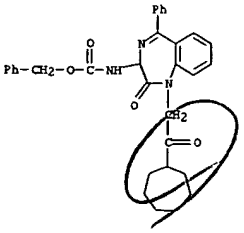
L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 152665-68-4 CAPLUS
CN Carbamic acid, [1-(2-cyclopentyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

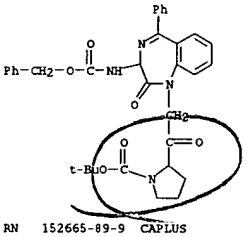


RN 152665-70-8 CAPLUS
CN Carbamic acid, [1-(2-cycloheptyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

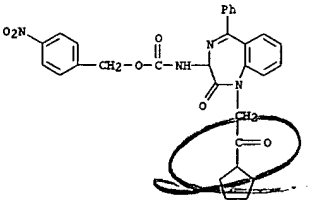


RN 152665-76-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[2,3-dihydro-2-oxo-5-phenyl-3-

L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
[[(phenylmethoxy)carbonyl]amino]-1H-1,4-benzodiazepin-1-yl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

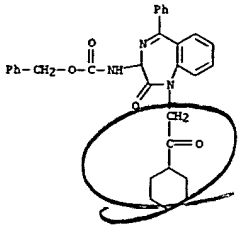


RN 152665-89-9 CAPLUS
CN Carbamic acid, [1-(2-cyclopentyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

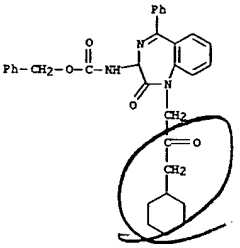


RN 152666-04-1 CAPLUS
CN Carbamic acid, [1-(2-cyclohexyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

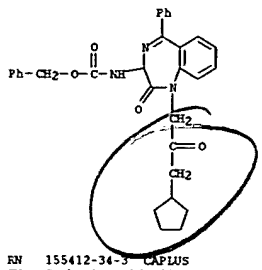


RN 155412-31-0 CAPLUS
CN Carbamic acid, [1-(3-cyclohexyl-2-oxopropyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

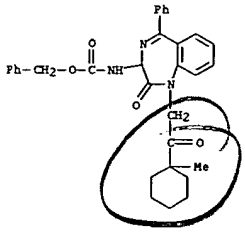


RN 155412-33-2 CAPLUS
CN Carbamic acid, [1-(3-cyclopentyl-2-oxopropyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

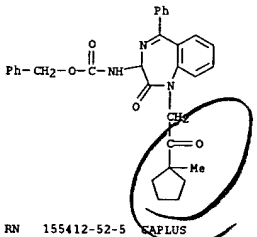


RN 155412-34-3 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[2-(1-methylcyclohexyl)-2-oxoethyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

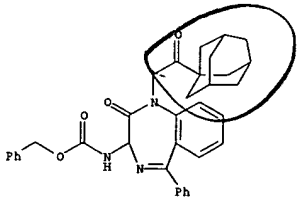


RN 155412-46-7 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[2-(1-methylcyclopentyl)-2-oxoethyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

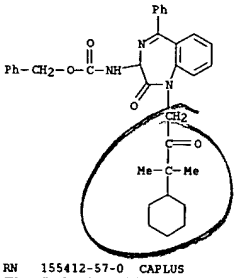


RN 155412-52-5 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-(2-oxo-2-tricyclo[3.3.1.13,7]dec-1-ylethyl)-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

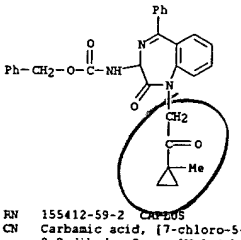


RN 155412-55-8 CAPLUS
CN Carbamic acid, [1-(3-cyclohexyl-3-methyl-2-oxobutyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

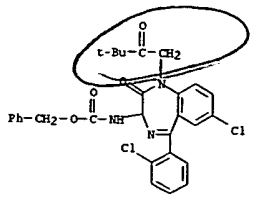


RN 155412-57-0 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[2-(1-methylcyclopropyl)-2-oxoethyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

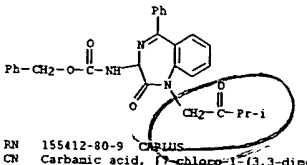


RN 155412-59-2 CAPLUS
CN Carbamic acid, [7-chloro-5-(2-chlorophenyl)-1-(3,3-dimethyl-2-oxobutyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

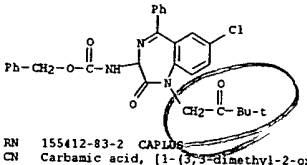
L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 155412-61-6 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-(3-methyl-2-oxobutyl)-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

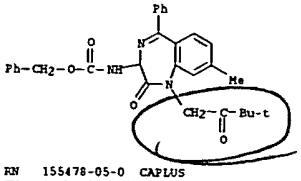


RN 155412-80-9 CAPLUS
CN Carbamic acid, [7-chloro-1-(3,3-dimethyl-2-oxobutyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

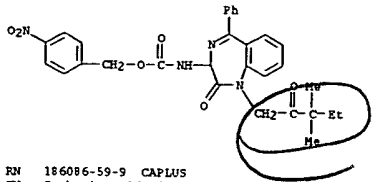


RN 155412-83-2 CAPLUS
CN Carbamic acid, [1-(3,3-dimethyl-2-oxobutyl)-2,3-dihydro-8-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

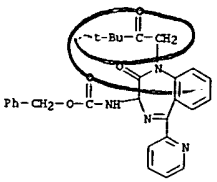
L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 155478-05-0 CAPLUS
CN Carbamic acid, [1-(3,3-dimethyl-2-oxopentyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

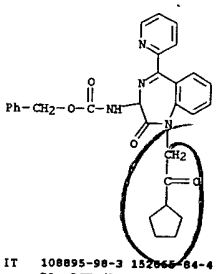


RN 186086-59-9 CAPLUS
CN Carbamic acid, [1-(3,3-dimethyl-2-oxobutyl)-2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

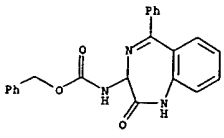


IT 152665-83-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. cholecystokinin and gastrin receptor antagonist activity of)

L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 152665-83-3 CAPLUS
CN Carbamic acid, [1-(2-cyclopentyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

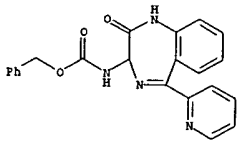


IT 108895-98-3 152665-84-4 155452-87-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of benzodiazepinecholecystokinin and gastrin receptor antagonists)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

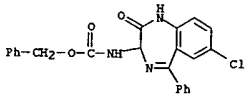


RN 152665-84-4 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 66 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 155452-87-2 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



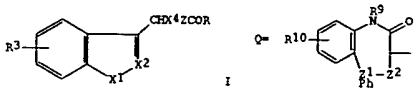
see 80

L62 ANSWER 67 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:323613 CAPLUS
DOCUMENT NUMBER: 120:323613
TITLE: Preparation of (benzisoxazolylacetamido)benzodiazepinones and analogs as antiulcer agents
INVENTOR(S): Antoni, Torrens Jover; Jordi, Frigola Constansa
PATENT ASSIGNEE(S): Laboratorios del Dr. Esteve SA, Spain
SOURCE: Fr. Demande, 23 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2694006	A1	19940128	FR 1992-9033	19920722
PRIORITY APPLN. INFO.:			FR 1992-9033	19920722

OTHER SOURCE(S): MARPAT 120:323613
GI



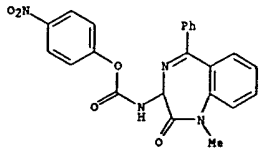
I

AB Title compds. [I; R = NR1R2; R1 = CHR11COR12, azepinyl group Q; R2 = H, alkyl; R3 = H, Me, halo, alkoxy, etc.; X1 = O, S, NR4; X2 = N, CR5; R4,R5 = H, (carboxy)alkyl, etc.; X4 = H, alkoxy, cyano, NH2, etc.; Z = bond, alkylene, NR6; R6 = H, alkyl; R9 = H, (carboxy)alkyl, alkoxycarbonyl(alkyl); R10 = H, halo, alkoxy; R11 = 3-indolylmethyl, carboxyalkyl; R12 = NH2, 8-azaspiro[4,5]decan-8-yl, etc.; Z122 = C:N, NCO] were prepd. Thus, 3-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-bezodiazepin-2-one was condensed with 1,2-benzisoxazole-3-acetyl chloride to give 3-[3-(1,2-benzisoxazolylmethyl)carbonylamino]-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-bezodiazepin-2-one which had IC50 of 10-7M against isobutylmethylxanthine-induced gastric acid secretion in perfused mouse stomach.

IT **136234-80-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of antiulcer agent)

RN 136234-80-5 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 67 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



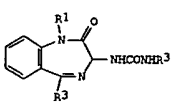
see 37 & 66

L62 ANSWER 68 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:270467 CAPLUS
DOCUMENT NUMBER: 120:270467
TITLE: (Ureido)benzodiazepinone cholecystokinin-B and gastrin receptor antagonists
INVENTOR(S): Ryder, Hamish; Semple, Graeme; Kendrick, David A.; Szelke, Michael; Satoh, Masato; Ohta, Mitsuaki; Miyata, Keiji; Nishida, Akito
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co. Ltd., Japan; Ferring Research Institute
SOURCE: Brit. UK Pat. Appl., 37 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2264492	A1	19930901	GB 1992-4221	19920227
GB 2264492	B2	19960925		
IL 104853	A1	19971120	IL 1993-104853	19930225
WO 9316999	A1	19930902	WO 1993-GB404	19930226
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9336391	A1	19930913	AU 1993-36391	19930226
AU 672390	B2	19961003		
ZA 9301381	A	19931215	ZA 1993-1381	19930226
EP 628033	A1	19941214	EP 1993-905480	19930226
EP 628033	B1	20030723		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 67963	A2	19950529	HU 1994-2212	19930226
JP 07505121	T2	19950608	JP 1993-506433	19930226
JP 2571344	B2	19970116		
RU 2139282	C1	19991010	RU 1994-38255	19930226
CN 1075717	A	19930901	CN 1993-101848	19930227
CN 1051079	B	20000405		
TW 438783	B	20010607	TW 1993-82102213	19930324
NO 9403133	A	19940824	NO 1994-3133	19940824
FI 9403941	A	19941026	FI 1994-3941	19940826
US 5688943	A	19971118	US 1994-284462	19940914
US 5962451	A	19991005	US 1997-867422	19970606
PRIORITY APPLN. INFO.:			GB 1992-4221 A	19920227
			GB 1992-12740 A	19920616
			WO 1993-GB404 A	19930226

OTHER SOURCE(S): MARPAT 120:270467
GI



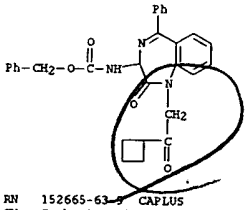
I

L62 ANSWER 68 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

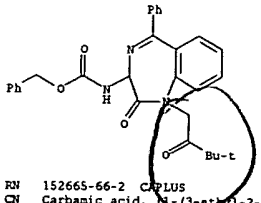
AB The title compds. I [R1 = CH2CHOH(CH2)aR4, CH2CO(CH2)aR5; R4, R5 = alkyl, cycloalkyl, (un)substituted satd. heterocyclic groups; a = 0, 1; R2, R3 = arom. carbocyclic and heterocyclic residues], which are cholecystokinin-B and gastrin receptor antagonists, useful in the treatment of diseases mediated by the central cholecystokinin-B receptor, are prepd. and I-contg. pharmaceutical formulations presented. Thus, N-[(1-cyclopentylcarbonylmethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-N'-(3-methylphenyl)urea (II), prepd. from cyclopentanecarboxylic acid in three steps, demonstrated 50% inhibitory concn. against rat brain-derived cholecystokinin-B receptors of 0.2 nM.

IT **152665-61-7P 152665-63-9P 152665-66-2P**
152665-68-4P 152665-70-8P 152665-76-4P
152665-83-3P 152665-89-9P 152666-04-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of cholecystokinin-B and gastrin receptor antagonists)

RN 152665-61-7 CAPLUS
CN Carbamic acid, [1-(2-cyclobutyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

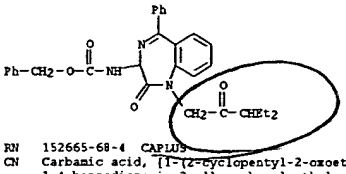


RN 152665-63-9 CAPLUS
CN Carbamic acid, [1-(3,3-dimethyl-2-oxobutyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

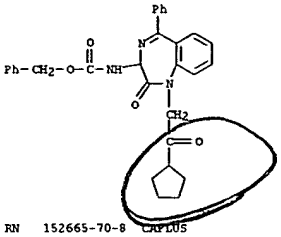


RN 152665-66-2 CAPLUS
CN Carbamic acid, [1-(3-ethyl-2-oxopentyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

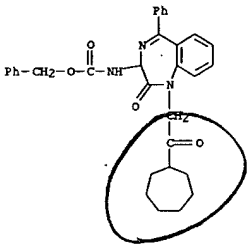
L62 ANSWER 68 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



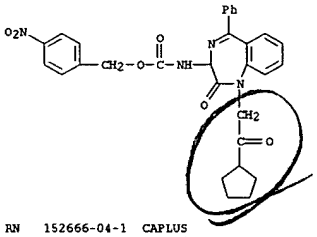
RN 152665-68-4 CAPLUS
CN Carbamic acid, [1-(2-cyclopentyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



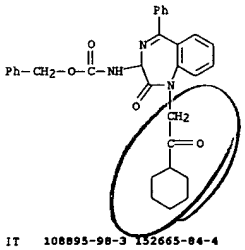
RN 152665-70-8 CAPLUS
CN Carbamic acid, [1-(2-cycloheptyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



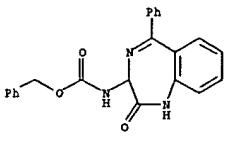
L62 ANSWER 68 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



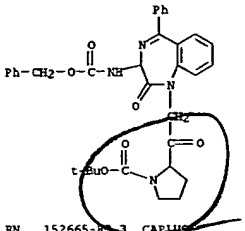
RN 152666-04-1 CAPLUS
CN Carbamic acid, [1-(2-cyclohexyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



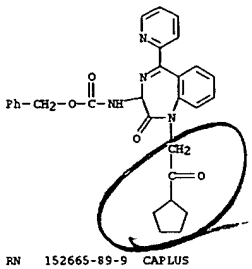
IT 108895-98-3 152665-84-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of cholecystokinin-B and gastrin receptor antagonists)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 68 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 152665-76-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-1H-1,4-benzodiazepin-1-yl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

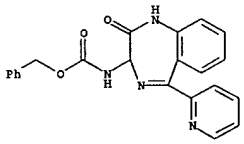


RN 152665-83-3 CAPLUS
CN Carbamic acid, [1-(2-cyclopentyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 152665-89-9 CAPLUS
CN Carbamic acid, [1-(2-cyclopentyl-2-oxoethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 68 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 152665-84-4 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



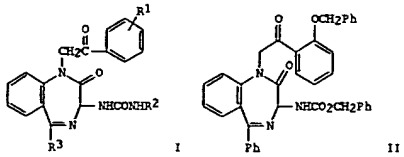
see 37 & 66

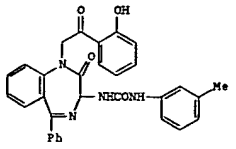
L62 ANSWER 69 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:245179 CAPLUS
DOCUMENT NUMBER: 120:245179
TITLE: Preparation of benzodiazepine derivatives as cholecystokinin B and gastrin receptor antagonists
INVENTOR(S): Satoh, Masato; Okamoto, Yoshinori; Koshio, Hiroyuki; Nishida, Akito; Miyata, Keiji; Ohta, Mitsuaki; Ryder, Hamish; Kendrick, David A.; Semple, Graeme; Szelke, Michael
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Ferring B.V.
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9400438	A1	19940106	WO 1993-JP844	19930622
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9343570	A1	19940124	AU 1993-43570	19930622
AU 670597	B2	19960725		
EP 647632	A1	19950412	EP 1993-913562	19930622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 68208	A2	19950628	HU 1994-3785	19930622
JP 2726158	B2	19980311	JP 1993-502202	19930622
FI 9405989	A	19941221	FI 1994-5989	19941221
NO 9405033	A	19950224	NO 1994-5033	19941223
PRIORITY APPLN. INFO.:			JP 1992-189826	19920624
			WO 1993-JP844	19930622

OTHER SOURCE(S): MARPAT 120:245179
GI



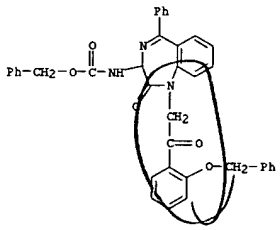


AB The title compds. I [R1 = H, alkyl, OH; R2 = Ph having one or more substituents, pyridyl, etc. (further details on substituents of said Ph are given); R3 = Ph, pyridiyl; a proviso is given] were prepd. I inhibit gastric juice secretion. Treatment of benzodiazepine II with 40% HBr in AcOH, followed by reaction with m-tolyl isocyanate, gave benzodiazepine III. The title compds. in vitro exhibited an IC50 of 0.16 to 2.14 nM against cholecystokinin B binding. Formulations contg. I are given.

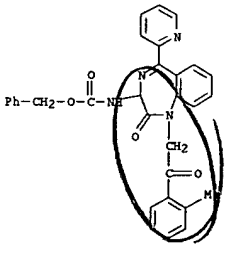
IT **154064-08-1P 154064-10-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of cholecystokinin B and gastrin receptor antagonist)

RN 154064-08-1 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-[2-oxo-2-[2-(phenylmethoxy)phenyl]ethyl]-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 69 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



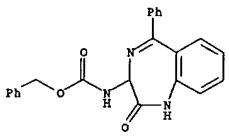
RN 154064-10-5 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[2-(2-methylphenyl)-2-oxoethyl]-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



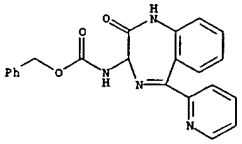
IT **108895-98-3 152665-84-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of cholecystokinin B and gastrin receptor antagonist)

RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 69 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

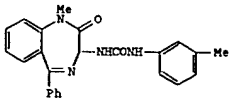


RN 152665-84-4 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



see 37 74 80 89

L62 ANSWER 70 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:217628 CAPLUS
DOCUMENT NUMBER: 120:217628
TITLE: Development of 1,4-benzodiazepine cholecystokinin type B antagonists
AUTHOR(S): Bock, Mark G.; DiPardo, Robert M.; Evans, Ben E.; Rittle, Kenneth E.; Whitter, Willie L.; Garsky, Victor M.; Gilbert, Kevin F.; Leighton, James L.; Carson, Kenneth L.; et al.
CORPORATE SOURCE: Dep. Med., Merck Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (1993), 36(26), 4276-92
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



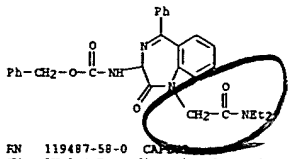
I

AB A series of 3-(arylureido)-5-phenyl-1,4-benzodiazepines, nonpeptidal antagonists of the peptide hormone cholecystokinin (CCK), are described. Derived by reasoned modification of the CCK-A selective 3-carboxamido-1,4-benzodiazepine, MK-329, the development of potent, orally effective compds. in which selectivity for the CCK-B receptor subtype was achieved. The principal lead structure that emerged from these studied is L-365,260 (I), a compd. which has been submitted for clin. evaluation. Details of the ability to modulate the receptor interactions of these benzodiazepines by appropriate structure modifications are discussed which imply the possibility of further refining the CCK-B receptor affinity and selectivity of this class of compds.

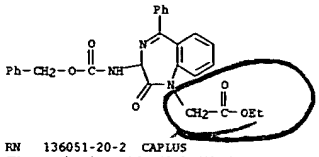
IT **119487-44-4P 119487-58-0P 136051-20-2P**
136234-80-5P 145659-79-6P 145874-82-4P
145986-66-9P 145986-67-0P 152665-84-4P
153826-05-2P 153826-16-5P 153924-35-7P
153924-36-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate in prepn. of cholecystokinin type B antagonists)

RN 119487-44-4 CAPLUS
CN Carbamic acid, [1-[2-(diethylamino)-2-oxoethyl]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 70 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

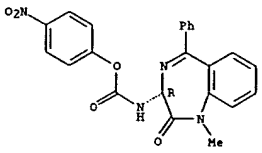


RN 119487-58-0 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



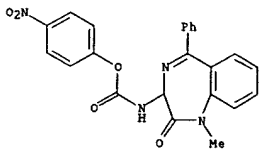
RN 136051-20-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

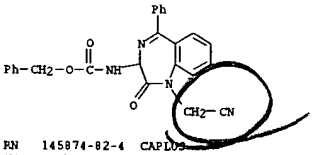


RN 136234-80-5 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

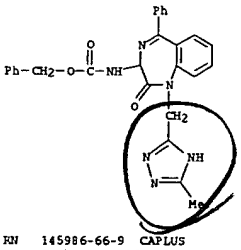
L62 ANSWER 70 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 145659-79-6 CAPLUS
CN Carbamic acid, [1-(cyanomethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



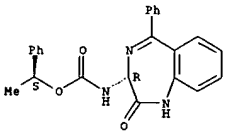
RN 145874-82-4 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[(5-methyl-1H-1,2,4-triazol-3-yl)methyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 145986-66-9 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1-phenylethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

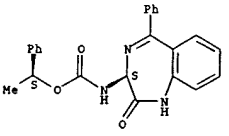
Absolute stereochemistry.

L62 ANSWER 70 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

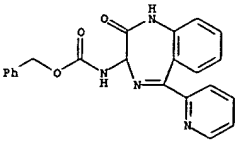


RN 145986-67-0 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1-phenylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

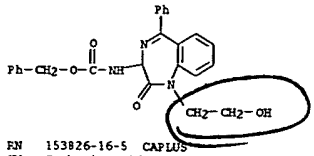


RN 152665-84-4 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-(2-pyridinyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



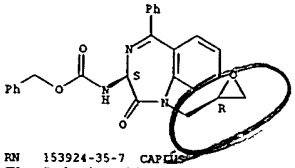
RN 153826-05-2 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-(2-hydroxyethyl)-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 70 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



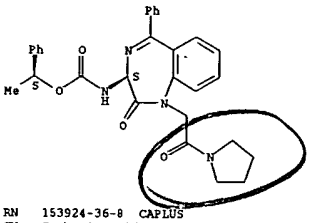
RN 153826-16-5 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-(oxiranylmethyl)-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



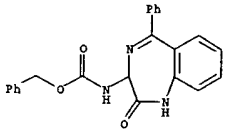
RN 153924-35-7 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, 1-phenylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



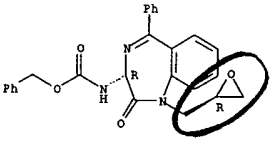
RN 153924-36-8 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, 1-phenylethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

L62 ANSWER 70 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



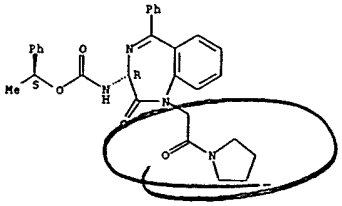
RN 153826-06-3 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-(oxiranylmethyl)-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

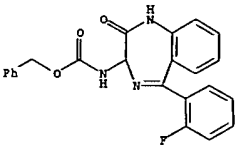


L62 ANSWER 70 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



IT 103373-52-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cholecystokinin type B antagonist activity of)
RN 103373-52-0 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



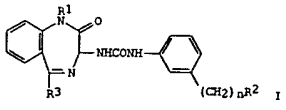
IT 108895-98-3 153826-06-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant, in prepn. of cholecystokinin type B antagonists)
RN 108895-98-3 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

see 37

L62 ANSWER 71 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:580835 CAPLUS
DOCUMENT NUMBER: 119:180835
TITLE: (Phenylureido)benzodiazepinone antagonists of gastrin and/or cholecystokinin
INVENTOR(S): Carr, Robin Arthur Ellis; Pass, Martin; Shah, Pritom
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

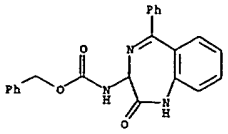
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 538945	A1	19930428	EP 1992-203188	19921019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
WO 9308175	A1	19930429	WO 1992-EP2385	19921019
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9227596	A1	19930521	AU 1992-27596	19921019
CN 1074216	A	19930714	CN 1992-113397	19921023
ZA 9208200	A	19930813	ZA 1992-8200	19921023

PRIORITY APPLN. INFO.: GB 1991-22540 19911024
GB 1991-22551 19911024
GB 1991-22591 19911024
WO 1992-EP2385 19921019
OTHER SOURCE(S): MARPAT 119:180835
GI



AB The title compds. I [R1 = CH2CONR4R5, XYR6, Ph, C3-7 cycloalkyl, (un)substituted alkyl; R4, R5 = H, Ph, C1-4 alkyl; NR4R5 = (un)substituted 5-7-membered heterocyclic ring; X = C1-3 (un)branched alkylene; Y = CO, C(OR9)2, C(SR9)2; R9 = C1-3 alkyl or 2R9 groups together may form a C2-4 alkylene chain; R6 = C1-6 alkyl, (un)substituted Ph, C3-7 cycloalkyl, adamantyl; R2 = NR7SO2CF3, SO2NR7COR8, CONR7SO2R8; R7 = H, C1-4 alkyl; R8 = C1-4 alkyl; R3 = (un)substituted Ph; n = 0, 1], useful for treating gastrin- or cholecystokinin-moderated diseases, are prepd. and pharmaceutical formulations contg. I are presented. Thus, 3-amino-2,3-dihydro-N-methyl-2-oxo-N,5-diphenyl-1H-1,4-benzodiazepine-1-acetamide was coupled with 3-(1H-tetrazol-5-yl)benzenamine hydrochloride, forming 2,3-dihydro-N-methyl-2-oxo-N,5-diphenyl-3-[[[3-(1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1H-1,4-benzodiazepine-1-acetamide (II). II demonstrated guinea pig cholecystokinin-B antagonist activity in an isolated ileum longitudinal muscle-myenteric plexus prepn. of pKb 11.6.
IT 108895-98-3

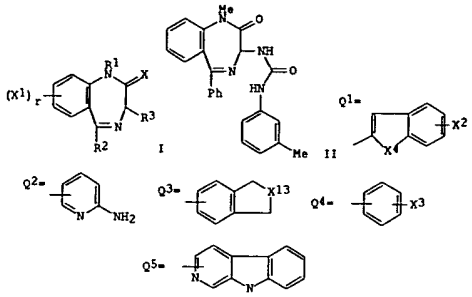
L62 ANSWER 71 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of (phenylureido)benzodiazepinedione antagonists of gastrin and/or cholecystokinin)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 72 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:428165 CAPLUS
DOCUMENT NUMBER: 119:28165
TITLE: Preparation of benzodiazipine analogs as cholecystokinin and gastrin antagonist
INVENTOR(S): Freidinger, Roger M.; Bock, Mark G.; Evans, Ben E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

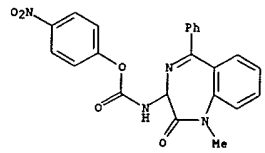
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 523845	A2	19930120	EP 1992-305391	19920612
EP 523845	A3	19931118		
R: CH, DE, FR, GB, IT, LI, NL				
CA 2071181	AA	19921215	CA 1992-2071181	19920612
JP 06321917	A2	19941122	JP 1992-197404	19920615
PRIORITY APPLN. INFO.:			US 1991-715539	19910614
OTHER SOURCE(S):		MARPAT 119:28165		

GI



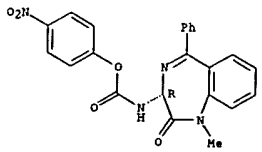
AB Title compds. [I; R1 = H, alkyl, alkenyl, alkynyl, X12CO2H, X1ZNR4R5, X12CN, X12CONR4R5, etc.; R2 = H, alkyl, (substituted) Ph, pyridyl; R3 = X11NR18COX11R7, X11COX9X11R7, X11NR18SO2(CH2)qR7, etc.; R4, R5 = H, R6; NR4R5 = (alkyl-substituted) (benzo-fused) 4-7 membered heterocyclyl; R6 =

L62 ANSWER 72 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(cyclo)alkyl, (substituted) Ph, phenylalkyl; R7 = Q1-Q5; R18 = H, alkyl; X = O, S, (H, H), amino; X1 = H, NO2, CF3 cyano, OH, halo, alkylthio, alkoxy, X11CO2R6, X11CO2H, X11NR4R5; X2 = H, X3; X3 = O(CH2)nCO2R6, O(CH2)nCO2H, (CH2)nCO2R6, CO2R6, X12OR6, etc.; X4 = S, O, CH2, NR8; R8 = H, alkyl; X9 = NR18, O; X11 = null, alkylene; X12, X13 = alkylene; n = 1-6; q = 0-4; r = 1, 2], were prepd. Thus, 3R-amino-1,3-dihydro-1-methyl-5-phenyl-2H-1,4-benzodiazepin-2-one and 3-MeC6H4NCO were kept 8 h in THF to give the title compd. R-II. This inhibited specific 125I-cholecystokinin-33 brain binding with IC50 = 0.002 .mu.M.
IT **136234-80-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cholecystokinin and gastrin antagonist)
RN 136234-80-5 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



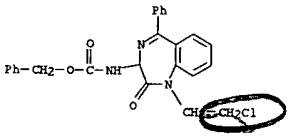
IT **136051-20-2P 146943-26-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for cholecystokinin and gastrin antagonist)
RN 136051-20-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

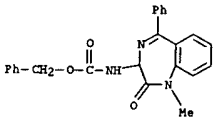


RN 146943-26-2 CAPLUS
CN Carbamic acid, [1-(2-chloroethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 72 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



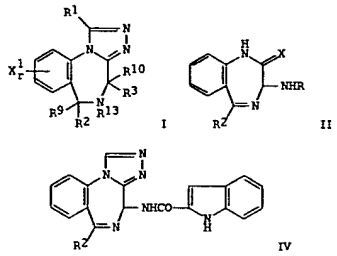
IT **106849-47-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of cholecystokinin and gastrin antagonist)
RN 106849-47-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 73 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:124569 CAPLUS
DOCUMENT NUMBER: 118:124569
TITLE: Preparation of triazolobenzodiazepines as CCK and gastrin antagonists
INVENTOR(S): Freidinger, Roger M.; Evans, Ben E.; Bock, Mark G.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 93 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

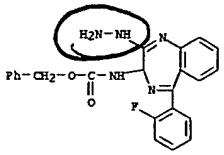
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 514125	A1	19921119	EP 1992-304253	19920512
R: CH, DE, FR, GB, IT, LI, NL				
US 5185331	A	19930209	US 1991-699850	19910514
CA 2068433	AA	19921115	CA 1992-2068433	19920512
JP 05246852	A2	19930924	JP 1992-165277	19920514
PRIORITY APPLN. INFO.:			US 1991-699850	19910514

OTHER SOURCE(S): MARPAT 118:124569
GI

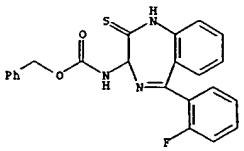


AB Title compds. [I; R1 = H, OH, (cyclo)alkyl, alkenyl, (substituted) Ph, etc.; R2 = H, (carboxy)alkyl, (substituted) Ph, etc.; (CH2)nR7, (CH2)nCOR7, NHCH2CH2NHR7, etc.; R7 = (hetero)aryl(vinyl), etc.; R9,R10 = H, OH, Me; R13 = H, alkyl, acyl, etc.; R9R13 or R10R13 = bond; X1 = H, NO2, CF3, halo, alkyl, etc.; n = 2-6; r = 1, 2] were prepd. Thus, benzodiazepinone II (R2 = 2-FC6H4) (III; R = CO2CH2Ph, X = O) was converted in 2 steps to III (R = H, X = S) which was N-acylated by indole-2-carboxylic acid and the product converted to III (R = 2-indolylcarbonyl, X = NHNH2). The latter was cyclocondensed with

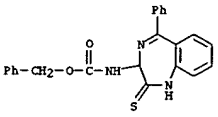
L62 ANSWER 73 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
HC(OMe)3 to give title compd. IV (R2 = 2-FC6H4) which had IC50 of 0.0009 and 0.053 .mu.M against CCK binding at pancreas and brain prepns., resp., in vitro.
IT **103195-69-3P 103373-53-1P 146135-15-1P 146135-16-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of CCK and gastrin antagonists)
RN 103195-69-3 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2-hydrazino-3H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 103373-53-1 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

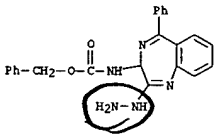


RN 146135-15-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

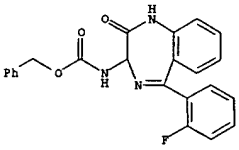


L62 ANSWER 73 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

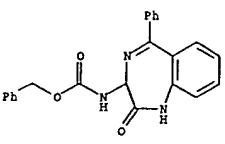
RN 146135-16-2 CAPLUS
CN Carbamic acid, (2-hydrazino-5-phenyl-3H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **103373-52-0 108895-98-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of CCK and gastrin antagonists)
RN 103373-52-0 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



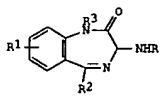
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 74 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:101998 CAPLUS
DOCUMENT NUMBER: 118:101998
TITLE: Preparation of N-(oxobenzodiazepinyl)ureas as CCK and gastrin antagonists
INVENTOR(S): Bock, Mark G.; Freidiner, Roger M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 508796	A1	19921014	EP 1992-303191	19920409
EP 508796	B1	19980708		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
US 5220018	A	19930615	US 1992-848790	19920310
IL 101514	A1	19960131	IL 1992-101514	19920407
CA 2066083	AA	19921011	CA 1992-2066083	19920408
CA 2066083	C	20021008		
AU 9214798	A1	19921119	AU 1992-14798	19920409
AU 662322	B2	19950831		
ZA 9202586	A	19930331	ZA 1992-2586	19920409
AT 168103	E	19980715	AT 1992-303191	19920409
ES 2117654	T3	19980816	ES 1992-303191	19920409
JP 06087838	A2	19940329	JP 1992-135544	19920410
JP 3012086	B2	20000221		
HK 1011016	A1	20000519	HK 1998-111885	19981110
LV 12314	B	19991120	LV 1999-72	19990427
PRIORITY APPLN. INFO.:			US 1991-683007 A	19910410
			US 1991-764277 A	19910923
			US 1992-848790 A	19920310

OTHER SOURCE(S): MARPAT 118:101998
GI



AB Title compds. [I; R = arylcarbamoyl; R1 = H, 1 or 2 halo or Me; R2 = (substituted) Ph; R3 = alkyl, cyclopropylmethyl] were prepd. Thus, I (R1 = H, R2 = Ph) (II; R = R3 = H) was condensed with carbonyldiimidazole and (S)-PhCHMeOH and the diastereomeric carbamates sepd. to give, after N-alkylation and deprotection, (R)-II (R = H, R3 = CH2CHMe2) which was condensed with the isocyanate prepd. from 3-amino-(1H-tetrazol-5-yl)benzene (prepn. given) to give II (R = CONHC6H4R4-3; R3 = CH2CHMe2, R4 = 1H-tetrazol-5-yl). The latter had IC50 of 0.0001 .mu.M against CCK binding at guinea pig cerebral cortex prepn.

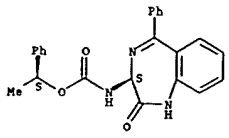
L62 ANSWER 74 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

IT **145986-67-0P**
RL: SPN (Synthetic preparation); FORM (Formation, nonpreparative); PREP (Preparation)
(formation of, in prepn. of CCK and gastrin antagonists)

RN 145986-67-0 CAPLUS

CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1-phenylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

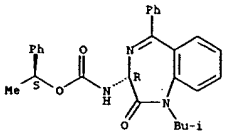


IT **145878-29-1P 145986-66-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of CCK and gastrin antagonists)

RN 145878-29-1 CAPLUS

CN Carbamic acid, (2,3-dihydro-1-(2-methylpropyl)-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1-phenylethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

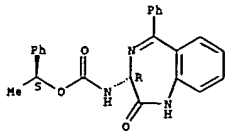


RN 145986-66-9 CAPLUS

CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1-phenylethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L62 ANSWER 74 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



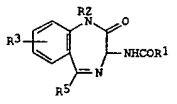
L62 ANSWER 75 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:101997 CAPLUS
DOCUMENT NUMBER: 118:101997
TITLE: Preparation of N-(2-oxo-1,4-benzodiazepin-3-yl)ureas as cholecystokinin and gastrin antagonists
INVENTOR(S): Bock, Mark G.; Freidinger, Roger M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 508797	A1	19921014	EP 1992-303192	19920409
R: CH, DE, FR, GB, IT, LI, NL				
US 5218115	A	19930608	US 1992-848820	19920310
CA 2065703	AA	19921011	CA 1992-2065703	19920408
JP 06080650	A2	19940322	JP 1992-135545	19920410
PRIORITY APPLN. INFO.:			US 1991-683387	19910410
			US 1991-763719	19910923
			US 1992-848820	19920310

OTHER SOURCE(S): MARPAT 118:101997

GI



I

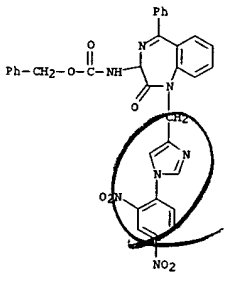
AB Title compds. [I; R = 2- or 4-imidazolyl, pyrrolidinocarbonyl, 5-methyl-1,2,4-triazol-2-yl; R1 = m-toluidino , 1-naphthylmethyl, 6-chloro- or- methoxy-3-pyridylamino, etc.; R3 = H, 1 or 2 halo or Me; R5 = (substituted) Ph; Z = (CH2)1-3] were prepd. Thus, I (R = H, R1 = OCH2Ph, R3 = H, R5 = Ph, Z = bond) was condensed with 1-(2,4-dinitrophenyl)-4-(chloromethyl)imidazole (prepn. given) and the 3-N-deprotected product condensed with 3-MeC6H4NCO to give, after deprotection, I (R = 1H-imidazol-4-yl, R1 = 3-MeC6H4NH, R3 = H, R5 = Ph, Z = CH2) which had IC50 of 0.011 and 0.0079 .mu.M against CCK binding at rat pancreas and guinea pig brain prepns., resp., and 0.0036 .mu.M against gastrin binding at guinea pig gastric mucosal prepn.

IT **145874-67-5P 145874-71-1P 145874-76-6P**
145874-80-2P 145874-81-3P 145874-82-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of CCK and gastrin antagonists)

RN 145874-67-5 CAPLUS

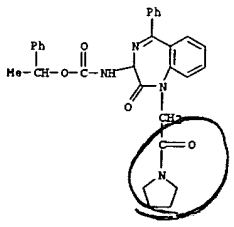
CN Carbamic acid, [1-[[1-(2,4-dinitrophenyl)-1H-imidazol-4-yl]methyl]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 75 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 145874-71-1 CAPLUS

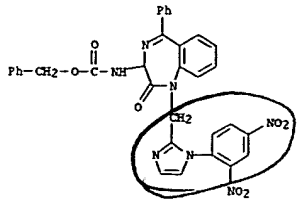
CN Carbamic acid, [2,3-dihydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, 1-phenylethyl ester (9CI) (CA INDEX NAME)



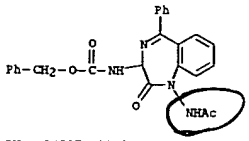
RN 145874-76-6 CAPLUS

CN Carbamic acid, [1-[[1-(2,4-dinitrophenyl)-1H-imidazol-2-yl]methyl]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

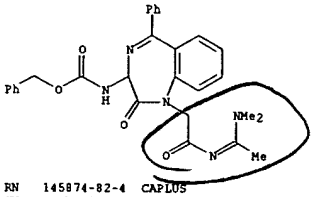
L62 ANSWER 75 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 145874-80-2 CAPLUS
CN Carbamic acid, [1-(acetylamino)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

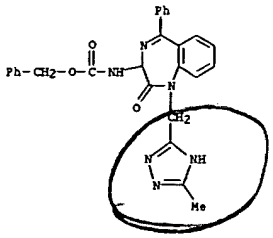


RN 145874-81-3 CAPLUS
CN Carbamic acid, [1-[2-[[1-(dimethylamino)ethylidene]amino]-2-oxoethyl]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

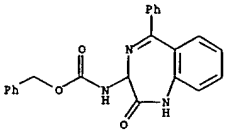


RN 145874-82-4 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[(5-methyl-1H-1,2,4-triazol-3-yl)methyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

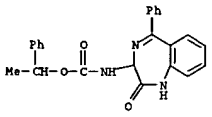
L62 ANSWER 75 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT 108895-98-3 145874-72-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of CCK and gastrin antagonists)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 145874-72-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 1-phenylethyl ester (9CI) (CA INDEX NAME)

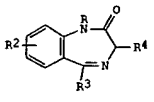


see 37 96

L62 ANSWER 76 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:101996 CAPLUS
DOCUMENT NUMBER: 118:101996
TITLE: Preparation of N-(oxobenzodiazepinyl)ureas as CCK and gastrin antagonists
INVENTOR(S): Bock, Mark G.; Freidinger, Roger M.; Dipardo, Robert M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 508799	A1	19921014	EP 1992-303194	19920409
R: CH, DE, FR, GB, IT, LI, NL				
US 5218114	A	19930608	US 1992-848794	19920310
CA 2065715	AA	19921011	CA 1992-2065715	19920408
JP 06080649	A2	19940322	JP 1992-135543	19920410
PRIORITY APPLN. INFO.:			US 1991-683005	19910410
			US 1991-763732	19910923
			US 1992-848794	19920310

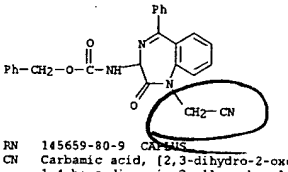
OTHER SOURCE(S): MARPAT 118:101996
GI



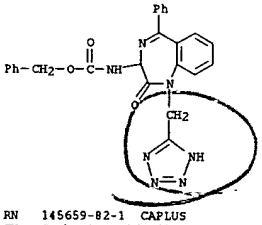
I

AB Title compds. [I; R = 2- or 4-imidazolylmethyl, CH2CHClCH2OH, CH2CH(OH)CH2NMe2, etc.; R2 = H, 1 or 2 halo or Me; R3 = (substituted) Ph; R4 = NHCONHC6H4Cl-4] were prepd. Thus, I (R2 = H, R3 = Ph) (II; R = H, R4 = NHCO2CH2Ph) was N-alkylated with (S)-(+)-glycidyl 3-nitrobenzenesulfonate and the deprotected product condensed with 4-ClC6H5NCO to give, after NH2OH.HCl treatment, II [R = CH2CH(OH)CH2Cl, R4 = NHCONHC6H4Cl-4] which had IC50 of 0.062 nM against CCK binding at guinea pig cerebral cortex prepn.
IT **145659-79-6P 145659-80-9P 145659-82-1P**
145659-83-2P 145659-89-8P 145659-93-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of CCK and gastrin antagonists)
RN 145659-79-6 CAPLUS
CN Carbamic acid, [1-(cyanomethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 76 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

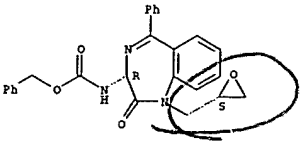


RN 145659-80-9 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-5-phenyl-1-(1H-tetrazol-5-ylmethyl)-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 145659-82-1 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-(oxiranylmethyl)-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

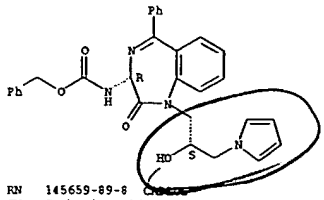
Absolute stereochemistry.



RN 145659-83-2 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[2-hydroxy-3-(1H-pyrrol-1-yl)propyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

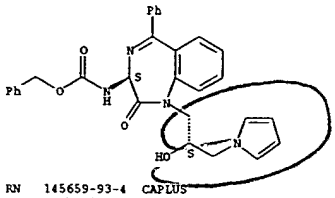
Absolute stereochemistry.

L62 ANSWER 76 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



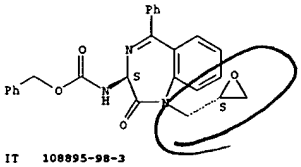
RN 145659-89-8
CN Carbamic acid, [2,3-dihydro-1-[2-hydroxy-3-(1H-pyrrol-1-yl)propyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester, [5-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



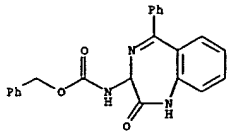
RN 145659-93-4 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-(oxiranylmethyl)-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 108895-98-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of CCK and gastrin antagonists)

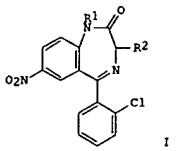
L62 ANSWER 76 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 77 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:101995 CAPLUS
DOCUMENT NUMBER: 118:101995
TITLE: Preparation of 1- or 3-substituted chlonazepam derivatives as haptens and antigens for immunoassay of chlonazepam
INVENTOR(S): Kanehiro, Masahiko; Akita, Tatsuo; Yajima, Ryuichi; Kumagai, Yasuyuki; Nakaya, Miho
PATENT ASSIGNEE(S): Dainabot Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04202186	A2	19920722	JP 1990-330156	19901130

PRIORITY APPLN. INFO.: JP 1990-330156 19901130
OTHER SOURCE(S): MARPAT 118:101995
GI

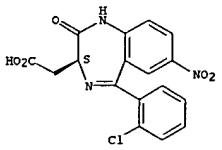


I

AB The title compds. [I; one of R1, R2 = H, the other = RZQ; R = C1-10 linkage group contg. a hetero atom and a linear or branched chain contg. .ltoreq.10 heteroatoms in which .gtoreq.2 of the hetero atoms are not directly bonded to each other; Z = CO, C:NH, NH, NMe, N:N, SO2, CH2; Q = H, HO, halo, acyloxy, N-succinylimidoxy, N-phthalimidoxy, alkoxy, (un)substituted PhO, N-imidazolyl, 1-benzotriazolyloxy, polyamino acid or its deriv., or other antigen carrier, labeled compd.] are prepd. as antigens for enzyme immunoassay, RIA, and fluorescence immunoassay of chlonazepam in the treatment plan using chlonazepam as an anticonvulsant. Preferred compds. are I (Q = bovine serum albumin, fluorescent substance, fluorescein, enzyme, radioactive material). Thus, 108 mg chlonazepam was stirred with MeONa in MeOH-DMF at room temp., thereto 276 .mu.L BrCH2CO2CMe3 was added, and the mixt. was stirred overnight at room temp. to give 88% I (R1 = CH2CO2CMe3, R2 = H) which was treated with 50% CF3CO2H in CH2Cl2 to give 90% (R1 = CH2CO2H, R2 = H). This (37.4 mg) was esterified with N-hydroxysuccinimide in the presence of DCC in DMF-dioxane to give an active ester soln. which was reacted with aq. soln. of 110 mg bovine serum albumin adjusted to pH 8.5 with 0.1N NaOH while maintaining the same pH to give, after dialysis and freeze dry, antigen I (R1 = CH2CO2Q, Q = bovine serum albumin, R2 = H). Inoculation of mice with this antigen produced anti-chlonazepam monoclonal antibody which showed cross-reactivity 100, <0.1, and 20% to chlonazepam, metabolites 7-aminochlonazepam, and 3-hydroxychlonazepam, resp.

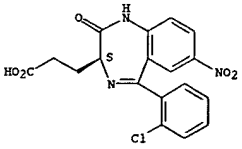
L62 ANSWER 77 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
IT 145741-29-3P 145741-30-6P 145741-31-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as hapten for immunoassay of chlonazepam)
RN 145741-29-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 5-(2-chlorophenyl)-2,3-dihydro-7-nitro-2-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



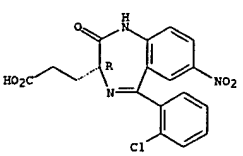
RN 145741-30-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-chlorophenyl)-2,3-dihydro-7-nitro-2-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145741-31-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-chlorophenyl)-2,3-dihydro-7-nitro-2-oxo-, (R)- (9CI) (CA INDEX NAME)

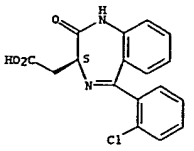
Absolute stereochemistry.



IT 145741-40-8P 145741-42-0P 145741-45-3P
RL: SPN (Synthetic preparation); PREP (Preparation)

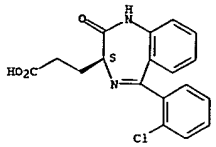
L62 ANSWER 77 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(prepn. of, as intermediate for hapten and antigen for immunoassay of chlonazepam)
RN 145741-40-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 5-(2-chlorophenyl)-2,3-dihydro-2-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



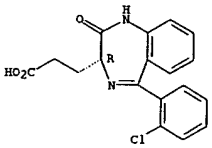
RN 145741-42-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-chlorophenyl)-2,3-dihydro-2-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 145741-45-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-(2-chlorophenyl)-2,3-dihydro-2-oxo-, (R)- (9CI) (CA INDEX NAME)

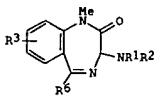
Absolute stereochemistry.



L62 ANSWER 78 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:80957 CAPLUS
DOCUMENT NUMBER: 118:80957
TITLE: Preparation of N-(oxobenzodiazepinyl) ureas as CCK and gastrin antagonists
INVENTOR(S): Bock, Mark G.; Freidinger, Roger M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 508798	A1	19921014	EP 1992-303193	19920409
R: CH, DE, FR, GB, IT, LI, NL				
US 5220017	A	19930615	US 1992-848789	19920310
CA 2065704	AA	19921011	CA 1992-2065704	19920408
JP 05255279	A2	19931005	JP 1992-135541	19920410
PRIORITY APPLN. INFO.:			US 1991-683407	19910410
			US 1991-812876	19911220
			US 1992-848789	19920310

OTHER SOURCE(S): MARPAT 118:80957
GI

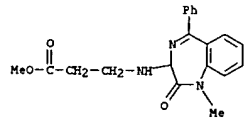


I

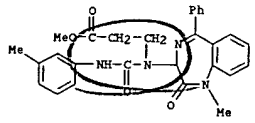
AB Title compds. [I; R1 = H, CH2CH2CO2Me, CH2CH2CO2H; R2 = CONR5CH2CH2CO2H, CONHR5; R3 = H, 1 or 2 halo or Me; R5 = 3-MeC6H4, 4-ClC6H4, 5-indanyl; R6 = (substituted)Ph] were prepd. Thus, I (R3 = H, R6 = Ph) (II; R1 = R2 = H) was N-alkylated with ICH2CH2CO2Me and the product condensed with 3-MeC6H4NCO to give, after sapon., II (R1 = CH2CH2CO2H, R2 = CONHC6H4Me-3) which had IC50 of 0.51 .mu.M against CCK binding at guinea pig cerebral cortex prepn.
IT 145547-62-2P 145547-63-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of CCK and gastrin antagonists)
RN 145547-62-2 CAPLUS
CN .beta.-Alanine, N-(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, methyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 77 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

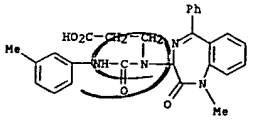
L62 ANSWER 78 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 145547-63-3 CAPLUS
CN .beta.-Alanine, N-(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-N-[[(3-methylphenyl)amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 145547-64-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as CCK and gastrin antagonist)
RN 145547-64-4 CAPLUS
CN .beta.-Alanine, N-(2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-N-[[(3-methylphenyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

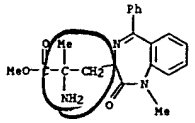


L62 ANSWER 79 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:634550 CAPLUS
DOCUMENT NUMBER: 117:234550
TITLE: Amino acid analogs as CCK antagonists.
INVENTOR(S): Horwell, David Christopher; Aranda, Julian; Augelli-Szafran, Corinne Elizabeth; Betche, Hans Jurgen; Holmes, Ann; Mullican, Michael David; Pritchard, Martyn Clive; Richardson, Reginald Stewart; Roth, Bruce David; et al.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

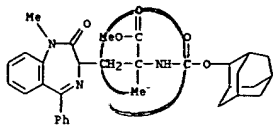
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9204025	A1	19920319	WO 1991-US6181	19910829
W: AU, CA, FI, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5331006	A	19940719	US 1991-726656	19910712
AU 9186538	A1	19920330	AU 1991-86538	19910829
PRIORITY APPLN. INFO.:			US 1990-576308	19900831
			US 1991-726656	19910712
			WO 1991-US6181	19910829

OTHER SOURCE(S): MARPAT 117:234550
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1 = cycloalkyl, polycycloalkyl hydrocarbyl, etc.; A = (CH2)nCO, SO2, S(O), NHCO, OC(O), etc.; n = 0-6; R2 = alkyl, CH:CH2, C.tplbond.CH, aminoalkyl, etc.; R3, R4 = H, R2, (CH2)m-B-D; m = 0-3; B = bond, OCO(CH2)n, O(CH2)n, NHCO(CH2)n, CONH(CH2)n, CO2(CH2)n, NHCOCH:CH, CO(CH2)n, etc.; D = (substituted) carboxy, hydroxymethyl, etc.; R9 = H, alkyl, etc.; R12, R13 = H; or R12R13 = bond, R13R4 = bond; Ar = mono- or polycyclic (substituted) carbo- or heteroarom. or carbo- or heterohydroarom. moiety; Ar2 = Ar, 1H-indol-yl, (CH2)nNHC(:NH)NHNO2, CH2CO2Me], useful for treatment of pain, panic disorder, drug dependence, as well as alcoholism, are prepd. 2-Methyl-3-(1-naphthyl)alanine Me ester (prepn. given) was N-acylated with 2-adamantyloxycarbonyl chloride, the product was hydrolyzed, and the product was amidated with phenethylamine to give I [R1 = 2-adamantyl, A = OC(O), R2 = Me, R3 = R4 = R9 = R12 = R13 = H, Ar = Ph, Ar2 = 1-naphthyl]. This showed a Ki, defined as IC50/(1+[L]/Ka) (Ka being the equil. dissocn. const. and [L] the concn. of the radiolabel) of 14 M. I were also tested for their ability in treating gastric damage by aspirin, anxiolytic activity, and for treating drug addiction.
IT **142910-51-8P 142910-52-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for CCK antagonists)
RN 142910-51-8 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, .alpha.-amino-2,3-dihydro-.alpha.,1-dimethyl-2-oxo-5-phenyl-, methyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 79 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



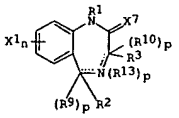
RN 142910-52-9 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 2,3-dihydro-.alpha.,1-dimethyl-2-oxo-5-phenyl-.alpha.-[[(tricyclo[3.3.1.13,7]dec-2-yloxy)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



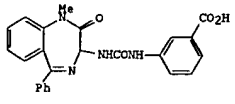
L62 ANSWER 80 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:531235 CAPLUS
DOCUMENT NUMBER: 117:131235
TITLE: New benzodiazepine analogs with cholecystokinin receptor antagonistic activity.
INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Freidinger, Roger M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 490590	A1	19920617	EP 1991-311364	19911206
R: CH, DE, FR, GB, IT, LI, NL				
CA 2056809	AA	19920608	CA 1991-2056809	19911202
JP 05025146	A2	19930202	JP 1991-322023	19911205
PRIORITY APPLN. INFO.:			US 1990-623473	19901207
			US 1991-718488	19910620

OTHER SOURCE(S): MARPAT 117:131235
GI



I

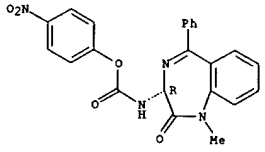


II

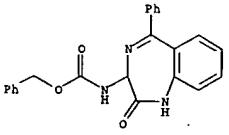
AB Benzodiazepinones I [R1 = carboxy-, amino-, carbamoyl-, cyano-, (un)etherified alkoxyalkyl; R2 = alkyl, (un)substituted Ph, pyridyl; R3 = acylamino; R9, R10 = H, HO, Me; R13 = alkyl, acyl, cycloalkyl; R9R10, R10R13 = bond; X1 = H, O2N, CF3, cyano, HO, alkyl, alkoxy, alkylthio, halo, carboxy, carboxyalkyl, carboxyalkoxy; X7 = O, S, H2, NH, substituted NH; n = 1, 2; p = 0, 1] and their 4-oxides were prepd. Thus, urea II was prepd. by condensation of (RS)-1,3-dihydro-1-methyl-3-(p-nitrophenoxycarbonyl)amino-5-phenyl-2H-1,4-benzodiazepin-2-one with 2-H2NC6H4CO2H in the presence of Et3N in DMF. II bound to cholecystokinin receptors from pancreas, brain and gastric glands with ED50's of 0.049, 0.0039, 0.009 .mu.M resp.
IT **136051-20-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with aminobenzoate, urea from)
RN 136051-20-2 CAPLUS

L62 ANSWER 80 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester, (R)- (9CI) (CA INDEX NAME)

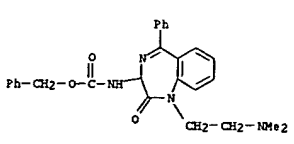
Absolute stereochemistry.



IT **108895-98-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydroxyethylation of, with oxirane)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

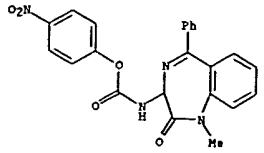


IT **136051-18-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and addn. reaction of, with methoxyphenylisocyanate, urea from)
RN 136051-18-8 CAPLUS
CN Carbamic acid, [1-[2-(dimethylamino)ethyl]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **136234-80-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation of, with amines, ureas from)
RN 136234-80-5 CAPLUS

L62 ANSWER 80 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

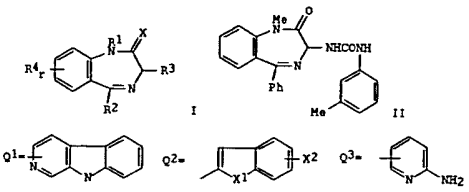


see 33 80

L62 ANSWER 81 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:583378 CAPLUS
DOCUMENT NUMBER: 115:183378
TITLE: Preparation of benzodiazepin-2-ones as cholecystokinin (CKK) and gastrin antagonists
INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Freidinger, Roger M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

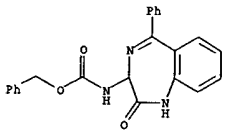
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 434369	A1	19910626	EP 1990-313854	19901218
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
CA 2032226	AA	19910619	CA 1990-2032226	19901213
JP 06065215	A2	19940308	JP 1990-419339	19901218
PRIORITY APPLN. INFO.:			US 1989-452012	19891218
			US 1990-621500	19901207

OTHER SOURCE(S): MARPAT 115:183378
GI

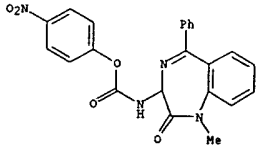


AB Title compds. I; R1 = H, alkyl, alkenyl, alkynyl, carboxyalkyl, cyanoalkyl, carbamoylalkyl, aminoalkyl, etc.; R2 = H, alkyl, (substituted) Ph, pyridyl; R3 = NH(CH2)2-3NHCOR5, X3COX4X3R5, etc.; R4 = H, NO2, CF3, cyano, OH, alkyl, halo, alkylthio, alkoxy, carboxyalkyl, amino(alkyl), etc.; R5 = Q1-Q3, (substituted) Ph, etc.; X = O, S, NH, H2, alkylimino; X1 = S, O, CH2, imino; X2 = H, (modified) carboxy, carboxyalkoxy, carboxyalkyl, etc.; X3 = null, alkyl; X4 = O, imino; r = 1,2] were prepd. Thus, 3-MeC6H4NCO and (3R)-amino-1,3-dihydro-1-methyl-5-phenyl-2H-1,4-benzodiazepin-2-one were stirred 8 h in THF at room temp. to give (R)-II. The latter inhibited 125I-CCK-33 binding to guinea pig cerebral cortex prepns. with IC50 of 0.02 .mu.M.
IT 108895-98-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with ethylene oxide)

L62 ANSWER 81 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT 136234-80-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation of, with aminobenzoate, in prepn. of cholecystokinin and gastrin antagonist)
RN 136234-80-5 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

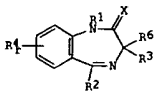


RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cholecystokinin and gastrin antagonist
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for cholecystokinin and gastrin antagonist

L62 ANSWER 82 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:559189 CAPLUS
DOCUMENT NUMBER: 115:159189
TITLE: Preparation of benzodiazepine analogs for treating panic syndrome and for directly inducing analgesia
INVENTOR(S): Bock, Mark G.; Freidinger, Roger M.; Dourish, Colin T.; Iversen, Susan; Evans, Ben E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 434364	A2	19910626	EP 1990-313847	19901218
EP 434364	A3	19920401		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2032222	AA	19910619	CA 1990-2032222	19901213
AU 9068151	A1	19910620	AU 1990-68151	19901217
ZA 9010124	A	19910925	ZA 1990-10124	19901217
JP 06009580	A2	19940118	JP 1990-419340	19901218
PRIORITY APPLN. INFO.:			US 1989-452023	19891218

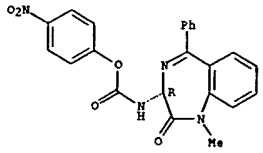
OTHER SOURCE(S): MARPAT 115:159189
GI



AB Title compds. [I; R1 = H, alkyl, cycloalkylalkyl, aminoalkyl, alkoxyalkyl, carbamoylalkyl, etc.; R2 = (substituted) Ph, pyridyl, alkoxycarbonylalkyl, etc.; R3 = NHCOR5, NHCONHR5, COR5, NHCOCH2R5; R4 = H, NO2, CF3, alkyl, halo; R5 = naphthyl, (substituted) Ph, pyridyl, indolyl, styryl, 2-aminopyridyl, etc.; R6 = H, OH; r = 1,2], were prepd. Thus, 3S-3-amino-1,3-dihydro-3-(2-indolecarbonylamino)-1-methyl-5-phenyl-2H-1,4-benzodiazepin-2-one in CH2Cl2 was treated with 2-indolecarbonyl chloride and Et3N and the mixt. was stirred 30 min to give title compd. 3S-II. The latter at 0.05-5.0 .mu.g/kg s.c. in mice was an effective anxiolytic in the black/white exploration test of Crawley, and at 0.1 mg/kg s.c. in rats increased exploratory activity in novel environments.
IT 136051-20-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with amino-.beta.-carboline, in prepn. of cholecystokinin and gastrin antagonist)
RN 136051-20-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester, (R)- (9CI) (CA INDEX NAME)

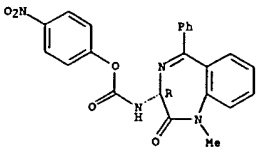
Absolute stereochemistry.

L62 ANSWER 82 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

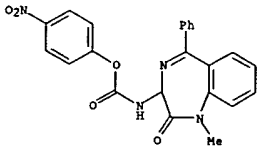


IT **136051-20-2P 136234-80-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for cholecystokinin and gastrin antagonist)
RN 136051-20-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

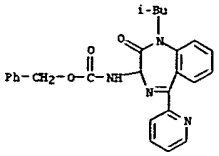


RN 136234-80-5 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



IT **136162-68-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of cholecystokinin and gastrin antagonist)
RN 136162-68-0 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-(2-methylpropyl)-2-oxo-5-(2-pyridinyl)-1H-

L62 ANSWER 82 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

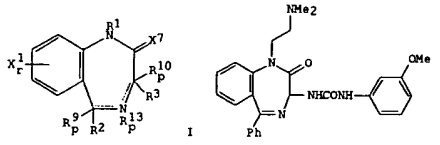


see 80

L62 ANSWER 83 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:536128 CAPLUS
DOCUMENT NUMBER: 115:136128
TITLE: Preparation of benzodiazepine analogs as cholecystokinin and gastrin antagonists
INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Freidinger, Roger M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 434360	A1	19910626	EP 1990-313837	19901218
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
CA 2032427	AA	19910619	CA 1990-2032427	19901217
JP 06009579	A2	19940118	JP 1990-419338	19901218
PRIORITY APPLN. INFO.:			US 1989-452026	19891218
OTHER SOURCE(S):	MARPAT 115:136128			

GI



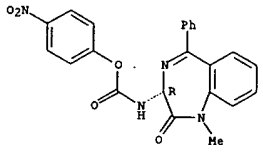
I II

AB Title compds. [I; R1 = (substituted) carboxyalkyl, aminoalkyl, cyanoalkyl, alkoxyalkyl, etc.; R2 = H, alkyl, (substituted) Ph, pyridyl; R3 = NH(CH2)2-3NHCOR7, X11NR18COX11R7, etc.; R7 = naphthyl, (substituted) Ph, pyridyl, styryl, indolyl, aminopyridyl, etc.; R9, R10 = H, OH, Me; X1 = H, NO2, CF3, CN, OH, alkyl, halo, alkylthio, alkoxy, carboxy(alkyl), amino(alkyl), etc.; X7 = O, S, H2, imino; dotted line = optional double bond; y = 1, 2; p = 0, 1], were prepd. Thus, 1,3-dihydro-3-(benzyloxycarbonyl)amino-5-phenyl-2H-1,4-benzodiazepin-2-one was stirred 1 h with NaH in DMF in the cold; ClCH2CH2NMe2 was added followed by stirring for 1 h in the cold and 8 h overnight at ambient temp. The coupling product was hydrogenolyzed to give the free amine, which was acylated with 3-MeOC6H4NCO to give title compd. II. I inhibited 125I-CCK binding to guinea pig cerebral cortex prepns. with IC50 of 0.002-0.9100 .mu.M.

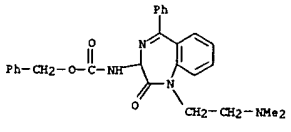
IT **136051-20-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with (aminophenyl)acetate)
RN 136051-20-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, 4-nitrophenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L62 ANSWER 83 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

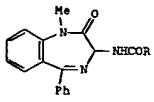


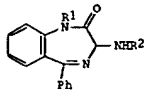
IT **136051-18-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenolysis of, in prepn. of cholecystokinin and gastrin antagonists)
RN 136051-18-8 CAPLUS
CN Carbamic acid, [1-[2-(dimethylamino)ethyl]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



see 95

L62 ANSWER 84 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:449647 CAPLUS
DOCUMENT NUMBER: 115:49647
TITLE: Synthesis of new benzodiazepine derivatives as potential cholecystokinin antagonists
AUTHOR(S): Varnavas, Antonio; Rupena, Paolo; Lassiani, Lucia; Boccu, Enrico
CORPORATE SOURCE: Dip. Sci. Farm., Univ. Trieste, Trieste, 34127, Italy
SOURCE: Farmaco (1991), 46(2), 391-401
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

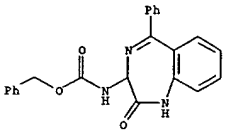

I


II

AB 3(R,S)-Amino-1,3-dihydro-1-methyl-5-phenyl-2H-1,4-benzodiazepin-2-one derivs. I [R = CH(NH2)CH2C6H4OH-4, C6H4OH-2, CH2C6H4OH-4, C6H3(OH)2-2,5, C6H2(OH)3-3,4,5, 3-hydroxy-1-naphthyl] were synthesized as potential cholecystokinin antagonists. In particular, these compds. were obtained by coupling aminobenzodiazpine II (R1 = Me, R2 = H) with RCO2H or DL-PhCH2O2CNHCH(CO2H)CH2C6H4OH-4. An alternative methylation procedure performed on II (R1 = H, R2 = PhCH2O2C) allowed the key intermediate II (R1 = Me, R2 = PhCH2O2C) to be obtained with a remarkable increase in yield.

IT 108895-98-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylation of)

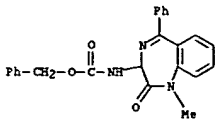
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT 106849-47-2P

L62 ANSWER 84 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)

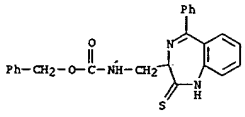
RN 106849-47-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 85 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:74697 CAPLUS
DOCUMENT NUMBER: 114:74697
TITLE: Cholecystokinin-A receptor ligands based on the .kappa.-opioid agonist tifluadom [Erratum to document cited in CA112(5):30228d]
AUTHOR(S): Bock, Mark G.; DiPardo, Robert M.; Evans, Ben E.; Rittle, Kenneth E.; Whitter, Willie L.; Veber, Daniel F.; Freidinger, Roger M.; Chang, Raymond S. L.; Chen, T. B.; Lotti, Victor J.
CORPORATE SOURCE: Dep. Med. Chem., Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (1990), 33(9), 2679
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An error in structure 2 has been cor. The error was reflected in the index entries.

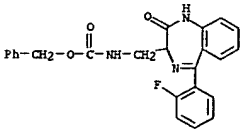
IT 123903-96-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of (Erratum))

RN 123903-96-8 CAPLUS
CN Carbamic acid, [(2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



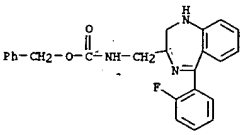
IT 103343-40-4P 103343-75-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of and cholecystokinin A receptor antagonism by, structure in relation to (Erratum))

RN 103343-40-4 CAPLUS
CN Carbamic acid, [[5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

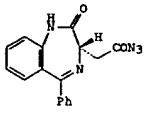


RN 103343-75-5 CAPLUS
CN Carbamic acid, [[5-(2-fluorophenyl)-2,3-dihydro-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 85 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L62 ANSWER 86 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:571988 CAPLUS
DOCUMENT NUMBER: 113:171988
TITLE: Curtius rearrangement in the 5-phenyl-1,4-benzodiazepine series. Unprecedented participation by an imine nitrogen
AUTHOR(S): Bock, Mark G.; DiPardo, Robert M.; Carson, Kenneth G.; Freidinger, Roger M.
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Heterocyclic Chemistry (1990), 27(3), 631-6
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:171988
GI

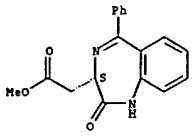


I

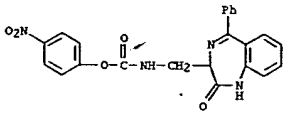
AB The previously unknown 3-aminomethyl-1,3-dihydro-5-(2'-fluorophenyl)-2H-1,4-benzodiazepin-2-one, was synthesized in two steps as a racemate. In the chiral series, 3(S)-azidocarbonylmethyl-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-one (I) was prepd. from N.alpha.-Cbz-.beta.-methylaspartate in five synthetic operations and subjected to Curtius rearrangement. The intermediate isocyanate was trapped intramolecularly by the 5-imine nitrogen of the benzodiazepine ring in I. This unanticipated result runs counter to the generally held dictum that the isocyanate group has a strictly linear shape.
IT **129749-01-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion of, to hydrazide)
RN 129749-01-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L62 ANSWER 86 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

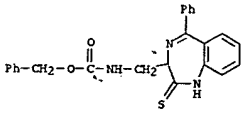


IT **129749-05-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion of, to urea deriv. or imidazolidone(benzoylphenyl)carboxamide)
RN 129749-05-9 CAPLUS
CN Carbamic acid, [(2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)methyl]-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



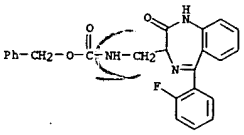
see 85

L62 ANSWER 87 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:30228 CAPLUS
DOCUMENT NUMBER: 112:30228
TITLE: Cholecystokinin-A receptor ligands based on the .kappa.-opioid agonist tifluadom
AUTHOR(S): Bock, Mark G.; DiPardo, Robert M.; Evans, Ben E.; Rittle, Kenneth E.; Whitter, Willie L.; Veber, Daniel F.; Freidinger, Roger M.; Chang, Raymond S. L.; Chen, T. B.; Lotti, Victor J.
CORPORATE SOURCE: Dep. Med. Chem., Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (1990), 33(1), 450-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Tifluadom, a .kappa.-opioid agonist and cholecystokinin-A (CCK-A) receptor antagonist, was utilized as a model to prep. a series of 2-(aminomethyl)- and 3-(aminomethyl)-1,4-benzodiazepines. These compds. were tested in vitro as inhibitors of the binding of [125I]CCK to rat pancreas and guinea pig brain receptors. All compds. with IC50's <100 .mu.M proved to have greater affinity for the CCK-A receptor, with the most potent analog having an IC50 of 0.16 .mu.M. The benzodiazepines described in this study are simultaneously CCK-A and opioid receptor ligands. The ramification of this dichotomy on current concepts of peptide hormone action are discussed. These results further demonstrate the versatility of the benzodiazepine core structure for designing nonpeptide ligands for peptide receptors and the ability to fine-tune the receptor interactions of these benzodiazepines by appropriate structure modifications.
IT **123903-96-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
RN 123903-96-8 CAPLUS
CN Carbamic acid, [(2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

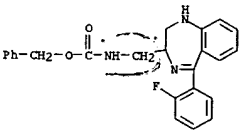


IT **103343-40-4P 103343-75-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of and cholecystokinin A receptor antagonism by, structure in relation to)
RN 103343-40-4 CAPLUS
CN Carbamic acid, [(5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 87 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 103343-75-5 CAPLUS
CN Carbamic acid, [[5-(2-fluorophenyl)-2,3-dihydro-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 88 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

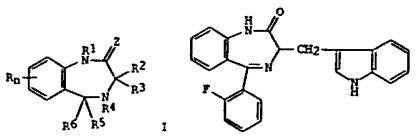
ACCESSION NUMBER: 1989:497296 CAPLUS
Correction of: 1987:67359
DOCUMENT NUMBER: 111:97296
Correction of: 106:67359
TITLE: Benzodiazepine derivatives and their pharmaceutical use
INVENTOR(S): Freidinger, Roger M.; Bock, Mark G.; Evans, Ben E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 290 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 167919	A2	19860115	EP 1985-107842	19850625
EP 167919	A3	19861105		
EP 167919	B1	19930505		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1332410	A1	19941011	CA 1985-484488	19850619
NO 8502558	A	19851227	NO 1985-2558	19850625
NO 173651	B	19931004		
NO 173651	C	19940112		
AU 8544152	A1	19860102	AU 1985-44152	19850625
DK 8502872	A	19860225	DK 1985-2872	19850625
ES 544523	A1	19870416	ES 1985-544523	19850625
AT 88998	E	19930515	AT 1985-107842	19850625
ZA 8504764	A	19860226	ZA 1985-4764	19850626
JP 61063666	A2	19860401	JP 1985-138064	19850626
ES 551504	A1	19870601	ES 1986-551504	19860131
US 5004741	A	19910402	US 1988-269212	19881109
AU 8944563	A1	19900405	AU 1989-44563	19891110
AU 640113	B2	19930819		
AU 9211171	A1	19920514	AU 1992-11171	19920221
AU 9471615	A1	19941222	AU 1994-71615	19940831
AU 679085	B2	19970619		

PRIORITY APPLN. INFO.:
US 1984-624854 A 19840626
US 1985-705272 A 19850225
US 1985-741972 A 19850610
EP 1985-107842 A 19850625
US 1987-26420 A3 19870316

GI

L62 ANSWER 88 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

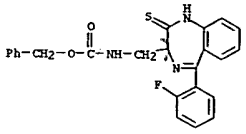


I II

AB 1,4-Benzodiazepines I [n = 1,2; R = H, NO2, CF3, cyano, etc.; R1 = alkyl, alkenyl, carboxyalkyl, aminoalkyl, etc.; Z = O, S, H2, NH, etc.; R2, R6 = H, OH, Me; R3 = substituted alkyl; R4 = H, alkyl, acyl, etc.; R5 = H, alkyl, (un)substituted Ph, etc.], which are cholecystokinin (CCK) inhibitors, were prepd. 2-Amino-2'-fluorobenzophenone was treated with tryptophan acid chloride-HCl and NaOH to give benzodiazepinone (R)-II. (R)-II inhibited CCK binding in isolated rat pancreas with an IC50 of 0.40 .mu.M.

IT **103343-76-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of)

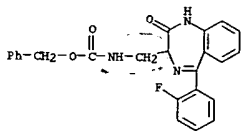
RN 103343-76-6 CAPLUS
CN Carbamic acid, [[5-(2-fluorophenyl)-2,3-dihydro-2-thioxo-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



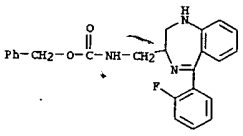
IT **103343-40-4P 103343-75-5P 103373-52-0P 103373-53-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cholecystokinin inhibitor)

RN 103343-40-4 CAPLUS
CN Carbamic acid, [[5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

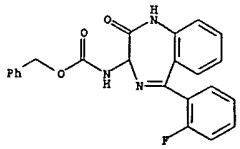
L62 ANSWER 88 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 103343-75-5 CAPLUS
CN Carbamic acid, [[5-(2-fluorophenyl)-2,3-dihydro-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

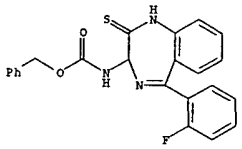


RN 103373-52-0 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 103373-53-1 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 88 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



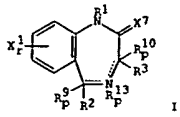
L62 ANSWER 89 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1989:135272 CAPLUS
DOCUMENT NUMBER: 110:135272
TITLE: Preparation of benzodiazepines as cholecystokinin and gastrin inhibitors
INVENTOR(S): Evans, Ben E.; Freidinger, Roger M.; Bock, Mark G.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 254 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 284256	A1	19880928	EP 1988-302141	19880311
EP 284256	B1	19940601		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4820834	A	19890411	US 1987-26420	19870316
IL 85668	A1	19950330	IL 1988-85668	19880308
AT 106401	E	19940615	AT 1988-302141	19880311
ES 2052704	T3	19940716	ES 1988-302141	19880311
AU 8813133	A1	19880915	AU 1988-13133	19880315
DK 8801395	A	19890106	DK 1988-1395	19880315
CA 1332411	A1	19941011	CA 1988-561493	19880315
JP 63238069	A2	19881004	JP 1988-60643	19880316
JP 3039783	B2	20000508		
ZA 8801866	A	19881026	ZA 1988-1866	19880316
US 5004741	A	19910402	US 1988-269212	19881109
AU 9211171	A1	19920514	AU 1992-11171	19920221
AU 9471615	A1	19941222	AU 1994-71615	19940831
AU 679085	B2	19970619		

PRIORITY APPLN. INFO.: US 1987-26420 A 19870316
US 1984-624854 A2 19840626
US 1985-705272 A2 19850225
US 1985-741972 A2 19850610
EP 1988-302141 A 19880311
OTHER SOURCE(S): CASREACT 110:135272; MARPAT 110:135272
GI

L62 ANSWER 89 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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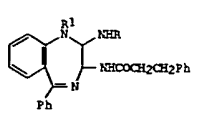
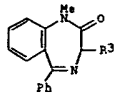


II



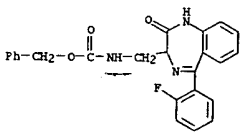
III

AB The title compds. [I; R1 = H, alkenyl, (un)substituted alkyl, etc.; R2 = H, alkyl, pyridyl, (un)substituted Ph, etc.; R3 = X11NR18(CH2)qR16, X11NR18COX11R7, NH(CH2)2-3NHR7, NH(CH2)2-3NHCOR7, etc.; R7 = naphthyl, (un)substituted Ph, heterocyclyl, etc.; R9, R10 = H, OH, Me; R13 = H, alkyl, acyl, O, cycloalkyl; R16 = naphthyl, 2-indolyl; R18 = H, alkyl; X1 = H, NO2, CF3, OH, alkyl, etc.; X7 = O, S, H2, etc.; X11 = bond, alkylidene (sic); p = 0, 1; q = 0-4; r = 1, 2], useful as cholecystokinin and gastrin receptor binding inhibitors, were prepd. 3-Amino-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepine-2-one was stirred with L-PhCH2CH(CO2H)NHCO2CMe3 in DMF contg. Et3N:C:N(CH2)3NMe2 and 1-hydroxybenzotriazole to give diaminobenzodiazepins II (R = CO2CMe3, R1 = H) which was stirred 30 min with NaH in DMF followed by stirring 1 h with MeI to give II (R = CO2CMe3, R1 = Me). The latter was stirred with HCl in EtOAc followed by flash chromatog. on silica gel to give sep., (3R)- and (3S)-II (R = H, R1 = Me) the latter of which was treated successively with PhNCS and CF3CO2H to give aminobenzodiazepineone (3S)-III (R3 = NH2). The latter was stirred 30 min with 2-indolecarbonyl chloride in CH2Cl2 contg. Et3N to give (3S)-III [R3 = (2-indolylcarbonyl)amino] which had IC50 of 0.0008 and 0.17 .mu.M for cholecystokinin and gastrin binding in vitro, resp.

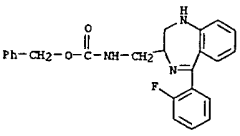
IT **103343-40-4P 103343-75-5P 103373-52-0P**
103373-53-1P 119487-34-2P 119487-35-3P
119487-40-0P 119487-41-1P 119487-44-4P
119487-58-0P 119487-60-4P 119487-62-6P
119487-63-7P 119506-55-7P 119506-56-8P
119506-57-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cholecystokinin and/or gastrin inhibitor)

RN 103343-40-4 CAPLUS
CN Carbamic acid, [[5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

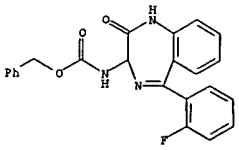
L62 ANSWER 89 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 103343-75-5 CAPLUS
CN Carbamic acid, [[5-(2-fluorophenyl)-2,3-dihydro-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

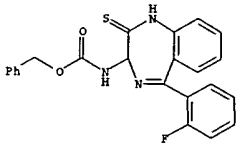


RN 103373-52-0 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

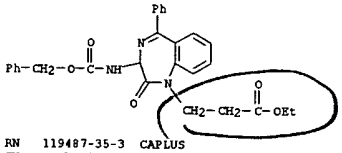


RN 103373-53-1 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

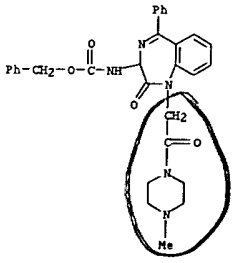
L62 ANSWER 89 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 119487-34-2 CAPLUS
CN 1H-1,4-Benzodiazepine-1-propanoic acid, 2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

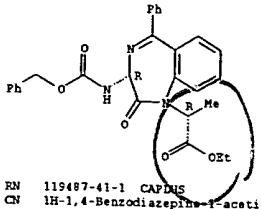


RN 119487-35-3 CAPLUS
CN Carbamic acid, [2,3-dihydro-1-[2-(4-methyl-1-piperazinyl)-2-oxoethyl]-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



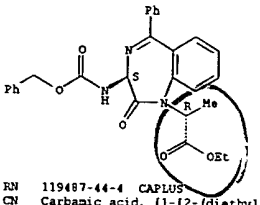
RN 119487-40-0 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-.alpha.-methyl-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-, ethyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

L62 ANSWER 89 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Relative stereochemistry.

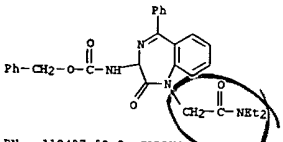


RN 119487-41-1 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-.alpha.-methyl-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-, ethyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



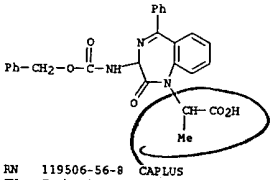
RN 119487-44-4 CAPLUS
CN Carbamic acid, [1-[2-(diethylamino)-2-oxoethyl]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



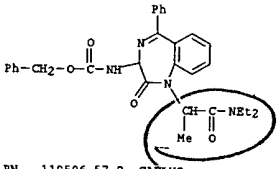
RN 119487-58-0 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 89 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

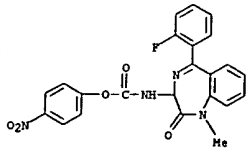
RN 119506-55-7 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 2,3-dihydro-.alpha.-methyl-2-oxo-5-phenyl-3-[[(phenylmethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 119506-56-8 CAPLUS
CN Carbamic acid, [1-[2-(diethylamino)-1-methyl-2-oxoethyl]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

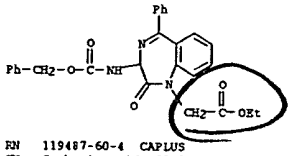


RN 119506-57-9 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

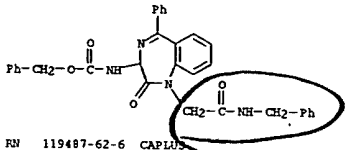


IT 119487-61-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of cholecystokinin and/or gastrin inhibitors)
RN 119487-61-5 CAPLUS
CN Carbamic acid, [1-(2-chloro-2-oxoethyl)-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-

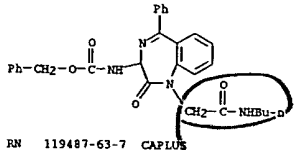
L62 ANSWER 89 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



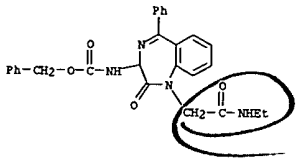
RN 119487-60-4 CAPLUS
CN Carbamic acid, [2,3-dihydro-2-oxo-1-[2-oxo-2-[(phenylmethyl)amino]ethyl]-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



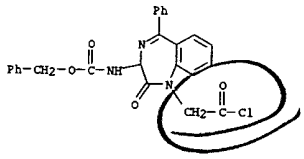
RN 119487-62-6 CAPLUS
CN Carbamic acid, [1-[2-(butylamino)-2-oxoethyl]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 119487-63-7 CAPLUS
CN Carbamic acid, [1-[2-(ethylamino)-2-oxoethyl]-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



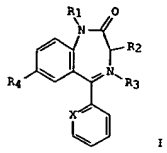
L62 ANSWER 89 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 90 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1989:91693 CAPLUS
DOCUMENT NUMBER: 110:91693
TITLE: Benzodiazepines assay, tracers, immunogens and antibodies
INVENTOR(S): Wang, Nai Yi; Keegan, Candace Linda; Heiman, Daniel Fuelner; Flentge, Charles Arthur; Wang, Philip Pei
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 264797	A2	19880427	EP 1987-114982	19871014
EP 264797	A3	19900207		
EP 264797	B1	19960110		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 132974	E	19960115	AT 1987-114982	19871014
ES 2084577	T3	19960516	ES 1987-114982	19871014
AU 8779975	A1	19880428	AU 1987-79975	19871021
AU 604766	B2	19910103		
JP 63246666	A2	19881013	JP 1987-269158	19871023
JP 06060166	B4	19940810		
AU 9169215	A1	19910711	AU 1991-69215	19910107
AU 643490	B2	19931118		
PRIORITY APPLN. INFO.:			US 1986-922595	19861024
			AU 1987-79975	19871021

OTHER SOURCE(S): MARPAT 110:91693
GI



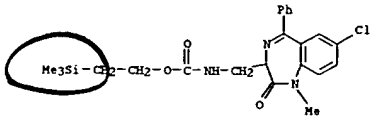
I

AB Benzodiazepine derivs. I [X = CH, N, C-halogen; R1 = H, Me, RZQ; R2 = H, OH; R3 = O or nonbonding electron pair; R4 = RZQ when R1 = H, Me or R4 = halogen, NO2, NH2, NHCOMe when R1 = RZQ; R = linking group contg. 0-20 C and heteroatoms (.ltoreq.12), arranged in a straight or branched chain and contg. .ltoreq.2 rings and .ltoreq.4 heteroatoms and .ltoreq.2 S or N or 1 O may be linked in sequence; Z = CO, CNH, NH, NMe, N2, SO2, CH2; Q = H,

L62 ANSWER 90 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
OH, halogen, acyloxy, N-succinimidyloxy, N-phthalimidyloxy, alkoxy, (substituted) phenoxy, N-imidazolyl, 1-benzotriazolyloxy, poly(amino acid) (deriv.), immunogenic carrier, or amino, amido, anidino, (thio) urea, (thio) carbamate, triazinylamino, or (carboxyamino)-sulfonamido deriv. of fluorescein] are prepd. as precursors, immunogens, or tracers for a fluorescence-polarization immunoassay for detg. the presence or amt. of benzodiazepines and their metabolites in a sample. An immunogen was prepd. by coupling 1-carboxymethyl-7-chloro-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-one with bovine serum albumin via dicyclohexylcarbodiimide and N-hydroxysuccinimide.

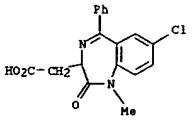
IT 119194-47-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in synthesis of tracer for fluorescence-polarization immunoassay for benzodiazepines)

RN 119194-47-7 CAPLUS
CN Carbamic acid, [(7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)methyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)



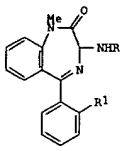
IT 119215-05-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in synthesis of tracer for fluorescence-polarization immunoassay for benzodiazepines)

RN 119215-05-3 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



see 95

L62 ANSWER 91 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1989:38961 CAPLUS
DOCUMENT NUMBER: 110:38961
TITLE: Benzodiazepine gastrin and brain cholecystokinin receptor ligands; L-365,260
AUTHOR(S): Bock, Mark G.; DiPardo, Robert M.; Evans, Ben E.; Rittle, Kenneth E.; Whitter, Willie L.; Veber, Daniel F.; Anderson, Paul S.; Freidinger, Roger M.
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (1989), 32(1), 13-16
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:38961
GI



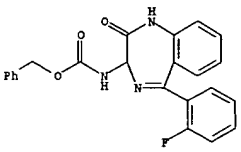
I

AB A novel series of 3-substituted 1,4-benzodiazepine, e.g., (R,S)-, (R)-, or (S)-I (R = 4-ClC6H4CO, R1 = F; R = 4-ClC6H4NHCO, 3-MeC6H4NHCO, R1 = H) were prepd. as ligands for the receptors of the peptide hormones gastrin and cholecystokinin. E.g., I (R = H, R1 = H) was treated with 3-MeC6H4NCO to give I (R = 3-MeC6H4NHCO, R1 = H). These compds., which have high specificity and display nanomolar binding affinity for the gastrin and brain cholecystokinin receptors, represent the first examples of nonpeptidal substances with such a selectivity profile. L-365,260 (R)-I (R = 4-MeC6H4NHCO, R1 = H) shows IC50 values of 1.1 nM and 2.0 nM for the gastrin and brain cholecystokinin receptors, resp. The structural features which distinguish these gastrin and centrally selective cholecystokinin ligands from peripheral cholecystokinin antagonists are discussed.

IT 103373-52-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(deprotection and reaction with chlorophenyl isocyanate)

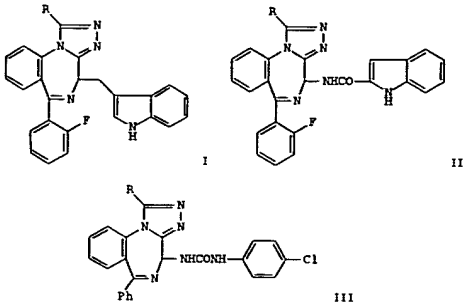
RN 103373-52-0 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 91 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



see 37 89 95

L62 ANSWER 92 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1988:94518 CAPLUS
DOCUMENT NUMBER: 108:94518
TITLE: Cholecystokinin antagonists. Synthesis and biological evaluation of 4-substituted 4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepines
AUTHOR(S): Bock, Mark G.; DiPardo, Robert M.; Evans, Ben E.; Rittle, Kenneth E.; Veber, Daniel F.; Freidinger, Roger M.; Chang, Raymond S. L.; Lotti, Victor J.
CORPORATE SOURCE: Dep. Med. Chem., Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (1988), 31(1), 176-81
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:94518
GI

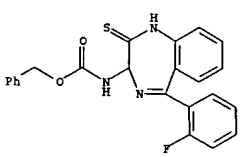


I II III

AB 4-Substituted 4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepines I-III (R = H, Me) were prepd. by std. methodol. These compds. were tested in vitro as antagonists of the binding of [125I]cholecystokinin (IV) to rat pancreas and guinea pig brain receptors and of the binding of [125I]gastrin to guinea pig gastric glands. All compds. proved to have greater affinity for the peripheral IV receptor with some analogs having IC50's in the subnanomolar range. In vivo activity of selected compds. in mice is presented and the structure/activity profile of this class of benzodiazepines as IV antagonists is discussed.

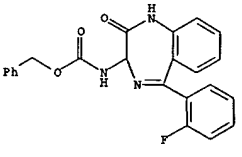
IT **103195-69-3P 146135-16-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of, with orthoesters)

L62 ANSWER 92 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

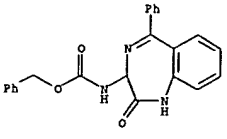


IT **103373-52-0 108895-98-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(thiolation of, with Lawessons reagent)

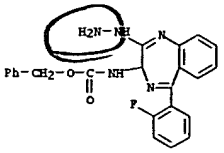
RN 103373-52-0 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



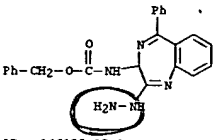
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L62 ANSWER 92 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 103195-69-3 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2-hydrazino-3H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

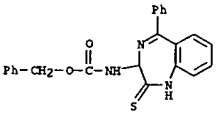


RN 146135-16-2 CAPLUS
CN Carbamic acid, (2-hydrazino-5-phenyl-3H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **146135-15-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)

RN 146135-15-1 CAPLUS
CN Carbamic acid, (2,3-dihydro-5-phenyl-2-thioxo-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

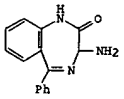


IT **103373-53-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrazinolysis of)

RN 103373-53-1 CAPLUS

see 37 89 95

L62 ANSWER 93 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1987:598272 CAPLUS
DOCUMENT NUMBER: 107:198272
TITLE: An expedient synthesis of 3-amino-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-one
AUTHOR(S): Bock, Mark G.; DiPardo, Robert M.; Evans, Ben E.; Rittle, Kenneth E.; Veber, Daniel F.; Freidinger, Roger M.
CORPORATE SOURCE: Merck Sharp Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Tetrahedron Letters (1987), 28(9), 939-42
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:198272
GI

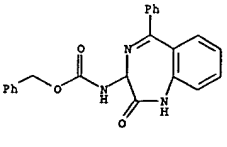


I

AB Racemic title compd. I was prepd. in 4 steps from 2-H2NC6H4COPh, which was converted to 2-PhCOC6H4NHCOCHRNHCO2CH2Ph (II; R = SCHMe2) (III), followed by the novel Hg+2 ion assisted displacement of the alkylthio group of III by NH3 to give II (R = NH2), cyclization, and catalytic hydrogenation, to give I in 55-60% overall yield.

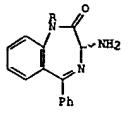
IT **108895-98-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and catalytic hydrogenation of, aminobenzodiazepinone from)

RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



see 37 95

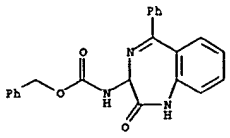
L62 ANSWER 94 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1987:515571 CAPLUS
DOCUMENT NUMBER: 107:115571
TITLE: Synthesis and resolution of 3-amino-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones
AUTHOR(S): Bock, Mark G.; DiPardo, Robert M.; Evans, Ben E.; Rittle, Kenneth E.; Veber, Daniel F.; Freidinger, Roger M.; Hirshfield, Jordan; Springer, James P.
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Organic Chemistry (1987), 52(15), 3232-9
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:115571
GI



I

AB Two efficient synthetic routes to the 3-amino-1,4-benzodiazepin-2-ones I (R = H, Me) were developed. The first sequence was carried out in 55-60% overall yield and involved a novel Hg2+ assisted NH3 displacement of the (alkylthio)glycineamide, 2-PhCOC6H4NHCOCH(NHCO2CH2Ph)R1 [II; R1 = SCHMe2], to produce the key intermediate .alpha.-aminoglycinamide II (R1 = NH2). The second approach features a practical two-step amination of the parent 1,4-benzodiazepine ring system to afford the title compd. I (R = Me) in 49% overall yield from 2-aminobenzophenone. I (R = Me) was resolved via the sepn. of the corresponding diasteriomeric phenylalaninamides. The desired (-)-I (R = Me) was then liberated by use of the Edman degrdn.
IT **108895-98-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)
RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

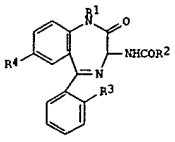
L62 ANSWER 94 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



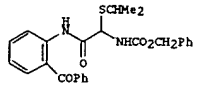
L62 ANSWER 95 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1987:84662 CAPLUS
DOCUMENT NUMBER: 106:84662
TITLE: 3-(Acylamino)benzodiazepines as cholecystokinin inhibitors
INVENTOR(S): Bock, Mark G.; Veber, Daniel F.; DiPardo, Robert M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4628084	A	19861209	US 1986-815620	19860102

PRIORITY APPLN. INFO.: US 1986-815620 19860102
GI

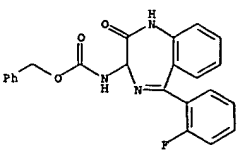


I



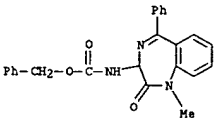
II

AB The title compds. [I; R1 = H, C1-6 alkyl, CH2CO2H, alkoxycarbonylmethyl; R2 = C1-6 alkyl, aralkyl, alkoxy, aralkoxy, (substituted) aryl, indolyl; R3, R4 = H, halo] were prepd. as cholecystokinin inhibitors (no data). Thus, Me2CHSCH(CO2H)NHCO2CH2Ph was condensed with 2-H2NC6H4COPh to give glycinamide deriv. II. II was desulfurized and aminated with HgCl2-NH3 and the product cyclized to afford I (R1 = H, R2 = OCH2Ph, R3 = R4 = H).
IT **103373-52-0P 106849-47-2P 106849-48-3P**
108895-98-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cholecystokinin inhibitor)
RN 103373-52-0 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

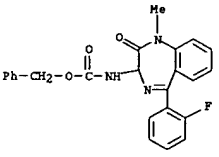


L62 ANSWER 95 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

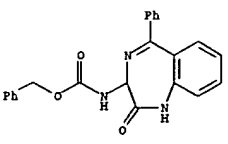
RN 106849-47-2 CAPLUS
CN Carbamic acid, (2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 106849-48-3 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 108895-98-3 CAPLUS
CN Carbamic acid, (2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

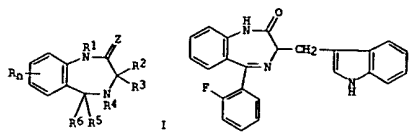


see 88 & 89

L62 ANSWER 96 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1987:67359 CAPLUS
DOCUMENT NUMBER: 106:67359
TITLE: Benzodiazepine derivatives and their pharmaceutical use
INVENTOR(S): Freidinger, Roger M.; Bock, Mark G.; Evans, Ben E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 290 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 167919 A2		19860115	EP 1985-107842	19850625
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
PRIORITY APPLN. INFO.:			US 1984-624854	19840626
			US 1985-705272	19850225
			US 1985-741972	19850610

GI



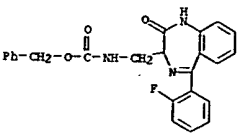
I II

AB 1,4-Benzodiazepines I [n = 1,2; R = H, NO2, CF3, cyano, etc.; R1 = alkyl, alkenyl, carboxyalkyl, aminoalkyl, etc.; Z = O, S, H2, NH, etc.; R2 and R6 are H, OH, Me; R3 = substituted alkyl; R4 = H, alkyl, acyl, etc.; R5 = H, alkyl, (un)substituted Ph, etc.], which inhibited cholecystokinin, were prepd. 2-Aminophenyl 2-fluorophenyl ketone was teated with tryptophan and cbloride hydrochloride and NaOH to give benzodiazepinone deriv. II.

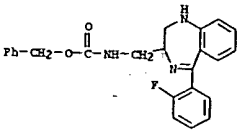
IT **103343-40-4P 103343-75-5P 103373-52-0P**
103373-53-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cholecystokinin inhibitor)

RN 103343-40-4 CAPLUS
CN Carbamic acid, [[5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

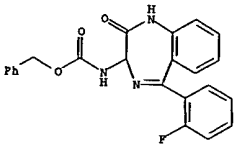
L62 ANSWER 96 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 103343-75-5 CAPLUS
CN Carbamic acid, [[5-(2-fluorophenyl)-2,3-dihydro-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

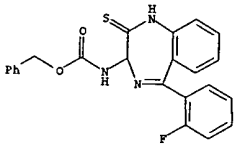


RN 103373-52-0 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



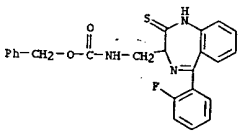
RN 103373-53-1 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L62 ANSWER 96 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **103343-76-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of)

RN 103343-76-6 CAPLUS
CN Carbamic acid, [[5-(2-fluorophenyl)-2,3-dihydro-2-thioxo-1H-1,4-benzodiazepin-3-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

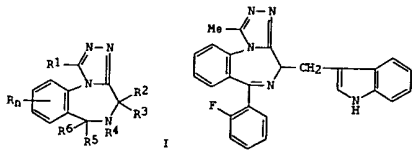


see 89 & 106

L62 ANSWER 97 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:442853 CAPLUS
DOCUMENT NUMBER: 105:42853
TITLE: Triazolobenzodiazepines and pharmaceutical compositions containing them
INVENTOR(S): Freidinger, Roger M.; Bock, Mark G.; Evans, Ben E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 117 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 169392	A2	19860129	EP 1985-107843	19850625
EP 169392	A3	19861105		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4663321	A	19870505	US 1985-741971	19850610
DK 8502870	A	19860305	DK 1985-2870	19850625
ES 544521	A1	19870416	ES 1985-544521	19850625
JP 61030589	A2	19860212	JP 1985-138062	19850626
ES 557126	A1	19870816	ES 1986-557126	19861001
PRIORITY APPLN. INFO.:			US 1984-624850	19840626
			US 1985-741971	19850610

OTHER SOURCE(S): CASREACT 105:42853
GI



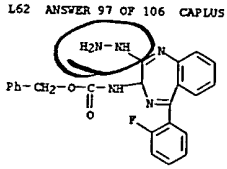
I II

AB Title compds. I (R1 = H, OH, hydrocarbyl; R2, R6 = H, OH, Me; R3 = substituted alkyl, substituted amino; R4 = H, alkyl, acyl, etc.; R5 = H, alkyl, Ph, etc.; n = 1,2), which showed cholecystokinin inhibitor activity, were prepd. A 1,4-benzodiazepin-2-one hydrazone deriv. was treated with MeC(OEt)3 to give triazolobenzodiazepine deriv. II.

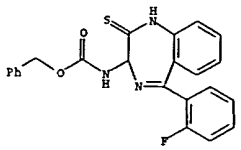
IT **103195-69-3P 103373-53-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of)

RN 103195-69-3 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2-hydrazino-3H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

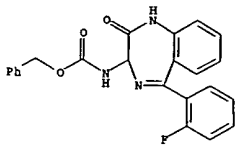
L62 ANSWER 97 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



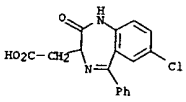
RN 103373-53-1 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-thioxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT 103373-52-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of)
RN 103373-52-0 CAPLUS
CN Carbamic acid, [5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1H-1,4-benzodiazepin-3-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

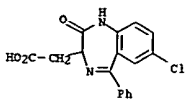


L62 ANSWER 98 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



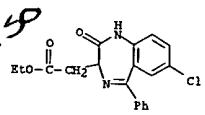
● HCl

L62 ANSWER 98 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1975:156233 CAPLUS
DOCUMENT NUMBER: 82:156233
TITLE: Synthesis and central nervous effects of some 3-substituted 1,4-benzodiazepin-2-ones
AUTHOR(S): Manghisi, E.; Salimbeni, A.
CORPORATE SOURCE: Res. Div., Lusofarmaco, Milan, Italy
SOURCE: Bollettino Chimico Farmaceutico (1974), 113(12), 642-4
CODEN: BCFAAI; ISSN: 0006-6648
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Condensation of 2-amino-5-chlorobenzophenone with diethyl aspartate hydrochloride in the presence of pyridine gave I (R = OEt), which on sapon. gave I (R = OH). This was converted to the acid chloride with PCl5 and then to I (R = NEt2, 4-methyl-1-piperazinyl). None of these compds. exhibited central nervous system activity.
IT 55108-23-1P 55108-24-2P 55108-27-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55108-23-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, dipotassium salt (9CI) (CA INDEX NAME)



●2 K

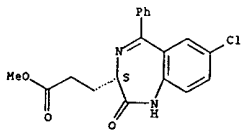
RN 55108-24-2 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



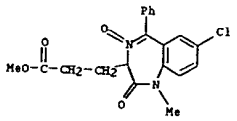
RN 55108-27-5 CAPLUS
CN 1H-1,4-Benzodiazepine-3-acetic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

L62 ANSWER 99 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1974:105318 CAPLUS
DOCUMENT NUMBER: 80:105318
TITLE: Stereochemistry of the enzymic 3-hydroxylation of 1,3-dihydro-2H-1,4-benzodiazepin-2-ones
AUTHOR(S): Corbella, Attilio; Gariboldi, Pierluigi; Jommi, Giancarlo; Forgione, Angelo; Marcucci, Franca; Martelli, Paola; Mussini, Emilio; Mauri, Francesco
CORPORATE SOURCE: Lab. Chim. Org., Univ. Milano, Milan, Italy
SOURCE: Journal of the Chemical Society, Chemical Communications (1973), (19), 721-2
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Enzymic hydroxylation at C-3 of demethyldiazepam (I) and diazepam (II) by liver microsomes proceeded by stereospecific removal of the pro-S H.
IT 51990-96-6
RL: BIOL (Biological study)
(CD of)
RN 51990-96-6 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



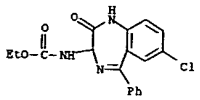
L62 ANSWER 100 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:537109 CAPLUS
DOCUMENT NUMBER: 79:137109
TITLE: Quinazolines and 1,4-benzodiazepines. LIX. Preparation of pyrrolo[2,1-c]-1,4-benzodiazepines
AUTHOR(S): Walser, Armin; Silverman, Gladys; Fryer, R. Ian
CORPORATE SOURCE: Chem. Res. Dep., Hoffmann-La Roche Inc., Nutley, NJ, USA
SOURCE: Journal of Organic Chemistry (1973), 38(20), 3502-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Substituted 7-chloro-5,10-dihydro-5-phenyl-11H-pyrrolo[2,1-c][1,4]benzodiazepines (I) were obtained from treatment of the corresponding 3-allylbenzodiazepine 4-oxides (II) with Ac2O.
IT 40973-81-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reds. of)
RN 40973-81-7 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-, methyl ester, 4-oxide (9CI) (CA INDEX NAME)



L62 ANSWER 101 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1972:405544 CAPLUS
DOCUMENT NUMBER: 77:5544
TITLE: [(2-Benzoylphenylcarbamoyl)methyl] hydroxycarbamic acid, ethyl ester, and ethyl carbonate
INVENTOR(S): Bell, Stanley C.
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: U.S., 3 pp. Division of U. S. 3,489,747 (CA 72:79115j).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3652634	A	19720328	US 1969-852135	19690623
PRIORITY APPLN. INFO.:			US 1969-852135	19690623

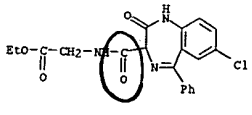
GI For diagram(s), see printed CA Issue.
AB (2-Benzoyl-4-chlorophenyl-carbamoyl)methul] hydroxycarbamic acid ethyl ester ethyl carbonate (I) was prepd. by the reaction of ClCO2Et (II) with [(2-amino-5-chloro-.alpha.-phenylbenzylidene)amino]acetic acid N-oxide. I was also prepd. by the reaction of II with 5-chloro-2-[2-(hydroxyamino)acetamido]benzophenone. I was cyclized with NH3 to give 7-chloro-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-one-3-carbamic acid ethyl ester (III).
IT 14789-64-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 14789-64-1 CAPLUS
CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



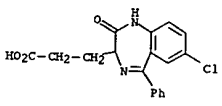
L62 ANSWER 102 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:499000 CAPLUS
DOCUMENT NUMBER: 73:99000
TITLE: Physiologically active 3-carbonylaminoacetic acid ethyl ester substituted benzodiazepines
INVENTOR(S): Fryer, R. Ian; Sternbach, Leo H.; Earley, James V.
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3520877	A	19700721	US 1967-671959	19671002
PRIORITY APPLN. INFO.:			US 1967-671959	19671002

AB The title compds. useful as sedatives psychosedatives, muscle relaxants and anticonvulsants are prepd. by treating a 2-aminobenzophenone with an amino substituted dibasic acid. Thus, a mixt. 2-amino-5-chlorobenzophenone, pyridine and di-Et aminomalonate-HCl was refluxed 18 hr to give a mixt. of Et 7-chloro-1,3-dihydro-2-oxo-5-phenyl-2H-1,4-benzodiazepine-3-carboxylate (I), 7-chloro-5-phenyl-1,3(2H)-dihydro-1,4-benzodiazepin-2-one (II) and Et 7-chloro-2-oxo-5-phenyl-1,3(2H)-dihydro-1,4-benzodiazepin-3- ylcarbonylaminoacetate (III). A mixt. I, pyridine and di-Et aminomalonate-HCl was refluxed 36 hr to give III.
IT 28532-03-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 28532-03-8 CAPLUS
CN Glycine, N-[(7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)carbonyl]-, ethyl ester (8CI) (CA INDEX NAME)



L62 ANSWER 103 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:464862 CAPLUS
DOCUMENT NUMBER: 73:64862
TITLE: Pharmacological screening of new benzodiazepines in mice
AUTHOR(S): Shimamoto, Kiro; Shuji, Takaori
CORPORATE SOURCE: Takeda Chem. Ind., Ltd., Osaka, Japan
SOURCE: Takeda Kenkyusho Ho (1970), 29(1), 134-44
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Taming, muscle relaxing, anticonvulsive, barbiturate anesthesia-potentiating, analgesic, and acute toxic effects of twenty-seven 1,3, and (or) 7-substituted benzodiazepins (I) were studied in mice. Used I were (R1, R2, and R3 given): Cl, Me, H (diazepam) (II); Cl, H, H; Cl, CONHMe, H (III); Cl, CONHEt, H; Cl, CONHPh, H; Cl, CONHCH2Ph, H; Cl, Ac, H; Cl, Bz, H; Cl, CO2Et, H; Cl, CH2CO2H, H; Cl, CH2CO2Na, H; Cl, CH2CH:CHPh, H; Cl, SO2Me, H; Cl, SO2C6H4Me-p, H; Cl, H, CH2Ph; Cl, Me, CH2Ph; Cl, H, CHMeEt; Cl, H, CH2CHMe2; Cl, H, CH2CH2CO2H; H, H, H; H, CONHMe, H; NO2, H, H (nitrazepam) (IV); NO2, CONHMe2, H (V); Cl, H, H, (HBr salt); Cl, Me, H (HBr salt); NO2, H, H (HBr salt); and NO2, Me, H (HBr salt). III and V exhibited the effects almost corresponding to those of II and IV.
IT 29580-47-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacology of)
RN 29580-47-0 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 7-chloro-2,3-dihydro-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



L62 ANSWER 104 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:79115 CAPLUS
DOCUMENT NUMBER: 72:79115
TITLE: Depressant ethyl 7-chloro-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-one-3-carbamate
INVENTOR(S): Bell, Stanley Charles
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3489747	A	19700113	US 1966-528623	19660218

PRIORITY APPLN. INFO.: US 1966-528623 19660218

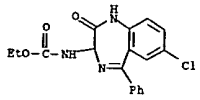
GI For diagram(s), see printed CA Issue.

AB The title compd. (I) was prepd. by treating 5-chloro-2-amino-.alpha.-phenyl-benzylideneaminoacetic acid N-oxide (II) or 2-[2-(hydroxyamino)acetamide]-4-chlorobenzophenone with ClCO2Et to give III, which cyclized with NH3 gave I. Thus, 4 g II, 25 ml CHCl3, and 25 ml ClCO2Et was refluxed 2hr to give 1.9 g III, m. 101-2.degree.. III was added to aq. NH3-EtOH and the mixt. boiled to half vol. to give I, m. 253-5.degree.. The esters have antioxytremorine and central nervous system depressant activity.

IT **14789-64-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 14789-64-1 CAPLUS

CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



see 1018 104

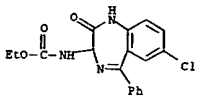
L62 ANSWER 105 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1968:436091 CAPLUS
DOCUMENT NUMBER: 69:36091
TITLE: 3-Substituted 1,4-benzodiazepin-2-ones
AUTHOR(S): Bell, Stanley C.; McCaully, Ronald J.; Gochman, Carl; Childress, Scott J.; Gluckman, Melvyn I.
CORPORATE SOURCE: Res. Div., Wyeth Lab., Inc., Radnor, PA, USA
SOURCE: Journal of Medicinal Chemistry (1968), 11(3), 457-61
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The prepn. of a no. of 1,4-benzodiazepines substituted in the 3 position is described. The rearrangement of 7-chloro-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-one 4-oxide with diacetyl sulfide yields largely the 3-acetylthio compd. Amines, ethers, and sulfides were prepd. through the chloro intermediate. A 3-carbethoxybenzodiazepine was prepd. and converted into oxazepam. The pharmacol. test data of new and previously published compds. are given.

IT **14789-64-1P 18878-49-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

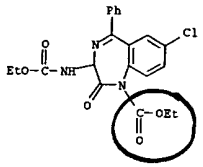
RN 14789-64-1 CAPLUS

CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 18878-49-4 CAPLUS

CN 1H-1,4-Benzodiazepine-3-carbamic acid, 1-carboxy-7-chloro-2,3-dihydro-2-oxo-5-phenyl-, diethyl ester (8CI) (CA INDEX NAME)



see 1018 104

L62 ANSWER 106 OF 106 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1968:59551 CAPLUS
DOCUMENT NUMBER: 68:59551
TITLE: Rearrangement of a 2-aminobenzylideneamino-acetic acid N-oxide with ethyl chloroformate
AUTHOR(S): Bell, Stanley Charles
CORPORATE SOURCE: Wyeth Lab., Inc., Radnor, PA, USA
SOURCE: Journal of Organic Chemistry (1968), 33(2), 828-30
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB A mixt. of .alpha.-(2-amino-5-chloro-.alpha.-phenyl-benzylideneamino)acetic acid N-oxide, ClCO2Et, and CHCl3 is refluxed and neutralized with NH3 to give ethyl 7-chloro-1,3-dihydro-2-oxo-5-phenyl-2H-1,4-benzodiazepine-3-carbamate (I); neutralization with NaOH gives 4,2-ClBzC6H3NHCOCH(OEt)NHCO2Et (II). 2-Benzoyl-4-chloro-.alpha.-(N-ethoxycarbonyl-N-ethoxycarbonyloxyamino)acetanilide(III) is prepd. and treated with NH3 to give I and treated with EtOH and NaOH to give II. N.M.R. data for II and III are given.

IT **14789-64-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 14789-64-1 CAPLUS

CN Carbamic acid, (7-chloro-2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)